

11/17/99

=> d his

FILE 'HOME' ENTERED AT 07:56:44 ON 18 NOV 1999

FILE 'REGISTRY' ENTERED AT 07:58:07 ON 18 NOV 1999

E AL-OBEIDI F. C  
E SAFAR P C  
E LEBL M C  
E OSTREM C  
E STIERANDOVA C  
E STROP P C  
E WALSER A C

FILE 'USPATFULL' ENTERED AT 08:06:07 ON 18 NOV 1999

L1 0 S FACATOR (3A) "XA" (3A) INHIBITOR  
L2 0 S TRP-CHG-ARG-LEU PRO *at test spec*

FILE 'HOME' ENTERED AT 16:01:32 ON 08 NOV 2002

=> index chemistry pharmacology bioscience patents polymers

FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED

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SINCE FILE

TOTAL

ENTRY

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0.21

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INDEX 'AGRICOLA, ALUMINIUM, ANABSTR, AQUIRE, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNS, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, DKILIT, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, ...' ENTERED AT 16:02:03 ON 08 NOV 2002

108 FILES IN THE FILE LIST IN STNINDEX

Enter SET DETAIL ON to see search term postings or to view  
search error messages that display as 0\* with SET DETAIL OFF.

=> s (Xa (s)(inhibitor or inhibition)) and peptide and arginine

1 FILE AGRICOLA

3 FILE BABS

14 FILE BIOTECHNO

1 FILE CABA

37 FILE CAPLUS

1 FILE CEN

0\* FILE FEDRIP

26 FILES SEARCHED...

1 FILE INVESTEXT

3 FILE JICST-EPLUS

9 FILE PASCAL

1 FILE PROMT

23 FILE SCISEARCH

1 FILE ADISALERTS

46 FILES SEARCHED...

3 FILE BIOBUSINESS

21 FILE BIOSIS

3 FILE CANCERLIT

6 FILE DDFU

28 FILE DRUGU

61 FILES SEARCHED...

25 FILE EMBASE

7 FILE EMBIOBASE

5 FILE IFIPAT

4 FILE LIFESCI

22 FILE MEDLINE

1 FILE PHIN

1 FILE SYNTHLINE

3 FILE TOXCENTER

614 FILE USEPATFULL

14 FILE USEPAT2

1 FILE AQUASCI

1 FILE BIOTECHABS

1 FILE BIOTECHDS

79 FILES SEARCHED...

1 FILE VETU

1 FILE WPIDS

1 FILE WPINDEX

0\* FILE CASREACT

93 FILES SEARCHED...

49 FILE EUROPATFULL

3.7 FILE PATFULL

36 FILES HAVE ONE OR MORE ANSWERS, 108 FILES SEARCHED IN STNINDEX

11 QUE XA (S)(INHIBITOR OR INHIBITION) AND PEPTIDE AND ARGinine

no file hits  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE 'CEN' ENTERED AT 16:06:37 ON 08 NOV 2002  
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=> s 11

L2	614	FILE USBPATFULL
L3	367	FILE PCTFULL
L4	80	FILE EUROBPATFULL
L5	37	FILE CAPLUS
L6	29	FILE MEDLINE
L7	25	FILE EMBASE
L8	23	FILE STISEARCH
L9	21	FILE BIOSIS
L10	20	FILE DRUGU
L11	14	FILE BIOTECHNO
L12	14	FILE USPAT2
L13	9	FILE PASCAL
L14	9	FILE TOXCENTER



L15 7 FILE ESBIOBASE  
 L16 8 FILE IFIPAT  
 L17 8 FILE WPIDS  
 L18 4 FILE LIFESCI  
 L19 8 FILE BABS  
 L20 8 FILE JICST-EPLUS  
 L21 8 FILE CANCERLIT  
 L22 8 FILE BICEBUSINESS

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
 FIELD CODE - 'AND' OPERATOR ASSUMED 'XA /S' (INHIBITOR)

L23 1 FILE CASREACT  
 L24 1 FILE AGRICOLA  
 L25 1 FILE CABA  
 L26 1 FILE CEN  
 L27 1 FILE INVESTEXT  
 L28 1 FILE PROMT  
 L29 1 FILE ADISALERTS  
 L30 1 FILE PHIN  
 L31 1 FILE SYNTHLINE  
 L32 1 FILE AQUASCI  
 L33 1 FILE BIOTECHDS  
 L34 1 FILE VETU

TOTAL FOR ALL FILES

L35 1306 L1

=> s 135 and (selectivity or specificity) and analogue#

L36 98 FILE USPATFULL  
 L37 81 FILE PCTFULL  
 L38 17 FILE EUROPATFULL  
 L39 0 FILE CAPLUS  
 L40 1 FILE MEDLINE  
 L41 1 FILE EMBASE  
 L42 2 FILE SCISEARCH  
 L43 2 FILE BIOSIS  
 L44 0 FILE DRUGJ  
 L45 0 FILE BIOTECHNO  
 L46 1 FILE USPAT2  
 L47 1 FILE PASCAL  
 L48 0 FILE TOXCENTER  
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 L66 0 FILE AQUASCI  
 L67 0 FILE BIOTECHDS  
 L68 0 FILE VETU

TOTAL FOR ALL FILES

L69 267 L35 AND (SELECTIVITY OR SPECIFICITY) AND ANALOGUE#

=> s 169 factor 'w. Xa.

# MISSING OPERATOR 'L69 FACTOR'

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

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=> s L69 and (factor (w Xa
L70      96 FILE USPATFULL
L71      71 FILE PSTFULL
L72      17 FILE EUROSPATFULL
L73      0 FILE CAPLUS
L74      1 FILE MENLINE
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L77      2 FILE BIOSIS
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L83      1 FILE EMBIOBASE
L84      0 FILE IFIPAT
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L90      0 FILE BIOBUSINESS
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L98      0 FILE PHIN
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L100     0 FILE AQUASCI
L101     0 FILE BIOTECHDS
L102     0 FILE VETU
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L103 195 L69 AND (FACTOR (W) XA)

=> dup rem l103

DUPLICATE IS NOT AVAILABLE IN 'INVESTEXT, SYNTHLINE'.

ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE

PROCESSING COMPLETED FOR L103

L104 189 DUP REM L103 (6 DUPLICATES REMOVED)

=> d l104 1-189 ibib abs

L104 ANSWER 1 OF 189 USPATFULL

ACCESSION NUMBER: 2960:272901 USPATFULL

TITLE: Compositions and methods for the therapy and diagnosis  
of colon cancer

INVENTOR(S): Stolk, John A., Bothell, WA, UNITED STATES

Ku, Jiangshun, Bellevue, WA, UNITED STATES

Chenault, Ruth A., Seattle, WA, UNITED STATES

Meagher, Madeleine Joy, Seattle, WA, UNITED STATES

PATENT ASSIGNEE(S): Corixa Corporation, Seattle, WA, UNITED STATES, 98104  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 1012150922	A1	20021017
APPLICATION INFO.:	US 2001-998599	A1	20011116 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-104037P	20010710 (60)
	US 2001-279670P	20010328 (60)
	US 2001-267011P	20010106 (60)
	US 2000-252222P	20001120 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	9237	

AB Compositions and methods for the therapy and diagnosis of cancer, particularly colon cancer, are disclosed. Illustrative compositions comprise one or more colon tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly colon cancer.

L104 ANSWER 2 OF 189 USPTAFULL

ACCESSION NUMBER: 2002:272761 USPTAFULL  
 TITLE: Directed evolution of novel binding proteins  
 INVENTOR(S): Ladner, Robert Charles, Ijamsville, MD, UNITED STATES  
 Guterman, Sonia Kosow, Belmont, MA, UNITED STATES  
 Roberts, Bruce Lindsay, Milford, MA, UNITED STATES  
 Markland, William, Milford, MA, UNITED STATES  
 Ley, Arthur Charles, Newton, MA, UNITED STATES  
 Kent, Rachel Baribault, Boxborough, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002150881	A1	20021017
APPLICATION INFO.:	US 2001-791988	A1	20010214 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1998-192067, filed on 16 Nov 1998, ABANDONED Continuation of Ser. No. US 1998-415922, filed on 3 Apr 1995, PATENTED Continuation of Ser. No. US 1993-9319, filed on 26 Jan 1993, PATENTED Division of Ser. No. US 1991-664989, filed on 1 Mar 1991, PATENTED Continuation-in-part of Ser. No. US 1990-487063, filed on 2 Mar 1990, ABANDONED Continuation-in-part of Ser. No. US 1988-240160, filed on 2 Sep 1988, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	WO 1989-US3731	19890901
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BROWDY AND NEIMARK, P.L.L.C., 624 Ninth Street, N.W., Washington, DC, 20001	
NUMBER OF CLAIMS:	19	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	16 Drawing Page(s)	
LINE COUNT:	18696	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB In order to obtain a novel binding protein against a chosen target, DNA molecules, each encoding a protein comprising one of a family of similar potential binding domains and a structural signal calling for the display of the protein on the outer surface of a chosen bacterial cell, bacterial spore or phage genetic package, are introduced into a genetic

package. The protein is expressed and the potential binding domain is displayed on the outer surface of the package. The cells or viruses bearing the binding domains which recognize the target molecule are isolated and amplified. The successful binding domains are then characterized. One or more of these successful binding domains is used as a model for the design of a new family of potential binding domains, and the process is repeated until a novel binding domain having a desired affinity for the target molecule is obtained. In one embodiment, the first family of potential binding domains is related to bovine pancreatic trypsin inhibitor, the genetic package is M13 phage, and the protein includes the outer surface transport signal of the M13 gene III protein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 3 OF 189 USPATFULL

ACCESSION NUMBER: 2000:243081 USPATFULL  
 TITLE: Compositions and methods for the therapy and diagnosis of ovarian cancer  
 INVENTOR(S): Algate, Paul A., Issaquah, WA, UNITED STATES  
 Jones, Robert, Seattle, WA, UNITED STATES  
 Harlocker, Susan L., Seattle, WA, UNITED STATES  
 PATENT ASSIGNEE(S): Corixa Corporation, Seattle, WA, UNITED STATES, 98104 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2000:132237	A1	20020919
APPLICATION INFO.:	US 2001-867701	A1	20010529 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-207434P	20000526 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	25718	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for the therapy and diagnosis of cancer, particularly ovarian cancer, are disclosed. Illustrative compositions comprise one or more ovarian tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly ovarian cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 4 OF 189 USPATFULL

ACCESSION NUMBER: 2000:242791 USPATFULL  
 TITLE: Compositions and methods for the therapy and diagnosis of colon cancer  
 INVENTOR(S): Fing, Gordon E., Shoreline, WA, UNITED STATES  
 Mearher, Madeleine Joy, Seattle, WA, UNITED STATES  
 Xu, Jiangshan, Bellevue, WA, UNITED STATES  
 Jacrist, Heather, Seattle, WA, UNITED STATES  
 PATENT ASSIGNEE(S): Corixa Corporation, Seattle, WA, UNITED STATES (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION: US 2002131971 A1 20020819  
 APPLICATION INFO.: US 2001-82629 A1 20011226 (10)  
 RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-920300, filed  
 on 31 Jul 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-301051P	20010629 (60)
	US 2001-279763P	20010328 (60)
	US 2000-223283P	20000803 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6083	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for the therapy and diagnosis of cancer, particularly colon cancer, are disclosed. Illustrative compositions comprise one or more colon tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly colon cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 5 OF 189 USPTAFULL  
 ACCESSION NUMBER: 2002:221957 USPTAFULL  
 TITLE: Use of dendroaspin as a scaffold for non-dendroaspin domains  
 INVENTOR(S): Lu, Xijie, London, UNITED KINGDOM  
 Kakkar, Vijay Vir, London, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002120192	A1	20020829
APPLICATION INFO.:	US 2001-773954	A1	20010205 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-2625	20000205
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	FLEHR HOHBACH TEST ALBRITTON & HERBERT LLP, Suite 3400, Four Embarcadero Center, San Francisco, CA, 94111-4187	
NUMBER OF CLAIMS:	56	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	1 Drawing Page(s)	
LINE COUNT:	1277	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The use of dendroaspin as a scaffold for one or more non-wild-type dendroaspin domains, the dendroaspin scaffold being modified in that the native RGD motif has been deleted or has been replaced by (i) an amino acid sequence having no integrin-binding activity or (ii) an integrin-binding amino acid sequence other than RGD which contains aspartic acid (D) or glutamic acid (E).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 6 OF 189 USPTAFULL  
 ACCESSION NUMBER: 2002:221918 USPTAFULL  
 TITLE: Antibody conjugate formulations for selectively

inhibiting VEGF  
INVENTORS : Thorpe, Philip E., Dallas, TX, UNITED STATES  
Brekken, Rolf A., Seattle, WA, UNITED STATES  
PATENT ASSIGNEES : Board of Regents, The University of Texas System (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001119153	A1	20020829
APPLICATION INFO.:	US 2001-998881	A1	20011130 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-561109, filed on 28 Apr 2000, PATENTED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1994-131432P	19990428 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Shelley P.M. Fussey, WILLIAMS, MORGAN & AMERSON, P.C., Suite 250, 7676 Hillmont, Houston, TX, 77040	
NUMBER OF CLAIMS:	47	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	4 Drawing Page(s)	
LINE COUNT:	10502	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are antibodies that specifically inhibit VEGF binding to only one (VEGFR2) of the two VEGF receptors. The antibodies effectively inhibit angiogenesis and induce tumor regression, and yet have improved safety due to their **specificity**. The present invention thus provides new antibody-based compositions, methods and combined protocols for treating cancer and other angiogenic diseases. Advantageous immunoconjugate and prodrug compositions and methods using the new VEGF-specific antibodies are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 7 OF 139 USPTAFULL

ACCESSION NUMBER: 2001:201099 USPTAFULL  
TITLE: Methods and compositions for treating platelet-related disorders using MPL pathway inhibitory agents  
INVENTOR(S): Hansen, Stephen R., Stone Mountain, GA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002119144	A1	20020829
APPLICATION INFO.:	US 2002-117837	A1	20020408 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-666224, filed on 21 Sep 2000, GRANTED, Pat. No. US 6376242		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1994-154929P	19990421 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Maria A. Trevisan, Wolf, Greenfield & Sacks, P.C., Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA, 02210	
NUMBER OF CLAIMS:	66	
EXEMPLARY CLAIM:	1	
LINE COUNT:	262	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the treatment of subjects for the purpose inhibiting vaso-occlusive events, including thrombosis and embolism, by administering agents which reduce the number of circulating platelets to low or below normal levels. Methods and pharmaceutical preparations

comprising such agents are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 9 OF 139 USPATFULL

ACCESSION NUMBER: 2001:1206239 USPATFULL  
TITLE: Arrays of proteins and methods of use thereof  
INVENTOR(S): Wagner, Peter, Belmont, CA, UNITED STATES  
Ault-Riche, Dana, Palo Alto, CA, UNITED STATES  
Nock, Steffen, Redwood City, CA, UNITED STATES  
Itin, Christian, Menlo Park, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001110933	A1	20000815
APPLICATION INFO.:	US 2001-113964	A1	20000329 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1999-353215, filed on 14 Jul 1999, ABANDONED Continuation-in part of Ser. No. US 1998-115455, filed on 14 Jul 1998, GRANTED, Pat. No. US 6406921		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	Cyomyx, 26101 Research Road, Hayward, CA, 94545		
NUMBER OF CLAIMS:	39		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Page(s)		
LINE COUNT:	2275		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Protein arrays for the parallel, in vitro screening of biomolecular activity are provided. Methods of using the protein arrays are also disclosed. On the arrays, a plurality of different proteins, such as different members of a single protein family, are immobilized on one or more organic thinfilms on the substrate surface. The protein arrays are particularly useful in drug development, proteomics, and clinical diagnostics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 9 OF 139 USPATFULL

ACCESSION NUMBER: 2002:185271 USPATFULL  
TITLE: Pharmaceutical combinations  
INVENTOR(S): Brearley, Christopher John, Sandwich, UNITED KINGDOM  
Butler, Paul, Sandwich, UNITED KINGDOM  
Chahwala, Suresh Babubhai, Sandwich, UNITED KINGDOM  
Chopp, Michael, Sandwich, UNITED KINGDOM  
Krams, Michael, Sandwich, UNITED KINGDOM  
Looby, Michael, Sandwich, UNITED KINGDOM  
MacIntyre, Fiona, Sandwich, UNITED KINGDOM  
McElroy, Andrew Brian, Sandwich, UNITED KINGDOM  
McHarg, Aileen Dorothy, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002043179	A1	20020725
APPLICATION INFO.:	US 2001-469271	A1	20011001 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-25473	20001017
	US 2000-253847P	20001129 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Paul H. Ginsburg, Pfizer Inc., 20th Floor, 235 East 42nd Street, New York, NY, 10017-5735  
NUMBER OF CLAIMS: 49

EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 9 Drawing Pages  
LINE COUNT: 2309  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates, inter alia, to methods of treating pathological conditions involving neutrophils, comprising administering to a patient in need of such treatment a combination therapy comprising at least one Neutrophil Inhibitory Factor (NIF) and at least one other agent that protects neurons from toxic insult, inhibits the inflammatory reaction after brain damage or promotes cerebral reperfusion (i.e. neuroprotective or thrombolytic/fibrinolytic agents), or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 10 OF 189 USPTATFULL

ACCESSION NUMBER: 2002:171946 USPTATFULL  
TITLE: Kunitz-type protease inhibitor polynucleotides, polypeptides, and antibodies  
INVENTOR(S): Ruben, Steven M., Olney, MD, UNITED STATES  
Ni, Jian, Germantown, MD, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002090695	A1	20020711
APPLICATION INFO.:	US 2001-858718	A1	20010517 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WD 2000-US31917, filed on 21 Nov 2000, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-166751P	19991122 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	HUMAN GENOME SCIENCES INC, 9410 KEY WEST AVENUE, ROCKVILLE, MD, 20850	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
LINE COUNT:	12006	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel human KTPI polypeptides and isolated nucleic acids containing the coding regions of the genes encoding such polypeptides. Also provided are vectors, host cells, antibodies, and recombinant methods for producing human KTPI polypeptides. The invention further relates to diagnostic and therapeutic methods useful for diagnosing and treating disorders related to these novel human KTPI polypeptides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 11 OF 189 USPTATFULL

ACCESSION NUMBER: 2002:37526 USPTATFULL  
TITLE: Profiling of protease **specificity** using combinatorial fluorogenic substrate libraries  
INVENTOR(S): Harris, Jennifer L., San Diego, CA, UNITED STATES  
Backes, Bradley J., San Diego, CA, UNITED STATES  
Ellman, Jonathan A., Oakland, CA, UNITED STATES  
Crack, Charles S., San Francisco, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002022243	A1	20020221
APPLICATION INFO.:	US 2001-866192	A1	20010525 (9)

NUMBER	DATE
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PRIORITY INFORMATION: US 2000-209274P 20000602 (60)  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, TWO EMBARCADERO CENTER,  
 EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3884  
 NUMBER OF CLAIMS: 13  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 9 Drawing Page(s)  
 LINE COUNT: 1990

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method is presented for the preparation and use of fluorogenic  
**peptide** substrates that allows for the configuration of general  
 substrate libraries to rapidly identify the primary and extended  
**specificity** of enzymes, such as proteases. The substrates  
 contain a fluorogenic-leaving group, such as 7-amino-4-carbamoylmethyl-  
 coumarin (ACC). Substrates incorporating the ACC leaving group show  
 comparable kinetic profiles as those with the traditionally used  
 7-amino-4-methyl-coumarin (AMC) leaving group. The bifunctional nature  
 of ACC allows for the efficient production of single substrates and  
 substrate libraries using solid-phase synthesis techniques. The  
 approximately 3-fold increased quantum yield of ACC over AMC permits  
 reduction in enzyme and substrate concentrations. As a consequence, a  
 greater number of substrates can be tolerated in a single assay, thus  
 enabling an increase in the diversity space of the library. Soluble  
 positional protease substrate libraries of 137,180 and 6,859 members,  
 possessing amino acid diversity at the P4-P3-P2-P1 and P4-P3-P2  
 positions, respectively, were constructed. Employing this screening  
 method the substrate **specificities** of a diverse array of  
 proteases were profiled, including the serine proteases thrombin,  
 plasmin, **factor Xa**, uPA, tPA, granzyme B, trypsin,  
 chymotrypsin, human neutrophil elastase, and the cysteine proteases  
 papain and cruzain. The resulting profiles create a pharmacophoric  
 portrayal of the proteases allowing for the design of selective  
 substrates and potent **inhibitors**.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 12 OF 139 USPTAFULL

ACCESSION NUMBER: 2000:32572 USPTAFULL  
 TITLE: Bicyclic sulfonyl amino **inhibitors** of  
**factor Xa**

INVENTOR(S): Li, Wenhao, South San Francisco, CA, UNITED STATES  
 Marlowe, Charles K., Redwood City, CA, UNITED STATES  
 Scarborough, Robert M., Half Moon Bay, CA, UNITED  
 STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002019394	A1	20020214
APPLICATION INFO.:	US 2001-816781	A1	20010326 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-191715P	20000324 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MORGAN, LEWIS & BOCKIUS, 1800 M STREET NW, WASHINGTON, DC, 20036-5869	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
LINE COUNT:	151	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds of formulae I or Ia: ##STR1##

including their pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives having activity against mammalian **factor Xa** is described. Compositions containing such compounds are also described. The compounds and compositions are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 13 OF 189 USPATEFULL

ACCESSION NUMBER: 2002:290739 USPATEFULL  
 TITLE: Arrays of proteins and methods of use thereof  
 INVENTOR(S): Wagner, Peter, Belmont, CA, United States  
 Ault-Riche, Dana, Palo Alto, CA, United States  
 Nock, Steffen, Redwood City, CA, United States  
 Itin, Christian, Menlo Park, CA, United States  
 PATENT ASSIGNEE(S): Cymyx, Incorporated, Hayward, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6475803	B1	20021109
APPLICATION INFO.:	US 1999-353215		19990714 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1998-115455, filed on 14 Jul 1998		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Chin, Christopher L.		
LEGAL REPRESENTATIVE:	Hager, Alicia J., Heinkel, Gregory L.		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	9 Drawing Figure(s); 8 Drawing Page(s)		
LINE COUNT:	2339		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Protein arrays for the parallel, in vitro screening of biomolecular activity are provided. Methods of using the protein arrays are also disclosed. On the arrays, a plurality of different proteins, such as different members of a single protein family, are immobilized on one or more organic thinfilms on the substrate surface. The protein arrays are particularly useful in drug development, proteomics, and clinical diagnostics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 14 OF 189 USPATEFULL

ACCESSION NUMBER: 2002:283394 USPATEFULL  
 TITLE: N-guanidinoalkylamides, their preparation, their use, and pharmaceutical preparations comprising them  
 INVENTOR(S.): Klingler, Otmar, Rodgau, GERMANY, FEDERAL REPUBLIC OF  
 Zoller, Gerhard, Schoneck, GERMANY, FEDERAL REPUBLIC OF  
 Defossa, Elisabeth, Idstein, GERMANY, FEDERAL REPUBLIC OF  
 Al-Obeidi, Fahad A., Tucson, AZ, United States  
 Walser, Armin, Tucson, AZ, United States  
 Ostrem, James, Tucson, AZ, United States  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Frankfurt, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6472562	B1	20021029
APPLICATION INFO.:	US 2000-697188		20001027 (9)

NUMBER	DATE
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PRIORITY INFORMATION: EP 1999-121623 19991030  
DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Kumar, Shailendra  
LEGAL REPRESENTATIVE: Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P.  
NUMBER OF CLAIMS: 7  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)  
LINE COUNT: 2136

AB The present invention relates to compounds of the formula I, ##STR1##

in which A, L, Y, and k have the meanings indicated in the specification and claims. The compounds of the formula I are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses. They are reversible **inhibitors** of the blood clotting enzymes **factor Xa** and/or factor VIIa and can in general be applied in conditions in which an undesired activity of **factor Xa** and/or factor VIIa is present or for the cure or prevention of conditions in which an **inhibition** of **factor Xa** and/or factor VIIa is intended. The invention furthermore relates to processes for the preparation of compounds of the formula I, their use, in particular active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

L104 ANSWER 15 OF 189 USPATEFULL

ACCESSION NUMBER: 2002:167884 USPATEFULL  
TITLE: Antibody conjugate kits for selectively inhibiting VEGF  
INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
Brekken, Rolf A., Seattle, WA, United States  
PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6416758	B1	20020709
APPLICATION INFO.:	US 2000-561526		20000428 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-131432P	19990428 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Chan, Christina Y.	
ASSISTANT EXAMINER:	Huynh, Phuong	
LEGAL REPRESENTATIVE:	Williams, Morgan and Amerson	
NUMBER OF CLAIMS:	50	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 4 Drawing Page(s)	
LINE COUNT:	10439	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are antibodies that specifically inhibit VEGF binding to only one (VEGFR1) of the two VEGF receptors. The antibodies effectively inhibit angiogenesis and induce tumor regression, and yet have improved safety due to their **specificity**. The present invention thus provides new antibody-based compositions, methods and combined protocols for treating cancer and other angiogenic diseases. Advantageous immunconjugate and prodrug compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 16 OF 189 USPATEFULL

ACCESSION NUMBER: 2002:144299 USPATEFULL

TITLE: Conjugates of dithiocarbamates with pharmacologically active agents and uses therefor  
 INVENTOR(S): Lai, Bing-San, Encinitas, CA, United States  
 Wang, Tingmin, San Marcos, CA, United States  
 PATENT ASSIGNEE(S): Medinix, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6406131	B1	20020613
APPLICATION INFO.:	US 1998-453608		19981203 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. WO 1998-US10295, filed on 19 May 1998 Continuation of Ser. No. US 1997-869158, filed on 4 Jun 1997, now patented, Pat. No. US 5916910		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Davenport, Avis M.		
LEGAL REPRESENTATIVE:	Reiter, Stephen E., Foley & Lardner		
NUMBER OF CLAIMS:	21		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	5 Drawing Figure(s); 5 Drawing Page(s)		
LINE COUNT:	2157		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In accordance with the present invention, there are provided conjugates of nitric oxide scavengers (e.g., dithiocarbamates, or "DC") and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein. In addition, invention conjugates are more effective than unmodified pharmacologically active agents because cells and tissues contacted by the pharmacologically active agent(s) are protected from the potentially damaging effects of nitric oxide overproduction induced thereby as a result of the co-production of nitric oxide scavenger (e.g., dithiocarbamate), in addition to free pharmacologically active agent, when invention conjugate is cleaved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 17 OF 189 USPATEFULL  
 ACCESSION NUMBER: 2002:143940 USPATEFULL  
 TITLE: Cancer treatment methods using antibodies to aminophospholipids  
 INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
 Fan, Sophia, Dallas, TX, United States  
 PATENT ASSIGNEE(S): Board of Regents, The University of Texas System, Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6406693	B1	20020613
APPLICATION INFO.:	US 1999-351543		19990712 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1998-110608P	19981203 (60)
	US 1998-92672P	19980713 (60)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: GRANTED  
 PRIMARY EXAMINER: Bansal, Geetha P.  
 LEGAL REPRESENTATIVE: Williams, Morgan and Amerscn  
 NUMBER OF CLAIMS: 3  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 3 Drawing Figure s.; 3 Drawing Page s.

LINE COUNT: 7541

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are the surprising discoveries that aminophospholipids, such as phosphatidylserine and phosphatidylethanolamine, are stable and specific markers accessible in the luminal surface of tumor blood vessels, and that the administration of an anti-aminophospholipid antibody alone is sufficient to induce thrombosis, tumor necrosis and tumor regression in vivo. This invention therefore provides anti-aminophospholipid antibody-based methods and compositions for use in the specific destruction of tumor blood vessels and in the treatment of solid tumors. Although various antibody conjugates and combinations are thus provided, the use of naked, or unconjugated, anti-phosphatidylserine antibodies is a particularly important aspect of the invention, due to simplicity and effectiveness of the approach.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 13 OF 189 USPTAFULL

ACCESSION NUMBER: 2000:83242 USPTAFULL

TITLE: Methods and compositions for treating platelet-related disorders using MPL pathway inhibitory agents  
INVENTOR(S): Hanson, Stephen R., Stone Mountain, GA, United States  
PATENT ASSIGNEE(S): Emory University, Atlanta, GA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6376242	B1	20020423
APPLICATION INFO.:	US 2000-666224		20000921 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-154929P	19990921 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Lankford, Jr., Leon B.	
ASSISTANT EXAMINER:	Davis, Ruth A.	
LEGAL REPRESENTATIVE:	Wolf, Greenfield & Sacks, P.C.	
NUMBER OF CLAIMS:	47	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	2699	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the treatment of subjects for the purpose inhibiting vaso-occlusive events, including thrombosis and embolism, by administering agents which reduce the number of circulating platelets to low or below normal levels. Methods and pharmaceutical preparations comprising such agents are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 13 OF 189 USPTAFULL

ACCESSION NUMBER: 2000:91487 USPTAFULL

TITLE: .beta.-sheet mimetics and methods relating to the use thereof

INVENTOR(S): Qabar, Maher N., Redmond, WA, United States  
McMillan, Michael K., Bellevue, WA, United States  
Kahn, Michael S., Kirkland, WA, United States  
Tulinsky, John E., Seattle, WA, United States  
Ogb., Cyprian O., Bellevue, WA, United States  
Mathew, Jessymol, Bellevue, WA, United States  
PATENT ASSIGNEE(S): Moleculumatics Ltd., Bellevue, WA, United States (U.S. corporation)

NUMBER	KIND	DATE
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 PATENT INFORMATION: US 6372744 B1 20020416  
 APPLICATION INFO.: US 0000-501052 20000229 (9)  
 RELATED APPLN. INFO.: Division of Ser. No. US 1999-12234, filed on 12 Feb  
 1999, now patented, Pat. No. US 6117896  
 Continuation-in-part of Ser. No. US 1997-797418, filed  
 on 12 Feb 1997, now abandoned Continuation-in-part of  
 Ser. No. US 692420, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-47167P	19970519 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Higel, Floyd D.	
LEGAL REPRESENTATIVE:	Seed Intellectual Property Law Group PLLC	
NUMBER OF CLAIMS:	73	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 6 Drawing Page(s)	
LINE COUNT:	4223	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB .beta.-sheet mimetics and methods relating to the same are disclosed. The .beta.-sheet mimetics have utility as protease and kinase inhibitors, as well as inhibitors of transcription factors and protein-protein binding interactions. Methods of the invention include administration of a .beta.-sheet mimetic, or use of the same for the manufacture of a medicament for treatment of a variety of conditions associated with the targeted protease, kinase, transcription factor and/or protein-protein binding interaction.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 20 OF 189 USPTAFULL  
 ACCESSION NUMBER: 2001:19060 USPTAFULL  
 TITLE: Antibody conjugate compositions for selectively inhibiting VEGF  
 INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
 Brekken, Rolf A., Seattle, WA, United States  
 PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
 Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6342221	B1	20020129
APPLICATION INFO.:	US 2000-561108		20000428 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-131432P	19990429 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Chan, Christina Y.	
ASSISTANT EXAMINER:	Huynh, Phuong N.	
LEGAL REPRESENTATIVE:	Williams, Morgan and Amerson	
NUMBER OF CLAIMS:	63	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 4 Drawing Page(s)	
LINE COUNT:	10442	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are antibodies that specifically inhibit VEGF binding to only one (VEGFR2) of the two VEGF receptors. The antibodies effectively inhibit angiogenesis and induce tumor regression, and yet have improved safety due to their **specificity**. The present invention thus provides new antibody-based compositions, methods and combined protocols for treating cancer and other angiogenic diseases. Advantageous

immunconjugate and prodrug compositions and methods using the new VEGF-specific antibodies are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 11 OF 189 USPTFULL  
ACCESSION NUMBER: 2002:19058 USPTFULL  
TITLE: Antibody compositions for selectively inhibiting VEGF  
INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
Brekken, Rolf A., Seattle, WA, United States  
PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6342219	B1	20020129
APPLICATION INFO.:	US 2000-061500		20000428 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-131432P	19990428 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Chan, Christina Y.	
ASSISTANT EXAMINER:	Huynh, Phuong N.	
LEGAL REPRESENTATIVE:	Williams, Morgan and Amerson	
NUMBER OF CLAIMS:	50	
EXEMPLARY CLAIM:	20	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 4 Drawing Page(s)	
LINE COUNT:	10403	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are antibodies that specifically inhibit VEGF binding to only one (VEGFR2) of the two VEGF receptors. The antibodies effectively inhibit angiogenesis and induce tumor regression, and yet have improved safety due to their **specificity**. The present invention thus provides new antibody-based compositions, methods and combined protocols for treating cancer and other angiogenic diseases. Advantageous immunconjugate and prodrug compositions and methods using the new VEGF-specific antibodies are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 22 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2002077267 PCTFULL ED 20021011 EW 200240  
TITLE (ENGLISH): NUCLEIC ACID MOLECULES ENCODING A TRANSMEMBRAN SERINE  
PROTEASE 9, THE ENCODED POLYPEPTIDES AND METHODS BASED  
THEREON  
TITLE (FRENCH): MOLECULES D'ACIDE NUCLEIQUE CODANT UNE SERINE PROTEASE  
TRANSMEMBRANAIRE 9, POLYPEPTIDES CODES ET PROCEDES  
FONDES SUR CES DERNIERS  
INVENTOR(S): MADISON, Edwin, L.; ONG, Edgar, D.  
PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., for all designates States  
except US; MADISON, Edwin, L., for US only; ONG, Edgar,  
D., for US only  
AGENT: SEIDMAN, Stephanie, L.  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 2002077267	A2	20021003
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR		
	CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID		
	IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD		

MS MK MN MW MX MZ NO NZ OM OH PL PT RO RU SD SE SG SI  
 SK SL TJ TM TN TR TT TZ UA UB US UZ VN YU ZA ZM ZW GH  
 GM HE LS MW MZ SD SL SZ TZ UG UM ZW AM AZ BY BG BZ MD  
 RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
 NL PT SE TR BF BJ CF CG CI CM CA GN GQ GW ML MR NE SN  
 TD TG

APPLICATION INFO.: WO 2001-US9411 A 20000317  
 PRIORITY INFO.: US 2001-60/179,218 20010127  
 US 2001-60/191,811 20010515

ABEN Provided herein are type II transmembrane serine protease 9 (MTSP9) polypeptides. Zymogen and activated forms of these polypeptides as well as single and two chain forms of the protease domain are also provided. Methods using the polypeptides to identify compounds that modulate the protease activity of an MTSP<sup>9</sup> are provided.

ABFR La présente invention concerne des polypeptides de sérines protéases transmembranaires 9 (MTSP9), les formes zymogènes et activées de ces polypeptides ainsi que les formes monocaténares et bicaténares du domaine protéase. Des procédés d'utilisation de ces polypeptides pour identifier des composés qui modulent l'activité protéase d'une MTSP<sup>9</sup> sont également présentées.

L104 ANSWER 23 OF 189 POTFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 200207/263 POTFULL ED 20021011 EW 200240  
 TITLE (ENGLISH): NUCLEIC ACID MOLECULES ENCODING SERINE PROTEASE CVSP14, THE ENCODED POLYPEPTIDES AND METHODS BASED THEREON  
 TITLE (FRENCH): MOLECULES D'ACIDE NUCLEIQUE CODANT LA SERINE PROTEASE CVSP14, POLYPEPTIDES CODES ET PROCEDES  
 INVENTOR(S): MADISON, Edwin, L.; YEH, Jiunn Chern  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., for all designates States except US; MADISON, Edwin, L., for US only; YEH, Jiunn-Chern, for US only  
 AGENT: SEIDMAN, Stephanie, L.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

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WO 2002077263 A2 20021003

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BE BY BZ CA CH CN CO CR  
 CU CZ DE DK DM DO EC EE ES FI GB GD GE GH GM HE HU ID  
 IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD  
 MG MK MN MW MX MZ NO NZ OM OH PL PT RO RU SD SE SG SI  
 SK SL TJ TM TN TR TT TZ UA UB US UZ VN YU ZA ZM ZW GH  
 GM HE LS MW MZ SD SL SZ TZ UG UM ZW AM AZ BY BG BZ MD  
 RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
 NL PT SE TR BF BJ CF CG CI CM CA GN GQ GW ML MR NE SN  
 TD TG

APPLICATION INFO.: WO 2002-US9032 A 20020320  
 PRIORITY INFO.: US 2001-60/278,166 20010322

ABEN Provided herein are polypeptides designated CVSP14 polypeptides that exhibit protease activity as a single chain or as an activated two chain form. Methods using the polypeptides to identify compounds that modulate the protease activity thereof are provided. The polypeptides also serve as tumor markers.

ABFR L'invention porte sur des polypeptides appelés polypeptides CVSP14 qui présente l'activité de la protéase sous forme d'une chaîne unique ou sous une forme activée à deux chaînes. L'invention porte également sur des procédés utilisant ces polypeptides pour identifier des composés qui modulent l'activité de la protéase. Les polypeptides de cette invention peuvent également être utilisés comme marqueurs tumoraux.

L104 ANSWER 24 OF 189 POTFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 200207/155 POTFULL ED 20021011 EW 200240  
 TITLE (ENGLISH): KERATINOCYTE GROWTH FACTOR-2



TITLE (FRENCH): FACTEUR DE CROISSANCE DES KERATINOCYTES-2  
 INVENTOR(S): RUBEN, Steven, M.; JIMENEZ, Pablo; DUAN, D., Roxanne;  
 RAMPY, Mark, A.; MENDRICK, Donna; ZHANG, Jun; NI, Jian;  
 MOORE, Paul, A.; COLEMAN, Timothy, A.; GRUBER, Joachim,  
 R.; DILLON, Patrick, J.; GENTZ, Reiner, L.  
 PATENT ASSIGNEE(S): HUMAN GENOME SCIENCES, INC., for all designates States  
 except US; RUBEN, Steven, M., for US only; JIMENEZ,  
 Pablo, for US only; DUAN, D., Roxanne, for US only;  
 RAMPY, Mark, A., for US only; MENDRICK, Donna, for US  
 only; ZHANG, Jun, for US only; NI, Jian, for US only;  
 MOORE, Paul, A., for US only; COLEMAN, Timothy, A., for  
 US only; GRUBER, Joachim, R., for US only; DILLON,  
 Patrick, J., for US only; GENTZ, Reiner, L., for US  
 only  
 AGENT: STEFFEE, Eric, K.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 2002077155	A1	20021003
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR		
	CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID		
	IL IN IS JP KE KG KP KR KC LC LK LR LS LT LU LV MA MD		
	MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI		
	SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH		
	GM KE LS MW MZ SD SL SE TC UG ZM ZW AM AZ BY KG KZ MD		
	RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC		
	NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN		
	TD TG		
APPLICATION INFO.:	WO 2002-US191	A	20020104
PRIORITY INFO.:	US 2001-60/259,353		20010108
	US 2001-60/236,363		20010426
	US 2001-60/331,168		20011109

ABEN This invention relates to newly identified polynucleotides, polypeptides encoded by such polynucleotides, the use of such polynucleotides and polypeptides, as well as the production of such polynucleotides and polypeptides. More particularly, the polypeptide of the present invention is a Keratinocyte Growth Factor, sometimes hereinafter referred to as KGF-2 also formerly known as Fibroblast Growth Factor 12 (FGF-12). This invention further relates to the therapeutic use of KGF-2 to promote or accelerate wound healing. This invention also relates to novel mutant forms of KGF-2 that show enhanced activity, increased stability, higher yield or better solubility.

ABFR La presente invention concerne des polynucleotides, des polypeptides codes par ces polynucleotides nouvellement identifiées, l'utilisation de ces polynucleotides et polypeptides, ainsi que la production de ces polynucleotides et polypeptides. Plus precisement, le polypeptide de la presente invention est un facteur de croissance des keratinocytes, parfois signale ci-dessous sous le nom generique de s#x2264; KGF-2 s#x2265; et egalement connu sous le nom generique de facteur de croissance des fibroblastes 12 (FGF-12). La presente invention concerne egalement l'utilisation therapeutique du KGF-2 pour promouvoir ou accelérer la cicatrisation. La presente invention concerne egalement de nouvelles formes mutantes du KGF-2 presentant une activite ameliorée, une plus grande stabilite, un meilleur rendement ou une meilleure solubilite.

1104 ANSWER 25 OF 189 PTFULL COPYRIGHT 2002 Univentis  
 ACCESSION NUMBER: 2002071979 PTFULL ED 20021010 EW 200219  
 TITLE (ENGLISH): EXPRESSION PROFILES AND METHODS OF USE  
 TITLE (FRENCH): PROFILS D'EXPRESSION ET METHODES D'UTILISATION  
 INVENTOR(S): WAN, Jackson; WANG, Yixia  
 PATENT ASSIGNEE(S): ORTHO-CLINICAL DIAGNOSTICS, INC.

AGENT: PELTZ, Don  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

WO 2002074979 A1 20020926

DESIGNATED STATES

AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR  
CY DE DK DM DZ EC EE ES FI GB GD GE GH GM HN HU ID  
IL IN IS JP KE KG KP KR KZ LC LR LS LT LU LV MA MD  
ME MG MN MW MX MZ NO NZ OM PH PL PT RD RU SD SE SG SI  
SK SL TJ TM TN TR TT UA UG UZ VN YU ZA ZM ZW GH GM  
KE LS MW MZ SD SL SZ TC UG ZM ZW AM AZ BY KG KZ MD RU  
TJ TM AT BE CH CY DE DK EA FI FR GB GR IE IT LU MC NL  
PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD  
TG

APPLICATION INFO.: WO 2002-US9456 A 20020320

PRIORITY INFO.: US 2001-60/276,947 20010320

ABEN The present invention relates to gene expression profiles, algorithms to generate gene expression profiles, microarrays comprising nucleic acid sequences representing gene expression profiles, methods of using gene expression profiles and microarrays, and business methods directed to the use of gene expression profiles, microarrays, and algorithms. The present invention further relates to protein expression profiles, algorithms to generate protein expression profiles, microarrays comprising protein-capture agents that bind proteins comprising protein expression profiles, methods of using protein expression profiles and microarrays, and business methods directed to the use of protein expression profiles, microarrays, and algorithms.

ABFR La presente invention concerne des profils d'expression genetiques, des algorithmes permettant de produire des profils d'expression genetiques, des jeux ordonnees de microechantillons contenant des sequences d'acide nucleique representant des profils d'expression genetiques, des methodes d'utilisation des profils d'expression genetiques et des jeux ordonnees de microechantillons, et des techniques commerciales destinees a l'utilisation des profils d'expression genetiques, des jeux ordonnees de microechantillons et des algorithmes. L'invention concerne en outre des profils d'expression de proteines, des algorithmes permettant de produire des des profils d'expression de proteines, des jeux ordonnees de microechantillons comprenant des agents de capture de proteines qui se lient a des proteines comprenant des profils d'expression, des methodes d'utilisation des profils d'expression de proteines et des jeux ordonnees de microechantillons, et des techniques commerciales destinees a l'utilisation des profils d'expression de proteines, des jeux ordonnees de microechantillons et des algorithmes.

L104 ANSWER 26 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2002074929 PCTFULL ED 20021010 EW 200239

TITLE (ENGLISH): EVOLVING NEW MOLECULAR FUNCTION

TITLE (FRENCH): EVOLUTION D'UNE NOUVELLE FONCTION MOLECULAIRE

INVENTOR(S): LIU, David, R.; GARTNER, Zev, J.; KANAN, Matthew, W.

PATENT ASSIGNEE(S): PRESIDENT AND FELLOWS OF HARVARD COLLEGE, for all designates States except US; LIU, David, R., for US only; GARTNER, Zev, J., for US only; KANAN, Matthew, W., for US only

AGENT: SHAIR, Karoline, K., M.

LANGUAGE OF FILING: English

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2002074929 A2 20020926

DESIGNATED STATES

AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR

CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID  
IL IN IS JP KE KG KH KZ LC LK LR LS LT LU LV MA MD  
MG MK MN MW MX MZ NC NZ OM OH PL PT RO RU SD SE SG SI  
SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH  
GM GE LS MW MZ SD SL SZ TZ UG ZM ZW AM AZ BY KG KZ MD  
RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN  
TD TG

APPLICATION INFO.: WO 2002-US8546 A 20020319  
PRIORITY INFO.: US 2001-60/277,091 20010319  
US 2001-60/277,094 20010319  
US 2001-60/306,691 20010720  
US 2002-10/191,030 20020319

ABEN Nature evolves biological molecules such as proteins through iterated rounds of diversification, selection, and amplification. The present invention provides methods, compositions, and systems for synthesizing, selecting, amplifying, and evolving non-natural molecules based on nucleic acid templates. The sequence of a nucleic acid template is used to direct the synthesis of non-natural molecules such as unnatural polymers and small molecules. Using this method combinatorial libraries of these molecules can be prepared and screened. Upon selection of a molecule, its encoding nucleic acid template may be amplified and/or evolved to yield the same molecule of the present invention allow for the amplification and evolution of non-natural molecules in a manner analogous to the amplification of natural biopolymer such as polynucleotides and protein.

ABFR La nature fait évoluer les molécules biologiques telles que les protéines en les soumettant à des cycles répétées de diversification, sélection et amplification. La présente invention se rapporte à des procédés, des compositions et des systèmes permettant de synthétiser, sélectionner, amplifier et faire évoluer des molécules artificielles basées sur des modèles d'acides nucléiques. La séquence d'un modèle d'acide nucléique est utilisée pour diriger la synthèse de molécules artificielles, telles que des polymères et de petites molécules artificielles. Cette méthode permet la préparation et le criblage de bibliothèques combinatoires de ces molécules. Lors de la sélection d'une molécule, il est possible d'amplifier et/ou de faire évoluer son modèle d'acide nucléique codant de manière à produire la même molécule ou des molécules associées aux fins d'un nouveau criblage. Les méthodes et les compositions de la présente invention permettent l'amplification et l'évolution de molécules artificielles d'une manière **analogue** à l'amplification des biopolymères naturels du type polynucleotides et protéines.

L104 ANSWER 27 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2002072736 PCTFULL ED 20020927 EW 200238  
TITLE (ENGLISH): NUCLEIC ACID MOLECULES ENCODING A TRANSMEMBRANE SERINE  
PROTEASE 7, THE ENCODED POLYPEPTIDES AND METHODS BASED  
THEREON  
TITLE (FRENCH): MOLECULES D'ACIDES NUCLEIQUES CODANT POUR UNE SERINE  
PROTEASE TRANSMEMBRANAIRE 7, POLYPEPTIDES CODES ET  
PROCEDES ASSOCIES  
INVENTOR(S): MADISON, Edwin, L.; ONG, Edgar, O.  
PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., for all designated States  
except US; MADISON, Edwin, L., for US only; ONG, Edgar,  
O., for US only  
AGENT: SEHMAN, Stephanie, L.  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2002072736	A2	20020919
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DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR

CV CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID  
 IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD  
 MG MK MN MW MX ME NO NZ PH PL PT RO RU SD SE SG SI  
 SK SL TC TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH  
 GM HE LE MW MZ SD SL SE TZ UG ZM ZW AM AZ BY KG KZ MD  
 RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
 NL PT SE TR BF EC EG EG GI GN GA GN GQ GW ML MR NE SN  
 TD TG

APPLICATION INFO.: WO 01/01-0379 3 A 00021317  
 PRIORITY INFO.: US 01-60/275,842 00011313

ABEN Provided herein are type II transmembrane serine protease 7 (MTSP7) polypeptides. Zymogen and activated forms of these polypeptides as well as single and two chain forms of the protease domain are also provided. Methods using the polypeptides to identify compounds that modulate the protease activity of an MTSP7 are provided.

ABFR La presente invention concerne des polypeptides de serine protease transmembranaire 7 (MTSP7) de type II. L'invention concerne egalement des proenzymes et des formes activees de ces polypeptides ainsi que des formes simple chaine et double chaine du domaine protease. Cette invention concerne egalement des procedes consistant a utiliser les polypeptides pour identifier les composees qui modulent l'activite protease d'une MTSP7.

LI04 ANSWER 28 OF 189 PCTFULL COPYRIGHT 2002 Univentis  
 ACCESSION NUMBER: 2002072024 PCTFULL ED 20020927 EW 200238  
 TITLE (ENGLISH): TRANSGENIC PROTEINS FROM MULTI-GENE SYSTEMS, METHODS, COMPOSITIONS, USES AND THE LIKE RELATING THERETO  
 TITLE (FRENCH): PROTEINES TRANSGENIQUES OBTENUES A PARTIR DE SYSTEMES MULTIGENIQUES, PROCEDES, COMPOSITIONS, UTILISATIONS ET ANALOGUES APPARENTES  
 INVENTOR(S): COOPER, Julian, D; O'SICKEY, Tanya, K; BUTLER, Stephen, F  
 PATENT ASSIGNEE(S): PROGENETICS LLC, for all designates States except US; COOPER, Julian, D; O'SICKEY, Tanya, K; BUTLER, Stephen, F  
 AGENT: CRAWFORD, Robert, J.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2002072024	A2	20020919

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BJ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX ME NO NZ PH PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM HE LE MW MC SD SL SE TZ US ZM ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WI 0002-US7540 A 00020311  
 PRIORITY INFO.: US 01-60/274,943 00010310

ABEN A non-human transgenic mammalian animal, as described above, contains one or more exogenous double stranded DNA sequence(s) stably integrated into the genome of the animal, which comprises trans-acting regulatory units controlling expression of DNA sequences encoding proteins to be secreted into the milk of transgenic mammals. The DNA sequence of the trans-regulatory gene encodes transcriptional activating proteins, which are not secreted but made in a temporally controlled and mammary tissue specific manner. The DNA sequence containing the protein to be secreted in the milk is constructed in a separate gene sequence under the regulation of a minimal promoter and a trans-activation binding domain. The transgenic mammals are preferably pigs, cows, sheep, goats and rabbits. A related composition and method for making transgenic proteins

which require specialized propeptides for proper post-translational processing is also described.

ABFR L'invention porte sur un animal mammalien transgénique comprenant une ou plusieurs séquences exogènes d'ADN ou du moins intégrées de manière stable dans le génome de l'animal et des motifs de répétition régulateurs transactivateurs régulant l'expression des séquences d'ADN codant de protéines à sécréter dans le lait des mammifères transgéniques. La séquence d'ADN du gène transrégulateur code des protéines d'activation transcriptionnelles qui ne sont pas sécrétées, mais fabriquées de manière régulée dans le temps et de manière spécifique du tissu mammaire. La séquence d'ADN contenant la protéine à sécréter dans le lait est construite sur une séquence génique séparée sous la régulation d'un promoteur minimal et d'un domaine de liaison de transactivation. Les mammifères transgéniques sont de préférence des porcs, des vaches, des moutons, des chèvres et des lapins. L'invention porte également sur une composition appauvrie et sur un procédé de fabrication de protéines transgéniques qui ont besoin de propeptides spécialisés pour un traitement post-translational correct(.)

LI04 ANSWER 29 OF 189 PCTFULL COPYRIGHT 2001 Univentio  
ACCESSION NUMBER: 2002063017 PCTFULL ED 20020827 EW 200233  
TITLE (ENGLISH): INTEGRIN-BINDING CHIMERAS  
TITLE (FRENCH): CHIMERES POUVANT SE LIER A L'INTEGRINE  
INVENTOR(S): LU, Xingjie; KAKKAR, Vijay, Vir  
PATENT ASSIGNEE(S): TRIGEN LIMITED, for all designates States except US;  
LU, Xingjie, for US only; KAKKAR, Vijay, Vir, for US  
only  
AGENT: HARRISON GODDARD ECOTE  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2002063017	A2	20020815
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BC CA CH CN CO CR CU CC DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LF LS LT LU LV MA MD MG MK MN MW MX NC NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH GM KE LS MW MZ SD SL SE TZ UG ZM ZW AM AZ BY KG KZ MD EU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2002-GB500 A 20020305  
PRIORITY INFO.: US 2001-60/267,234 20010305

ABEN Products which contain two interlinked functional moieties of which one is an integrin-binding protein (e.g. a snake venom protein) or a homologue thereof. The products comprise a first portion which is an integrin-binding protein, a homologue thereof having a binding activity or a fragment of either which has integrin-binding activity, and, ligated to the first portion, a second portion which has a different function.

ABFR L'invention concerne des produits qui contiennent deux fragments fonctionnels entrelacés dont l'un est une protéine de liaison à l'intégrine (p. ex. une protéine du venin du serpent) ou un homologue de ladite protéine. Les produits comprennent une première partie qui est une protéine de liaison à l'intégrine, un homologue de ladite protéine ayant une activité de liaison, ou un fragment de l'un ou l'autre ayant une activité de liaison à l'intégrine; et une seconde partie liée à la première partie et ayant une fonction différente.

LI04 ANSWER 30 OF 189 PCTFULL COPYRIGHT 2001 Univentio  
ACCESSION NUMBER: 2002057273 PCTFULL ED 20020901 EW 200236  
TITLE (ENGLISH): SERINE PROTEASE INHIBITORS COMPRISING A HYDROGEN-BOND

ACCEPTOR  
 TITLE (FRENCH): INHIBITEURS DE LA SERINE PROTEASE COMPRENANT UN  
 ACCEPTEUR DE LIAISON HYDROGENE  
 INVENTOR(S): DEADMAN, John, Joseph; SPENDER, John; GREENIDGE,  
 Paulette, Angela; GODWIN, Christopher, Andrew; KAKKAR,  
 Vijay, Vir; SCULLY, Michael, Finkarr  
 PATENT ASSIGNEE(S): TRIGEN LIMITED, for all designates States except US;  
 DEADMAN, John, Joseph, for US only; SPENDER, John, for  
 US only; GREENIDGE, Paulette, Angela, for US only;  
 GODWIN, Christopher, Andrew, for US only; KAKKAR,  
 Vijay, Vir, for US only; SCULLY, Michael, Finkarr, for  
 US only  
 AGENT: HARRISON GODDARD FOOTE  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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	WO 2002057273	A1	20020725
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BC CA CH CN CO CR		
	CU CC DE DK DM DO EC EE ES FI GB GD GE GH GM HR HU ID		
	IL IN IS JP KE KG KP KR KC LC LK LR LS LT LU LV MA MD		
	MG MK MN MW MX MC NO NZ OM PH PL PT RO RU SD SE SG SI		
	SK SL TJ TM TN TR TT TS UA UG US UZ VN YU ZA ZM ZW GH		
	GM KE LS MW MC SD SL SE TC UG CM ZW AM AZ BY KG KZ MD		
	RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC		
	NL PT SE TR BF BG CF CG CI CM GA GN GQ GW ML MR NE SN		
	TD TG		
APPLICATION INFO.:	WO 2002-GB224	A	20020118
PRIORITY INFO.:	GB 2001-0101537.4		20010120
	US 2001-60/267,172		20010206

ABEN Compounds, useful as protease inhibitors, of the formula (I): where: Ar  
 is a ring or  
 ring system, for example a benzene ring, and may be substituted by one  
 or more moieties  
 in addition to X and LJ; X is a functional group which is a  
 hydrogen-bond acceptor,  
 e.g. a nitro or boronate group  $\text{BY}(\text{sp}^1)/\text{sp}^2/\text{sp}^3$ ; L is a linker,  
 most  
 preferably  $(\text{CR}(\text{sp}^5)/\text{R}(\text{sp}^6)/\text{S})-\text{S}-$ ; J is a moiety containing a  
 basic  
 nitrogen atom but not containing an amino acid residue, preferably  
 amidino, guanidino,  
 amino, carboxamido, hydroxylamino, or imidazolyl, or an N-substituted  
**analogue**  
 thereof.

ABFR L'invention concerne des composés utilisés comme inhibiteurs de  
 protéase représentés par la formule (I). Dans cette formule, Ar  
 représente un noyau ou un système cyclique, par exemple, un noyau  
 benzenique,  
 et peut être substitué par au moins une fraction en plus de X et LJ; X  
 représente un groupe fonctionnel accepteur de liaison hydrogène,  
 par exemple, un groupe nitro ou boronate  $\text{BY}(\text{sp}^1)/\text{sp}^2/\text{sp}^3$ ; L  
 représente  
 un liant, de préférence  $(\text{CR}(\text{sp}^5)/\text{R}(\text{sp}^6)/\text{S})-\text{S}-$ ; J représente  
 une fraction contenant un atome d'azote basique mais ne contenant pas de  
 résidu d'acide aminé, et contenant de préférence amidino,  
 guanidino, amino, carboxamido, hydroxylamino, ou imidazolyl, ou un  
**analogue**  
 de ceux-ci substitué par un N.

L124 ANSWER 31 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2002042336 PCTFULL ED 20020610 EW 200222  
 TITLE (ENGLISH): FGF-AFFINITY CHROMATOGRAPHY

TITLE (FRENCH): CHROMATOGRAPHIE D'AFFINITE AVEC FGF  
 INVENTOR(S): MCKENHAY, Wallace, L.; LIO, Yongde  
 PATENT ASSIGNEE(S): THE TEXAS A & M UNIVERSITY SYSTEM  
 AGENT: REEHE, Raymond, S.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

WD 002042336 A2 210205f0  
 DESIGNATED STATES AE AG AL AM AT AU AS BA BB BG BR BY BZ CA CH CN CO CR  
 CU CZ DE DK DM DO EC EE ES FI GB GD GE GH GM HR HU ID  
 IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD  
 ME MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI  
 SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZM ZW GH GM KE  
 LS MW MZ SD SL SE TC UG OM ZW AM AZ BY KG KZ MD RU TJ  
 TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT  
 SE TR BF BG CF CG CI CM GA GN GQ GW ML MR NE SN TD TG  
 APPLICATION INFO.: WD 2001-0343817 A 20011121  
 PRIORITY INFO.: US 2000-60/252,205 20001121  
 US 2001-60/277,735 20010321  
 US 2001-60/325,613 20010928  
 US 2001-60/325,502 20010928

ABEN The present invention relates to a method and apparatus for isolating anticoagulant heparin or heparan sulfate by binding the anticoagulant heparin or anticoagulant heparan sulfate onto an affinity matrix and separating the non-bound material from the bound material. The affinity matrix is made of a fibroblast growth factor immobilized on a support. The invention also relates to a method and composition for neutralizing anticoagulant catalysed by heparin, a heparin mimic, or a heparin derivative, by contacting heparin, a heparin mimic, or a heparin derivative with a fibroblast growth factor.

ABFR L'invention concerne un procede et un dispositif servant a isoler de l'heparine anticoagulante ou du sulfate d'heparane par fixation de l'heparine anticoagulante ou du sulfate d'heparane anticoagulant sur une matrice d'affinite et separation du materiau non fixe et du materiau fixe. Cette matrice d'affinite est constituee par un facteur de croissance de fibroblastes immobilise sur un support. Elle concerne egalement un procede et une composition servant a neutraliser l'anticoagulation catalysee par heparine, un **analogue** d'heparine ou un derive d'heparine, par mise en contact d'heparine, d'un **analogue** d'heparine ou d'un derive d'heparine avec un facteur de croissance de fibroblastes.

L104 ANSWER 32 OF 189 POTEULL COPYRIGHT 2002 Univention  
 ACCESSION NUMBER: 2002040654 POTEULL ED 20020610 EW 200221  
 TITLE (ENGLISH): POLYNUCLEOTIDE ENCODING A NOVEL HUMAN SERPIN SECRETED FROM LYMPHOID CELLS LSI-01  
 TITLE (FRENCH): POLYNUCLEOTIDE CODANT POUR UNE NOUVELLE SERPINE HUMAINE (LSI-01) SECRETEE A PARTIR DES CELLULES LYMPHOIDES  
 INVENTOR(S): CHEN, Jian; FEDER, John, N.; NELSON, Thomas; SEILER, Steven; BASSOLINO, Donna, A; CHENEY, Daniel, L.; DUCLOS, Frank  
 PATENT ASSIGNEE(S): BRISTOL-MYERS SQUIBB COMPANY, for all designated States except US; CHEN, Jian, for US only; FEDER, John, N., for US only; NELSON, Thomas, for US only; SEILER, Steven, for US only; BASSOLINO, Donna, A, for US only; CHENEY, Daniel, L., for US only; DUCLOS, Frank, for US only  
 AGENT: BRISTOL-MYERS SQUIBB COMPANY  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

WO 2002040654 A2 20020523  
 AS AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR  
 CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID  
 IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD  
 MG MK MN MW MX MZ NO NZ PH PL PT RD RU SD SE SG SI SK  
 SL TJ TM TR TT TZ UA US UZ VN YU ZA ZW ZY ZM ZN ZP  
 MW ML SD SL SZ TZ UG ZW AM AZ BY BG BR CA CH CN CO CR  
 CU CZ DE DK DM DZ EC EE ES FI FR GB GR IE IT LU MC NL PT SE TR  
 BF BT CF CG CI CM SA SN SD SW ML MR NE BN TD TG

APPLICATION INFO.: WO 1001-US43965 A 11011114  
 PRIORITY INFO.: US 1000-60/248,434 11011114  
 US 1000-60/257,610 11011221  
 US 1001-60/282,745 20010410

ABEN The present invention provides novel polynucleotides encoding LSI-01 polypeptides, fragments and homologues thereof. Also provided are vectors, host cells, antibodies, and recombinant and synthetic methods for producing said polypeptides. The invention further relates to diagnostic and therapeutic methods for applying these novel LSI-01 polypeptides to the diagnosis, treatment, and/or prevention of various diseases and/or disorders related to these polypeptides. The invention further relates to screening methods for identifying agonists and antagonists of the polynucleotides and polypeptides of the present invention.

ABFR La presente invention concerne des nouveaux polynucleotides codant pour des polypeptides LSI 01, des fragments et des homologues desdits polypeptides. L'invention concerne également des vecteurs, des cellules hôtes, des anticorps et des méthodes de recombinaison et des méthodes synthétiques destinées à la production de ces polypeptides. Ladite invention se rapporte en outre à des méthodes diagnostiques et thérapeutiques permettant d'appliquer ces nouveaux polypeptides LSI-01 au diagnostic, au traitement et/ou à la prévention de maladies et/ou de troubles divers associés auxdits polypeptides. Elle porte enfin sur des méthodes de criblage destinées à identifier des agonistes et des antagonistes des polynucleotides et des polypeptides susmentionnés.

L104 ANSWER 33 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2002039997 PCTFULL ED 20020610 EW 200221  
 TITLE (ENGLISH): ACE-2 MODULATING COMPOUNDS AND METHODS OF USE THEREOF  
 TITLE (FRENCH): COMPOSES MODULANT ACE-2 ET PROCEDES D'UTILISATION ASSOCIES

INVENTOR(S): ACTON, Susan, L.; OCAIN, Timothy, D.; GOULD, Alexandra, E.; DALES, Natalie, A.; GUAN, Bing; BROWN, James, A.; PATANE, Michael; KADAMBI, Vivek, J.; SOLOMON, Michael; STRICKER-KRONGRAD, Alain

PATENT ASSIGNEE(S): MILLENNIUM PHARMACEUTICALS, INC., for all designated States except US; ACTON, Susan, L., for US only; OCAIN, Timothy, D., for US only; GOULD, Alexandra, E., for US only; DALES, Natalie, A., for US only; GUAN, Bing, for US only; BROWN, James, A., for US only; PATANE, Michael, for US only; KADAMBI, Vivek, J., for US only; SOLOMON, Michael, for US only; STRICKER-KRONGRAD, Alain, for US only

AGENT: HANLEY, Elizabeth, A.

LANGUAGE OF FILING: English

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 1002019497 A 20020523  
 AS AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR  
 CU CZ DE DK DM DZ EC EE ES FI GB GI GE GH GM HR HU ID  
 IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD

DESIGNATED STATES



MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI  
 SK SL TJ TM TR TT TZ UA UB US UZ VN YU ZA ZW GH GM KE  
 LE MW MZ SD SL SZ T2 TG TW AM AC BY KG KZ MD RU TJ TM  
 AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
 TR BF BJ CF CG CI CM SA SN SQ SW ML MR NE SN TD TG  
 WO 2 01-0845713 A 20011031  
 US 2 01-084704,216 20011101  
 US 2001-08 873,181 20011529  
 US 2001-081371,741 20011019

APPLICATION INFO.:  
 PRIORITY INFO.:

ABEN ACE-2 modulating compounds for the treatment of body disorders are disclosed. Methods of using the compounds and pharmaceutical compositions containing the compounds are also claimed.  
 ABFR L'invention concerne des composés modulant ACE-2, destinés au traitement de problèmes de poids. L'invention concerne également des procédés d'utilisation de ces composés et des compositions pharmaceutiques contenant lesdits composés.

LI04 ANSWER 34 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2002032446 PCTFULL ED 20020515 EW 200217  
 TITLE (ENGLISH): PHARMACEUTICAL COMBINATIONS  
 TITLE (FRENCH): COMBINAISONS PHARMACEUTIQUES  
 INVENTOR(S): BREARLEY, Christopher, John; BUTLER, Paul; CHAHWALA, Suresh, Babubhai; CHOPP, Michael; KRAMS, Michael; LOOBY, Michael; MACINTYRE, Fiona; MCELROY, Andrew, Brian; MCHARG, Aileen, Dorothy  
 PATENT ASSIGNEE(S): PFIZER LIMITED, for GB only; PFIZER INC., for all designates States except GB US; BREARLEY, Christopher, John, for US only; BUTLER, Paul, for US only; CHAHWALA, Suresh, Babubhai, for US only; CHOPP, Michael, for US only; KRAMS, Michael, for US only; LOOBY, Michael, for US only; MACINTYRE, Fiona, for US only; MCELROY, Andrew, Brian, for US only; MCHARG, Aileen, Dorothy, for US only  
 AGENT: WOOD, David, J.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

-----  
 WO 2002032446 A2 20020425  
 DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY EC CA CH CN CO CR CU CZ DE DK DM D2 EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UB US UZ VN YU ZA ZW GH GM KE LE MW MZ SD SL SZ T2 TG TW AM AC BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM SA SN SQ SW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-IB1936 A 20011015  
 PRIORITY INFO.: GB 2000-0025473.0 20001017

ABEN This invention relates, inter alia, to methods of treating pathophysiological conditions involving neutrophils, comprising administering to a patient in need of such treatment a combination therapy comprising at least one Neutrophil Inhibitory Factor (NIF) and at least one other agent that protects neurons from toxic insult, inhibits the inflammatory reaction after brain damage or promotes cerebral reperfusion i.e. neuroprotective or thrombolytic/fibrinolytic agents), or a pharmaceutically acceptable salt thereof.  
 ABFR La présente invention concerne notamment des méthodes de traitement d'états pathophysiologiques impliquant des polynucléaires neutrophiles, lesquelles méthodes consistent à administrer à un patient nécessitant un tel traitement une polythérapie comportant au moins un facteur inhibiteur des polynucléaires neutrophiles (NIF) et au moins un autre agent qui protège les neurones d'une attaque toxique, inhibe la réaction

inflammatoire suite a une lesion cerebrale, ou favorise une reperfusion cerebrale c'est-a-dire des agents neuroprotecteurs ou thrombolytiques / fibrinolytiques, ou un sel pharmaceutiquement acceptable.

1104 ANSWER 35 OF 189 PCTFULL COPYRIGHT 2 00 Univentis  
 ACCESSION NUMBER: 2002029032 PCTFULL ED 20020617 HW 200215  
 TITLE ENGLISH: WHOLE CELL ENGINEERING BY MUTAGENIZING A SUBSTANTIAL  
 PORTION OF A STARTING GENOME, COMBINING MUTATIONS, AND  
 OPTIONALLY REPEATING  
 TITLE FRENCH: MANIPULATION DE CELLULE ENTIERE PAR MUTAGENESE D'UNE  
 PARTIE SUBSTANTIELLE D'UN GENOME DE DEPART, PAR  
 COMBINAISON DE MUTATIONS ET EVENTUELLEMENT PAR  
 REPETITION  
 INVENTOR(S): SHORT, Jay, M.; FU, Pengcheng; LATIERICH, Martin; WEI,  
 Jing; LEVIN, Michael  
 PATENT ASSIGNEE(S): DIVERSA CORPORATION, for all designates States except  
 US; SHORT, Jay, M., for US only; FU, Pengcheng, for US  
 only; LATIERICH, Martin, for US only; WEI, Jing, for US  
 only; LEVIN, Michael, for US only  
 AGENT: EINHORN, Gregory, P.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2002029032	A2 20020411
	AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR	
	CU CE DE DK DM EE EC EE ES FI GB GD GE GH GM HR HU ID	
	IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD	
	MG MK MN MW ME MT NO NE PH PL PT EO RU SD SE SG SI SK	
	SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS	
	MW ME SD SL SE TE UG SW AM AZ BY KE KZ MD EU TJ TM AT	
	BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR	
	BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG	
APPLICATION INFO.:	WO 2001-US31004	A 20011001
PRIORITY INFO.:	US 2000-09/677,584	20000930
	US 2001-60/274,702	20010323
	US 2001-PCT/US01/19367	20010614

ABEN An invention comprising cellular transformation, directed evolution, and screening methods for creating novel transgenic organisms having desirable properties. In one embodiment, this invention provides a method of generating a transgenic organism, such as a microbe or a plant, having a plurality of traits that are differentially activatable. This invention also provides a method of retooling genes and gene pathways by the introduction of regulatory sequences, such as promoters, that are operable in an intended host, this conferring operability to a novel gene pathway when it is introduced into an intended host. For example a novel man-made gene pathway, generated based on microbially-derived progenitor templates, that is operable in a plant cell. This invention also provides a method of generating novel host organisms having increased expression of desirable traits, recombinant genes, and gene products. This invention provides novel methods for determining polypeptide profiles, and protein expression variations, which methods are applicable to all sample types disclosed herein. The

present invention provides methods of simultaneously identifying and quantifying individual proteins in complex protein mixtures. Additionally this invention provides methods for cellular and metabolic engineering of new and modified phenotypes by using on-line or real-time metabolic flux analysis.

ABFR L'invention concerne des procedes de transformation cellulaire, d'evolution dirigee et de criblage utiles pour produire de nouveaux organismes transgeniques possedant des proprietes voulues. Dans une forme de realisation, l'invention concerne un procede de production d'organisme transgenique, tel qu'un microbe ou une plante, comportant une pluralite de caracteristiques activables de maniere differenciee. L'invention concerne aussi un procede de remaniement de genes et de voies geniques par l'introduction de sequences regulatrices, tels des promoteurs, qui peuvent etre activees chez un hote voulu et sont ainsi capables de conferer une capacite d'activation a une nouvelle voie genique apres introduction de celle-ci dans un hote voulu; par exemple, une nouvelle voie genique artificielle, produite sur la base de modeles de progeniteurs derives de microbes, qui peut etre activee dans une cellule vegetale. Cette invention concerne aussi un procede de production de nouveaux organismes hotes possedant une expression accrue de caracteristiques voulues, de genes recombinés et de produits geniques; de nouveaux procedes servant a determiner des profils de polypeptides et des variations d'expression de proteines, ces procedes pouvant etre appliques a tous les types d'echantillons decrits; des procedes permettant d'identifier et de quantifier simultanement des proteines individuelles dans des melanges complexes de proteines. De plus, l'invention concerne des procedes de mise au point cellulaire et metabolique de nouveaux phenotypes modifies utilisant une analyse de flux metabolique &#x2264; en ligne &#x2265; ou &#x2264; en temps reel &#x2265;.

LI04 ANSWER 36 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2002026781 PCTFULL ED 20020701 EW 200214  
 TITLE (ENGLISH): IGE RECEPTOR ANTAGONISTS  
 TITLE (FRENCH): ANTAGONISTES DU RECEPTEUR D'IGE  
 INVENTOR(S): LOWMAN, Henry B.; REYNOLDS, Mark E.; NAKAMURA, Gerald R.; STAROVASNIK, Melissa A.  
 PATENT ASSIGNEE(S): GENENTECH, INC., for all designates States except US; LOWMAN, Henry B., for US only; REYNOLDS, Mark E., for US only; NAKAMURA, Gerald R., for US only; STAROVASNIK, Melissa A., for US only  
 AGENT: SVOBODA, Craig G.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2002026781	A2	20020404
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DO EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MP MQ MW MX NC ND NE NH NI NL NO NZ PA PG PH PL PT RD RE SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW ZY		
APPLICATION INFO.:	WO 2001-US0289	A	20010926

PRIORITY INFO.: US 2001-61/235,353 20000926  
US 2001-60/079,140 20010323

ABEN The invention provides novel compounds which bind to the high affinity receptor for immunoglobulin E (IgE) designated Epsilon;RI and methods for identifying and preparing such compounds. In particular aspects, the invention provides to the treatment of disorders mediated by IgE utilizing the novel compounds of the invention. The invention also provides compositions, such as pharmaceutical compositions, comprising the novel compounds, as well as for their use in research, diagnostic, therapeutic, and prophylactic methods.

ABFR L'invention concerne de nouveaux composés se liant à un récepteur de haute affinité pour l'immunoglobuline E (IgE), désigné par Epsilon;RI, ainsi que des procédés d'identification et de préparation de tels composés. Sous des aspects particuliers, l'invention concerne le traitement de troubles occasionnés par IgE, traitement utilisant les nouveaux composés de l'invention. L'invention concerne en outre des compositions, telles que des compositions pharmaceutiques, renfermant les nouveaux composés, ainsi que leur utilisation en recherche et dans des méthodes de diagnostic, thérapeutiques et prophylactiques.

L104 ANSWER 37 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1179541 EUROPATEFULL EW 200207 FS OS  
TITLE: Compositions and methods for cancer treatment by selectively inhibiting VEGF.  
Zusammensetzungen und Verfahren zur Krebsbehandlung durch die selektive Hemmung von VEGF.  
Compositions et procédés de traitement du cancer par l'inhibition selective du VEGF.  
INVENTOR(S): The designation of the inventor has not yet been filed  
PATENT ASSIGNEE(S): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM, Office of General Council, 201 West 7th Street, Austin, Texas 78701, US  
PATENT ASSIGNEE NO: 266341  
AGENT: Gowshall, Jonathan Vallance, FOFESTER & BOEHMERT Pettenkoferstrasse 20-22, 80336 Muenchen, DE 81531  
AGENT NUMBER: 61531  
OTHER SOURCE: BEPA2002015 EP 1179541 A1 0149  
SOURCE: Wila-EP2-2002-H07-T1a  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: E AT; R BE; R CH; R CY; R DE; F DK; R ES; R FI; R FR; R GB; F GR; F IE; F IT; R LI; F LU; R MC; R NL; R PT; R SE; R AL; R LT; F LV; R MK; F PG; R SI  
PATENT INFO.PUB.TYPE: EPAL EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 1179541	A1 20020613
'OFFENLEGUNGS' DATE:		20020613
APPLICATION INFO.:	EP 2001-125301	20000428
PRIORITY APPLN. INFO.:	US 1999-131482	19990428
RELATED DOC. INFO.:	EP 9301939	DIV

L104 ANSWER 38 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 994893 EUROPEAN FULL EW 200201 FS FS  
 TITLE: SELECTIVE **FACTOR Xa**  
**INHIBITORS** CONTAINING A FUSED AZEPINONE  
 STRUCTURE.  
 SELEKTIVE INHIBITOREN DES FAKTORS X, EINE  
 AZEPINONSTRUKTUR ENTHALTEND.  
 INHIBITEURS SELECTIFS DU FACTEUR Xa CONTENANT UNE  
 STRUCTURE D'AZEPINONE CONDENSEE.  
 INVENTOR(S): SCARBOROUGH, Robert, M., 2544 Belmont Canyon Road,  
 Belmont, CA 94002, US  
 PATENT ASSIGNEE(S): COR THERAPEUTICS, INC., 256 East Grand Avenue, Suite 80,  
 South San Francisco, CA 94080, US  
 PATENT ASSIGNEE NO: 1193100  
 AGENT: Daireau, Marc et al., Cabinet Dres 6, avenue de Messine,  
 75009 Paris, FR  
 AGENT NUMBER: 44325  
 OTHER SOURCE: SEPEL000001 EP 0294893 B1 0062  
 SOURCE: Wila-EP3-2002-H01-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veröffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R  
 GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPEISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND	DATE
'OFFENLEGUNGS' DATE:	EP 994893	B1	20020102
APPLICATION INFO.:	EP 1993-939911		19990811
PRIORITY APPLN. INFO.:	US 1997-92316		19970811
	US 1997-907779		19970811
RELATED DOC. INFO.:	WO 98-US16704	980811	INTAK2
	WO 9907730	990218	INTPNR
REFERENCE PAT. INFO.:	WO 97-05160 A		
REF. NON-PATENT-LIT.:	J A ROBL ET AL.: "Dual metalloprotease inhibitors. II. Effect of substitution and stereochemistry on benzazepinone based mercaptoacetyls" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 4, no. 15, 1994, pages 1795-1800, XP000196070 Amsterdam J A ROBL ET AL.: "Dual metalloprotease inhibitors. I. Incorporation of bicyclic and substituted monocyclic azepinones as dipeptide surrogates in angiotensin-converting enzyme/neutral endopeptidase inhibitors" JOURNAL OF MEDICINAL AND PHARMACEUTICAL CHEMISTRY., vol. 39, 1996, pages 494-502, XP000749701 EASTON US		

L104 ANSWER 39 OF 189

USPATFULL DUPLICATE 1  
 ACCESSION NUMBER: 2001:159554 USPATFULL  
 TITLE: PROTEASE INHIBITOR **PEPTIDES**  
 INVENTOR(S): WHITE, R. TYLER, FREMONT, CA, United States  
 DAMM, DEBORAH, REDWOOD CITY, CA, United States  
 LESIKAR, DAVID D., PALO ALTO, CA, United States  
 MCPADDEN, KATHLEEN, MOUNTAIN VIEW, CA, United States  
 GARRICK, BRETT L., PALO ALTO, CA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6001020003	A1	20010906
	US 6176648	B2	20020423
APPLICATION INFO.:	US 1999-134974	A1	19990121 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		

LEGAL REPRESENTATIVE: FOLEY & LARDNER, 3000 K STREET NW, SUITE 500,  
WASHINGTON, DC, 200075109

NUMBER OF CLAIMS: 79

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 51 Drawing Page(s)

LINE COUNT: 2113

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB **Analogues of the Kunitz Protease Inhibitor (KPI)**  
domain of amyloid precursor protein bind to and inhibit activity of  
serine proteases, including kallikrein, plasmin and coagulation factors  
such as factors VIIa, IXa, Xa, XIa, and XIIa. Pharmaceutical  
compositions containing the KPI **analogues**, along with methods  
for using such compositions, are useful for ameliorating and treating  
clinical conditions associated with increased serine protease activity,  
such as blood loss related to cardiopulmonary bypass surgery. Nucleic  
acid sequences encoding these **analogues** and systems for  
expression of the **peptides** of the invention are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 40 OF 139 USPTFULL

ACCESSION NUMBER: 2001:91499 USPTFULL

TITLE: HIGH THROUGHPUT METHOD FOR FUNCTIONALLY CLASSIFYING  
PROTEINS IDENTIFIED USING A GENOMICS APPROACH

INVENTOR(S): PANTOLIANO, MICHAEL W., AVONDALE, PA, United States  
SALEMME, F. RAYMOND, YARDLEY, PA, United States  
CAEVER, THEODORE E., JR., THORNDALE, PA, United States

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001003648	A1	20010614
APPLICATION INFO.:	US 1998-190128	A1	19981112 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-651298	19971112 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	STERNE KESSLER GOLDSTEIN AND FOX, SUITE 600, 1100 NEW YORK AVENUE NW, WASHINGTON, DC, 200053934	
NUMBER OF CLAIMS:	30	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	11 Drawing Page(s)	
LINE COUNT:	2511	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method for functionally classifying a  
protein that is capable of unfolding due to a thermal change. The method  
comprises screening one or more of a multiplicity of different molecules  
for their ability to shift the thermal unfolding curve of the protein,  
wherein a shift in the thermal unfolding curve indicates that the  
molecule binds to the protein or affects the stability in a measurable  
way; generating an activity spectrum for the protein wherein the  
activity spectrum reflects a set of molecules, from the multiplicity of  
molecules, that shift the thermal unfolding curve, of the protein and  
therefore are ligands that bind to the protein, comparing the activity  
spectrum for the protein to one or more functional reference spectrum  
lists; and classifying the protein according to the set of molecules in  
the multiplicity of different molecules that shift the thermal unfolding  
curve of the protein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 41 OF 139 USPTFULL

ACCESSION NUMBER: 2001:235319 USPTFULL

TITLE: Kallikrein-binding "Kunitz domain" proteins and

**analogues thereof**  
 INVENTOR(S): Markland, William, Milford, MA, United States  
 Ladner, Robert Charles, Ijamsville, MD, United States  
 PATENT ASSIGNEE(S): Wyax Corp., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6333402	B1	20011225
APPLICATION INFO.:	US 1993-421097		19991019 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-209264, filed on 10 Mar 1994, now patented, Pat. No. US 6357237 Continuation-in-part of Ser. No. US 1994-179964, filed on 11 Jan 1994, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Achutamurthy, Ponnathapu		
ASSISTANT EXAMINER:	Pak, Yong		
LEGAL REPRESENTATIVE:	Yankwich, Leon R., Zwicker, Kenneth P., Maravic-Magovcevic, Ivana		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	53 Drawing Figure(s); 15 Drawing Page(s)		
LINE COUNT:	3154		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides: novel protein homologous of a Kunitz domain, which are capable of binding kallikrein; polynucleotides that encode such novel proteins; and vectors and transformed host cells containing these polynucleotides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 42 OF 189 USPATEFULL  
 ACCESSION NUMBER: 2001:195603 USPATEFULL  
 TITLE: Cancer treatment methods using therapeutic conjugates that bind to aminophospholipids  
 INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
 Ran, Sophia, Dallas, TX, United States  
 PATENT ASSIGNEE(S): Board of Regents, The University of Texas System, Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6312694	B1	20011136
APPLICATION INFO.:	US 1993-351457		19990712 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1993-025899	19930712 (60)
	US 1993-110600P	19931202 (60)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: GRANTED  
 PRIMARY EXAMINER: Bansal, Geetha P.  
 LEGAL REPRESENTATIVE: Williams, Morgan & Amerson  
 NUMBER OF CLAIMS: 10  
 EXEMPLARY CLAIM: 1,2,3,4  
 NUMBER OF DRAWINGS: 6 Drawing Figure(s); 3 Drawing Page(s)  
 LINE COUNT: 4243

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed is the surprising discovery that aminophospholipids, such as phosphatidylserine and phosphatidylethanolamine, are specific, accessible and stable markers of the luminal surface of tumor blood vessels. The present invention thus provides aminophospholipid-targeted diagnostic and therapeutic constructs for use in tumor intervention. Antibody-therapeutic agent conjugates and constructs that bind to

aminophospholipids are particularly provided, as are methods of specifically delivering therapeutic agents, including toxins and coagulants, to the stably-expressed aminophospholipids of tumor blood vessels, thereby inducing thrombosis, necrosis and tumor regression.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 43 OF 189 USPATFULL

ACCESSION NUMBER: 2001:121342 USPATFULL

TITLE: Conjugates of dithiocarbamate disulfides with pharmacologically active agents and uses therefor

INVENTOR(S): Lai, Ching-San, Encinitas, CA, United States  
Vassilev, Vassil P., San Diego, CA, United States  
Wang, Tingmin, San Marcos, CA, United States

PATENT ASSIGNEE(S): Medinix, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6274627	B1	20010914
APPLICATION INFO.:	US 1222-416619		19991012 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Weddington, Kevin E.		
LEGAL REPRESENTATIVE:	Reiter, Stephen E.Foley & Lardner		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	!		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 5 Drawing Page(s)		
LINE COUNT:	2173		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In accordance with the present invention, there are provided conjugates of physiologically compatible free radical scavengers (e.g., dithiocarbamate disulfides (DD)) and pharmacologically active agents (e.g., NSAIDS). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein. In addition, invention conjugates are more effective than unmodified pharmacologically active agents because cells and tissues contacted by the pharmacologically active agent(s) are protected from the potentially damaging effects of free radical overproduction induced thereby as a result of the co-production of free radical scavenger (e.g., dithiocarbamate), in addition to free pharmacologically active agent, when invention conjugate is cleaved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 44 OF 189 USPATFULL

ACCESSION NUMBER: 2001:86465 USPATFULL

TITLE: .beta.-sheet mimetics and use thereof as inhibitors of biologically active **peptides** or proteins

INVENTOR(S): Fahn, Michael, Kirkland, WA, United States  
Ogbu, Cyprian O., Bellevue, WA, United States  
Eguchi, Masakatsu, Bellevue, WA, United States  
Kim, Hwa-Ok, Redmond, WA, United States  
Boatman, Jr., Patrick Douglas, Issaquah, WA, United States

PATENT ASSIGNEE(S): Moleculonetics Ltd., Bellevue, WA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6240764	B1	20010612
APPLICATION INFO.:	US 1999-9665		19990120 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1996-025073, filed on 2 Oct		



1996, now abandoned Continuation-in-part of Ser. No. US 1996-624696, filed on 25 Mar 1996, now abandoned  
Continuation-in-part of Ser. No. US 1995-549006, filed on 17 Oct 1995, now abandoned Continuation-in-part of  
Ser. No. US 1995-410518, filed on 24 Mar 1995, now  
abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: GRANTED  
PRIMARY EXAMINER: Lukton, David  
LEGAL REPRESENTATIVE: Seed Intellectual Property Law Group PLLC  
NUMBER OF CLAIMS: 22  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 4 Drawing Page(s)  
LINE COUNT: 2975  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are disclosed .beta.-sheet mimetics and methods relating to the same for imparting or stabilizing the .beta.-sheet structure of a **peptide**, protein or molecule. In one aspect, .beta.-sheet mimetics are disclosed having utility as protease inhibitors in general and, more specifically, as serine protease inhibitors such as thrombin, elastase and Factor X inhibitors. In one embodiment, the .beta.-sheet mimetic is a thrombin inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 45 OF 189 USPTAFULL  
ACCESSION NUMBER: 2001:82499 USPTAFULL  
TITLE: Immunoassays for catalytically-active, serine proteases  
INVENTOR(S.): Mann, Kenneth G., Shelburne, VT, United States  
Williams, Brady, St. Paul, MN, United States  
Tracy, Russell P., Essex Junction, VT, United States  
PATENT ASSIGNEE(S): University of Vermont and State Agricultural College,  
Burlington, VT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6242173	B1	20010605
APPLICATION INFO.:	US 1992-833646		19920307 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1988-252506, filed on 30 Sep 1988, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Marschel, Ardin H.  
LEGAL REPRESENTATIVE: Lahive & Cockfield, LLP, DeConti, Jr., Giulio A.  
NUMBER OF CLAIMS: 36  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 2 Drawing Page(s)  
LINE COUNT: 1826  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods for detecting and/or quantifying catalytically-active, serine proteases in a biological fluid are disclosed. The methods are useful for measuring the active enzymes of the coagulation/fibrinolytic system and evaluating the system or components of the system as indicative of thrombosis-related disorders. The methods involve the combined use of halomethyl ketone probes having broad **specificity** for catalytically-active serine proteases and immunological reagents specific for serine proteases of particular types. The halomethyl ketone probes are active site specific; they are only incorporated into catalytically-active serine proteases. An antibody is used to provide **specificity** for the particular type of serine protease. By the combined active-site-**specificity** of the halomethyl ketone probes and the type-**specificity** of the antibody, the catalytically-active fraction of a particular serine protease is determined.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 46 OF 189 USPATFULL

ACCESSION NUMBER: 100143957 USPATFULL

TITLE: Methods of determining endogenous thrombin potential  
ETP and thrombin substrates for use in said methods

INVENTOR(S): Hemker, Hendrik Coenraad, Tongerstraat 41, NL-6211 LM  
Maastricht, Netherlands

Rijkers, Dirk Thomas Sigurd, Eindhoven, Netherlands

Tesser, Godefridus Ignatius, Nijmegen, Netherlands

PATENT ASSIGNEE(S): Hemker, Hendrik Coenraad, Maastricht, Netherlands  
non-U.S. individual)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6207399	B1	20010327
	WO 9621740		19960718
APPLICATION INFO.:	US 1997-360303		19970905 (e)
	WO 1996-NL13		19960110
			19970905 PCT 371 date
			19970905 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1995-43	19950110
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Saunders, David	
ASSISTANT EXAMINER:	Tung, Mary Beth	
LEGAL REPRESENTATIVE:	Pillsbury Winthrop LLP	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	20 Drawing Figure(s); 10 Drawing Page(s)	
LINE COUNT:	3996	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method for determining the endogenous thrombin potential of a sample having a total anticoagulant activity of or equivalent to at least 0.07 U ISH/ml, includes using a thrombin substrate or a salt thereof that is soluble in the sample to determine the ETP of the sample. Suitable thrombin substrate include those of the formula P-Val-Xaa-S, in which P is an amino protective group, that is non-aromatic and polar, Val is a valine residue attached via a **peptide** bond to Xaa, Xaa is an amino acid residue comprising a terminal guanidino group or ureido group separated by at least 2 carbon atoms from the **peptide** backbone the amino acid residue is attached to S and S is a signal group such as a chromophore that can be enzymatically hydrolyzed. Other substrates include substrates comprising the structure Zaa-Pipecolyl-Yaa-S or Zaa-Pro-Yaa-S, wherein Zaa represents D-Phenylalanine, D-Tryptophan or D-Tyrosine, Pro represents proline, Yaa is an amino acid residue other than **arginine** and S is a signal marker can also be used. The substrates Boc-Gly-Val-Arg-pNA and H-Glu-Gly-Gly-Val-Arg-pNA are also applicable. Furthermore ETP determination methods can be improved by addition of hydroxylamine to the sample to circumvent defibrination of the sample.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 47 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 111096551 PCTFULL ED 20020926

TITLE (ENGLISH): WHOLE CELL ENGINEERING BY MUTAGENIZING A SUBSTANTIAL  
PORTION OF A STARTING GENOME, COMBINING MUTATIONS, AND  
OPTIONALLY REPEATING

TITLE (FRENCH): INGENIERIE CELLULAIRE COMPLETE PAR MUTAGENESE D'UNE  
PARTIE SUBSTANTIELLE D'UN GENOME DE DEPART, PAR  
COMBINAISON DE MUTATIONS ET EVENTUELLEMENT REPETITION

INVENTOR(S): SHORT, Jay, M.  
PATENT ASSIGNEE(S): DIVERSA CORPORATION; SHORT, Jay, M.  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2001094551 A2 20011120  
AS AG AL AM AT AU AZ BA BB BG BR BY BJ CA CH CN CO CR  
CU CZ DE DK DM DZ EC EE EG FI GB GD GE GH GM HR HU ID  
IL IN IS JP KE KG KP KR KC LC LE LR LS LT LU LV MA MD  
MG MK MN MW MX MZ ND NZ PL PT RO RU SD SE SG SI SK SL  
TC TM TR TT TZ UA UG US VN YU ZA ZW ZH ZM ZN ZP ZR  
MZ SD SL SZ TZ UG ZW AM AE BY EG KZ MD RU TJ TM AT BE  
CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF  
BG CP CG CI CM GA GN GW ML MR NE SN TO TG  
APPLICATION INFO.: WO 2001-US19367 A 20010614  
PRIORITY INFO.: US 2000-09/594,459 20000614  
US 2000-09/677,594 20000930

ABEN An invention comprising cellular transformation, directed evolution, and screening methods for creating novel transgenic organisms having desirable properties. Thus in one aspect, this invention relates to a method of generating a transgenic organism, such as a microbe or a plant, having a plurality of traits that are differentially activatable. Also, a method of retooling genes and gene pathways by the introduction of regulatory sequences, such as promoters, that are operable in an intended host, thus conferring operability to a novel gene pathway when it is introduced into an intended host. For example a novel man-made gene pathway, generated based on microbially-derived progenitor templates, that is operable in a plant cell. Furthermore, a method of generating novel host organisms having increased expression of desirable traits, recombinant genes, and gene products.

ABFR L'invention porte sur des procedes de transformation cellulaire, d'evolution dirigee et de criblage en vue de creer de nouveaux organismes transgeniques aux proprietes souhaitees. En variante, cette invention porte sur un procede de generation d'un organisme transgenique tel qu'un microbe ou une plante presentant une pluralite de caracteristiques pouvant etre activees de maniere differentielle. L'invention porte aussi sur un procede permettant de restructurer des genes et des mecanismes d'action genetiques par l'introduction de sequences regulatrices telles que des promoteurs pouvant agir dans un hote determine, ce qui confere une operabilite a un nouveau mecanisme d'action genetique lorsqu'il est introduit dans un hote determine. Par exemple, un nouveau mecanisme d'action genetique artificiel, genere a partir de gabarits de progeniteurs derives de microbes, peut etre utilise dans une cellule vegetale. L'invention porte en outre sur de nouveaux organismes hotes dont les caracteristiques souhaitees, les genes de recombinaison et les produits geniques ont une expression accrue.

L104 ANSWER 48 OF 139 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2001094332 PCTFULL ED 20020826  
TITLE (ENGLISH): PROFILING OF PROTEASE **SPECIFICITY** USING  
COMBINATORIAL FLUORESCENT SUBSTRATE LIBRARIES  
TITLE (FRENCH): PROFILAGE DES PARTICULARITES D'ENZYMES A L'AIDE DE  
BIBLIOTHEQUES COMBINATOIRES DE SUBSTRATS FLUOREGENES  
INVENTOR(S): HARRIS, Jennifer, L.; BACKES, Bradley, J.; ELLMAN,  
Jonathan, A.; CRAIK, Charles, S.  
PATENT ASSIGNEE(S): REGENTS OF THE UNIVERSITY OF CALIFORNIA; HARRIS,  
Jennifer, L.; BACKES, Bradley, J.; ELLMAN, Jonathan,  
A.; CRAIK, Charles, S.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2001094332 A1 200111213

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR  
CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID  
IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD  
MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL  
SJ SM TR TT TZ UA UG US UZ VN YU ZA ZW ZY GM KE LS MW  
MZ SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE  
CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF  
BJ BF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-US17265 A 20010525  
PRIORITY INFO.: US 2000-60/209,274 20000602  
US 2001-09/366,182 20010525

ABEN Fluorogenic **peptide** substrates allow for the configuration of general substrate libraries to rapidly identify the primary and extended **specificity** of enzymes, such as proteases. The substrates contain a fluorogenic-leaving group, such as 7-amino-4-carbamoylmethyl-coumarin (ACC). Substrates incorporating the ACC leaving group show comparable kinetic profiles as those with the traditionally used 7-amino-4-methyl-coumarin (AMC) leaving group. The bifunctional nature of ACC allows for the efficient production of single substrates and substrate libraries using solid-phase synthesis techniques. The approximately 3-fold increased quantum yield of ACC over AMC permits reduction in enzyme and substrate concentrations, so that a greater number of substrates can be tolerated in a single assay, thus enabling an increase in the diversity space of the library. Employing this screening method, the substrate **specificities** of a diverse array of proteases were profiled, including serine proteases and cysteine proteases.

ABFR L'invention porte sur des substrats de **peptides** fluorigenes servant a creer des bibliotheques de substrats generaux permettant d'identifier rapidement les particularites primaires et etendues d'enzymes, telles que des proteases. Les substrats contiennent un groupe partant fluorigene tel qu'un groupe 7-amino-4-carbamoylmethyl-coumarine (ACC). Les substrats contenant le groupe partant ACC presentent des profils cinetiques comparables a ceux du groupe partant 7-amino-4-methyl-coumarine (AMC) utilise couramment. La nature bifonctionnelle de l'ACC permet de produire efficacement differents substrats et bibliotheques de substrats a l'aide de techniques de synthese en phase solide. Le rendement quantique sensiblement triple de l'ACC par rapport a l'AMC permet de reduire la concentration des enzymes et des substrats, d'ou un plus grand nombre de substrats par essai et la possibilite d'accroitre l'espace pour divers de la bibliotheque. Avec ce procede de criblage, on a pu profiler les particularites d'un reseau divers de proteases dont des proteases de serine et de cysteine.

L104 ANSWER 49 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2001087842 PCTFULL ED 20020326  
TITLE (ENGLISH): SUBSTITUTED POLYCYCLIC ARYL AND HETEROARYL PYRIDONES  
USEFUL FOR SELECTIVE INHIBITION OF THE COAGULATION  
CASCADE  
TITLE (FRENCH): PYRIDONES ARYLE ET HETEROARYLE POLYCYCLIQUES  
SUBSTITUEES UTILISEES POUR L'INHIBITION SELECTIVE DE LA  
CASCADE DE COAGULATION  
INVENTOR(S): SOUTH, Michael, S.; ZENG, Qingping; RUEPPEL, Melvin,  
L.; HAMME, Ashton, T., II  
PATENT ASSIGNEE(S): PHARMACIA CORPORATION; SOUTH, Michael, S.; ZENG,  
Qingping; RUEPPEL, Melvin, L.; HAMME, Ashton, T., II  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2001087842	A1	20011122

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CO CR CU CZ  
DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS  
JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN  
MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT

TZ VA UG UR UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL SZ  
 TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK  
 ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI  
 CM CA CN CW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-081581 A 20011101  
 PRIORITY INFO.: US 2000-08,574,740 20010515

ABEN The invention relates to substituted polycyclic aryl and heteroaryl pyridone compounds of the formula (I) useful as inhibitors of serine protease of the coagulation cascade and compounds, compositions and methods for anticoagulant therapy for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases.

ABFR L'invention concerne des composés de pyridone aryle et heteroaryle polycycliques substitués de formule (I) utiles comme inhibiteurs de la serine protease de la cascade de coagulation, ainsi que des composés, des compositions et des méthodes destinées à la thérapie anticoagulante pour le traitement et la prévention d'une pluralité d'états thrombotiques tels que les coronaropathies et les affections vasculaires cérébrales.

L104 ANSWER 51 OF 189 PCTFULL COPYRIGHT 2001 Univention

ACCESSION NUMBER: 2001081581 PCTFULL ED 20020826

TITLE (ENGLISH): COMPOSITIONS AND METHODS FOR THE THERAPY AND DIAGNOSIS OF ACNE VULGARIS

TITLE (FRENCH): COMPOSITIONS ET PROCEDES POUR LA THERAPIE ET LE DIAGNOSTIC DE L'ACNE VULGAIRE

INVENTOR(S): SKEIKY, Yasir, A., W.; PERSING, David, H.; MITCHAM, Jennifer, L.; WANG, Siqing, Steven; BHATIA, Ajay; L'MAISONNEUVE, Jean-Francois; ZHANG, Yanni; JEN, Shyan; CARTER, Darriek

PATENT ASSIGNEE(S): CORIXA CORPORATION; SKEIKY, Yasir, A., W.; PERSING, David, H.; MITCHAM, Jennifer, L.; WANG, Siqing, Steven; BHATIA, Ajay; L'MAISONNEUVE, Jean-Francois; ZHANG, Yanni; JEN, Shyan; CARTER, Darriek

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2001081581 A1 20011101

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MJ MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UC VN YU ZA ZW GH GM KE LS MW MZ SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM CA CN CW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-081581 A 20010420

PRIORITY INFO.: US 2000-09/199,047 20000421

US 2000-09/208,841 20010618

US 2000-09/216,747 20010717

ABEN Compositions and methods for the therapy and diagnosis of acne vulgaris and other related conditions are disclosed. Compositions may comprise one or more <i>Propionibacterium acnes</i> /i> proteins, immunogenic portions thereof, or polynucleotides that encode such portions. Alternatively, a therapeutic composition may comprise an antibody that binds a <i>Propionibacterium acnes</i> /i> protein, antigen presenting cell that expresses a <i>Propionibacterium acnes</i> /i> protein, or a T cell that is specific for cells expressing such a protein. Such compositions may be used, for example, for the prevention and/or treatment of acne.

ABFR L'invention concerne les compositions et les procédés pour la thérapie et le diagnostic de l'acné vulgaire et d'autres états apparentés. Les compositions peuvent comprendre une ou plusieurs protéines de <i>Propionibacterium acnes</i> /i>, des fractions immunogènes de telles-ci, ou des polynucleotides qui codent de telles fractions. Selon une

variante, une composition thérapeutique peut comprendre un anticorps qui fixe une protéine de <i>Propionibacterium acnes</i>, une cellule présentant un antigène qui exprime une protéine de <i>Propionibacterium acnes</i>, ou une cellule T qui agit spécifiquement sur les cellules exprimant une telle protéine. De telles compositions peuvent être utilisées, par exemple, pour la prévention et/ou le traitement de l'acné.

L104 ANSWER 51 OF 189 POTFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 2001072723 POTFULL ED 2 000000

TITLE (ENGLISH): BICYCLIC SULFONYL AMINE INHIBITORS OF  
**FACTOR Xa**

TITLE (FRENCH): INHIBITEURS AMINOSULFONYLES BICYCLIQUES DU FACTEUR XA  
INVENTOR(S): LI, Wennao; MARLOWE, Charles, K.; SCARBOROUGH, Robert, M.

PATENT ASSIGNEE(S): CIR THERAPEUTICS, INC.; LI, Wennao; MARLOWE, Charles, K.; SCARBOROUGH, Robert, M.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2001072723 A1 20011004

DESIGNATED STATES

AE AG AL AM AT AU AC BA BE BG BR BY BZ CA CH CN CR CU  
CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN  
IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK  
MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM  
TR TT TZ UA UG US UC VN YU ZA ZW GH GM KE LS MW MZ SD  
SL SZ TC UG ZW AM AE BY KG KZ MD RU TJ TM AT BE CH CY  
DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF  
CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-US9375 A 20010326

PRIORITY INFO.: US 2000 60/191,715 20000324

ABEN Novel compounds of formulae (I) or (Ia), including their pharmaceutically acceptable isomers, salts, hydrates, solvates and prodrug derivatives having activity against mammalian **factor Xa** is described. Compositions containing such compounds are also described. The compounds and compositions are useful <i>in vitro</i> or <i>in vivo</i> for preventing or treating conditions in mammals characterized by undesired thrombosis.

ABFR L'invention concerne les composés de formule (I) ou (Ia), ainsi que leurs isomères, sels, hydrates, solvates et dérivés pro-médicamenteux pharmaceutiquement acceptables, lesdits composés ayant une activité contre le facteur XA mammifère. L'invention concerne également des compositions contenant de tels composés. Les composés et compositions selon l'invention servent au traitement et à la prévention in vitro et in vivo de maladies mammifères caractérisées par la présence de thromboses indésirables.

L104 ANSWER 52 OF 189 POTFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 2001072195 POTFULL ED 2 0020022

TITLE (ENGLISH): COMPOSITIONS AND METHODS FOR THE THERAPY AND DIAGNOSIS OF LUNG CANCER

TITLE (FRENCH): COMPOSITIONS ET METHODES POUVANT TRAITER OU  
DIAGNOSTIQUER LE CANCER DU POUMON

INVENTOR(S): REED, Steven, G.; LODES, Michael, J.; MOHAMATH, Rasdoh; SECRIST, Heather; BENSON, Darin, R.; INDIRIAS, Carol, Yuseph; HENDERSON, Robert, A.; FLING, Steven, P.; ALGATE, Paul, A.; ELLIOT, Mark; MANNION, Jane; KALOS, Michael, D.

PATENT ASSIGNEE(S): TORIXA CORPORATION; REED, Steven, G.; LODES, Michael, J.; MOHAMATH, Rasdoh; SECRIST, Heather; BENSON, Darin, R.; INDIRIAS, Carol, Yuseph; HENDERSON, Robert, A.; FLING, Steven, P.; ALGATE, Paul, A.; ELLIOT, Mark; MANNION, Jane; KALOS, Michael, D.

DOCUMENT TYPE: Patent

## PATENT INFORMATION:

	NUMBER	KIND	DATE
	WI 1001072795	A2	20011004
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MF ME MW MX MY NZ NO NL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW		
	CF CG CI CM CN CO CW ML MA NE SN TD TG		
APPLICATION INFO.:	WO 2001-034991	A	20010329
PRIORITY INFO.:	US 2000-09/533,937		20000329
	US 2000-09/583,937		20000605
	US 2000-09/640,978		20000819
	US 2000-60/234,517		20000902
	US 2000-09/704,512		20001101
	US 2000-09/733,973		20001214

ABEN Compositions and methods for the therapy and diagnosis of cancer, particularly lung cancer, are disclosed. Illustrative compositions comprise one or more lung tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly lung cancer.

ABFR L'invention concerne des compositions et des methodes pouvant traiter ou diagnostiquer le cancer, notamment le cancer du poumon. Des compositions exemplaires contiennent un ou plusieurs polypeptides de tumeur broncho-pulmonaire, des parties immunogenes desdits polypeptides, des polynucleotides codant ces polypeptides, une cellule antigenique exprimant ces polypeptides, et des lymphocytes T specifiques de cellules exprimant ces polypeptides. Les compositions de l'invention sont utiles, par exemple, pour diagnostiquer, prevenir et/ou traiter des maladies, notamment le cancer du poumon.

L104 ANSWER 53 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001063290 PCTFULL ED 20020822  
 TITLE (ENGLISH): BCMP-7 AS MARKER FOR DIAGNOSIS OF BREAST CANCER  
 TITLE (FRENCH): BCMP 7 EN TANT QUE MARQUEUR POUR LE DIAGNOSTIC DU CANCER DU SEIN  
 INVENTOR(S): BOYD, Robert, Simon; STAMPS, Alasdair, Craig; TERRETT, Jonathan, Alexander; TYSON, Kerry, Louise  
 PATENT ASSIGNEE(S): OXFORD GLYCOSCIENCES (UK) LTD.; BOYD, Robert, Simon; STAMPS, Alasdair, Craig; TERRETT, Jonathan, Alexander; TYSON, Kerry, Louise  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001063290	A1	20010830
DESIGNATED STATES	AE AG AL AM AT AU AD BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MF ME MW MX MY NZ NO NL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW		
	CF CG CI CM CN CO CW ML MA NE SN TD TG		
APPLICATION INFO.:	WO 2001 05734	A	20010521
PRIORITY INFO.:	GB 2000 00045 6.5		20001225
ABEN	The present invention provides the use of a protein found in breast cancer cell membranes, known as BCMP 7, in the diagnosis, screening, treatment and prophylaxis of breast cancer, as well as compositions		

comprising BCMP 7, including vaccines and antibodies that are immunospecific for BCMP 7.

ABFR La presente invention concerne l'utilisation d'une proteine presente dans des membranes cellulaires de cancer du sein, connue en tant que BCMP 7, dans le diagnostic, le criblage, le traitement et la prophylaxie du cancer du sein. L'invention concerne egalement des compositions contenant BCMP 7 et des vaccins et anticorps immunospecifiques a BCMP 7.

L104 ANSWER 54 OF 189 PCTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2001062081 PCTFULL ED 20020802

TITLE (ENGLISH): PROTECTED FORMS OF PHARMACOLOGICALLY ACTIVE AGENTS AND USES THEREFOR

TITLE (FRENCH): FORMES PROTEGEES D'AGENTS PHARMACOLOGIQUEMENT ACTIFS ET UTILISATIONS CORRESPONDANTES

INVENTOR(S): LAI, Ching-San; WANG, Tingmin; VASSILEV, Vassil, P.

PATENT ASSIGNEE(S): MEDINOX, INC.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001062081	A1	20010830
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DESIGNATED STATES

AE AG AL AM AT AU AC BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX ME NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL SZ TZ UG ZW AM AC BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-US5977 A 20010223

PRIORITY INFO.: US 2000-09/515,643 20000225

ABEN In accordance with the present invention, there are provided conjugates of dithiocarbamates ("DC") and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein.

ABFR La presente invention se rapporte a des conjugues de dithiocarbamates ("DC") et a des agents pharmacologiquement actifs (par exemple, des AINS). Lesdits conjugues fournissent une nouvelle classe d'agents pharmacologiquement actifs (par exemple, d'agents anti-inflammatoires) qui provoquent une apparition bien moindre d'effets secondaires en raison des effets protecteurs conferes par la modification des agents pharmacologiquement actifs decrits ci-dessus.

L104 ANSWER 55 OF 189 PCTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2001057010 PCTFULL ED 20020802

TITLE (ENGLISH): USE OF DENDROCASPIN AS A VEHICLE FOR NON-DENDROCASPIN DOMAINS

TITLE (FRENCH): UTILISATION DE DENDROCASPINE EN TANT QU'EXCIPIENT POUR DOMAINES EXEMPTS DE DENDROCASPINE

INVENTOR(S): LU, Xingjie; KAKKAR, Vijay, Vir

PATENT ASSIGNEE(S): TRIGEN LIMITED

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001057010	A2	20010809
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DESIGNATED STATES

AE AG AL AM AT AU AC BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MY MN MW MX ME NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG



CI CM GA GN GW ML MR NE ON TD TG  
 APPLICATION INFO.: WO 2001-38438 A 20010205  
 PRIORITY INFO.: EP 2000-100,625.2 20000205

ABEN The use of dendroaspin as a scaffold for one or more non wild-type dendroaspin domains, the dendroaspin scaffold being modified in that the native RGD motif has been deleted or has been replaced by i) an amino acid sequence having no integrin-binding activity or ii) an integrin-binding amino acid sequence other than RGD which contains aspartic acid (D) or glutamic acid (E).

ABFR L'invention concerne l'utilisation de dendroaspine en tant que structure pour au moins un domaine de dendroaspine qui n'est pas du type sauvage, la structure de dendroaspine étant modifiée du fait que le motif endogène RGD a été éliminé ou remplacé (i) par une séquence d'acides aminés ne possédant pas d'activité de liaison aux intégrines ou (ii) par une séquence d'acides aminés se liant aux intégrines qui diffère de RGD et renferme de l'acide aspartique (D) ou de l'acide glutamique (E).

L104 ANSWER 56 OF 139 PCTFULL COPYRIGHT 2000 Univentis

ACCESSION NUMBER: 2001057194 PCTFULL ED 20020927  
 TITLE (ENGLISH): NUCLEIC ACID MOLECULES ENCODING TRANSMEMBRANE SERINE PROTEASES, THE ENCODED PROTEINS AND METHODS BASED THEREON  
 TITLE (FRENCH): MOLECULES D'ACIDES NUCLEIQUES CODANT POUR DES PROTEASES A SERINE TRANSMEMBRANAIRES, PROTEINES CODEES ET PROCÉDES ASSOCIÉS  
 INVENTOR(S): MADISON, Edwin, L.; ONG, Edgar, O.; YEH, Jiunn-chern  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC.; MADISON, Edwin, L.; ONG, Edgar, O.; YEH, Jiunn-chern  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001057194	A2	20010909
DESIGNATED STATES	AE AG AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE EG FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LF LS LT LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW		
	CI CM GA GN GW ML MR NE ON TD TG		
APPLICATION INFO.:	WO 2001-US3471	A	20010205
PRIORITY INFO.:	US 2000-60/179,940		20000203
	US 2000-60/183,540		20000218
	US 2000-60/213,124		20000622
	US 2000-60/220,970		20000726
	US 2000-09/657,996		20000908
	US 2000-60/234,840		20000922

ABEN Provided herein are polypeptides that include the protease domain of a type II transmembrane serine protease (MTSP) as a single chain. Methods using the polypeptides to identify compounds that modulate the protease activity of an MTSP are provided. Also provided are MTSPs designated MTSP3 and MTSP4 and a form of an MTSP designated MTSP6.

ABFR L'invention concerne des polypeptides comportant un domaine de protéase du type de protéase à sérine transmembranaire de type II (MTSP) sous forme d'une chaîne unique. Elle concerne aussi des procédés utilisant ces polypeptides afin d'identifier des composés qui modulent l'activité protéase d'une MTSP. Elle concerne encore des MTSP de désignation MTSP3 et MTSP4 ainsi qu'une forme de MTSP de désignation MTSP6.

L104 ANSWER 57 OF 139 PCTFULL COPYRIGHT 2000 Univentis

ACCESSION NUMBER: 2001055291 PCTFULL ED 20020927  
 TITLE (ENGLISH): NUCLEIC ACIDS, PROTEINS, AND ANTIBODIES  
 TITLE (FRENCH): ACIDES NUCLEIQUES, PROTEINES ET ANTICORPS  
 INVENTOR(S): RISEN, Craig, A.; BARASH, Steven, C.; RUBEN, Steven, M.

PATENT ASSIGNER(S): HUMAN GENOME SCIENCES, INC.; ROSEN, Craig, A.; BARASH, Steven, C.; RUBEN, Steven, M.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES

WO 1001055205 A1 10010800  
AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU  
DE DK EM ES FI GB GD GE GH GM HR HU ID IL IN  
JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK  
MW MX NC ND NE PL PT RD RU SD SE SG SI SK SL TJ TM  
TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW MZ SD  
SL SZ TZ UG ZW AM AZ BY EG KE MD RU TJ TM AT BE CH CY  
DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF  
CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.:

PRIORITY INFO.:

WO 1001-US1337 A 10010117  
US 1000-60/172,065 10010131  
US 1000-60/180,608 10010204  
US 1000-60/184,664 10000304  
US 1000-60/186,350 10000302  
US 1000-60/189,874 10000318  
US 1000-60/190,076 10000317  
US 1000-60/198,123 10000417  
US 1000-60/205,515 10000519  
US 1000-60/209,467 10000607  
US 1000-60/214,836 10000628  
US 1000-60/215,135 10000630  
US 1000-60/216,647 10000707  
US 1000-60/216,880 10000707  
US 1000-60/217,487 10000711  
US 1000-60/217,496 10000711  
US 1000-60/218,290 10000714  
US 1000-60/220,963 10000726  
US 1000-60/220,964 10000726  
US 1000-60/225,757 10000814  
US 1000-60/225,270 10000814  
US 1000-60/225,447 10000814  
US 1000-60/225,267 10000814  
US 1000-60/225,758 10000814  
US 1000-60/225,268 10000814  
US 1000-60/224,519 10000814  
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US 1000-60/225,759 10000814  
US 1000-60/225,213 10000814  
US 1000-60/225,266 10000814  
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US 1000-60/227,009 10000823  
US 1000-60/228,424 10000836  
US 1000-60/229,344 10000901  
US 1000-60/229,343 10000901  
US 1000-60/229,387 10000901  
US 1000-60/229,345 10000901  
US 1000-60/229,513 10000901  
US 1000-60/229,519 10000901  
US 1000-60/230,438 10000907  
US 1000-60/230,437 10000906  
US 1000-60/231,413 10000906  
US 1000-60/232,590 10000908  
US 1000-60/231,414 10000908  
US 1000-60/231,244 10000908  
US 1000-60/232,081 10000908

US 2000-60/231,240	20000909
US 2000-60/231,243	20000909
US 2000-60/231,260	20000912
US 2000-60/232,471	20000914
US 2000-60/232,344	20000914
US 2000-60/232,4	20000914
US 2000-60/232,347	20000914
US 2000-60/233,303	20000914
US 2000-60/233,304	20000914
US 2000-60/233,305	20000914
US 2000-60/232,345	20000914
US 2000-60/234,213	2000091
US 2000-60/234,274	2000091
US 2000-60/234,240	20000915
US 2000-60/234,245	20000925
US 2000-60/235,484	20000926
US 2000-60/235,834	20000927
US 2000-60/235,835	20000927
US 2000-60/236,302	20000929
US 2000-60/236,327	20000929
US 2000-60/236,370	20000929
US 2000-60/236,368	20000929
US 2000-60/236,367	20000929
US 2000-60/237,039	20001002
US 2000-60/237,035	20001002
US 2000-60/237,040	20001002
US 2000-60/237,037	20001002
US 2000-60/236,802	20001002
US 2000-60/238,837	20001013
US 2000-60/239,835	20001013
US 2000-60/241,735	20001020
US 2000-60/241,802	20001020
US 2000-60/240,860	20001020
US 2000-60/241,737	20001020
US 2000-60/241,803	20001020
US 2000-60/241,771	20001020
US 2000-60/241,786	20001020
US 2000-60/241,806	20001020
US 2000-60/244,617	20001101
US 2000-60/246,474	20001102
US 2000-60/246,530	20001102
US 2000-60/246,476	20001102
US 2000-60/246,526	20001102
US 2000-60/246,475	20001102
US 2000-60/246,525	20001102
US 2000-60/246,523	20001102
US 2000-60/246,527	20001102
US 2000-60/246,477	20001102
US 2000-60/246,611	20001102
US 2000-60/246,610	20001102
US 2000-60/246,612	20001102
US 2000-60/246,602	20001102
US 2000-60/246,473	20001102
US 2000-60/246,514	20001102
US 2000-60/246,523	20001102
US 2000-60/243,094	20001117
US 2000-60/243,011	20001117
US 2000-60/243,116	20001117
US 2000-60/243,117	20001117
US 2000-60/243,111	20001117
US 2000-60/243,011	20001117
US 2000-60/243,110	20001117
US 2000-60/243,002	20001117
US 2000-60/243,013	20001117
US 2000-60/249,212	20001117

US 2000-60 149,207	10001117
US 2000-60 149,245	10001117
US 2000-60 149,244	10001117
US 2000-60 149,297	10001117
US 2000-60 149,214	10001117
US 2000-60 149,264	10001117
US 2000-60 149,209	10001117
US 2000-60 149,300	10001117
US 2000-60 149,165	10001117
US 2000-60 150,391	10001201
US 2000-60 150,160	10001201
US 2000-60 150,719	10001205
US 2000-60 151,330	10001205
US 2000-60 151,949	10001205
US 2000-60 151,479	10001206
US 2000-60 151,969	10001208
US 2000-60 151,956	10001208
US 2000-60 151,968	10001208
US 2000-60 151,990	10001208
US 2000-60 151,989	10001208
US 2000-60 154,097	10001211
US 2001-60 152,679	10010105

ABEN The present invention relates to novel proteins. More specifically, isolated nucleic acid molecules are provided encoding novel polypeptides. Novel polypeptides and antibodies that bind to these polypeptides are provided. Also provided are vectors, host cells, and recombinant and synthetic methods for producing human polynucleotides and/or polypeptides, and antibodies. The invention further relates to diagnostic and therapeutic methods useful for diagnosing, treating, preventing and/or prognosing disorders related to these novel polypeptides. The invention further relates to screening methods for identifying agonists and antagonists of polynucleotides and polypeptides of the invention. The present invention further relates to methods and/or compositions for inhibiting or enhancing the production and function of the polypeptides of the present invention.

ABER La presente invention se rapporte a de nouvelles proteines. Plus specifiquement, elle se rapporte a des molecules d'acides nucleiques isolees codant pour de nouveaux polypeptides. Elle se rapporte a de nouveaux polypeptides et a des anticorps qui se lient a ces polypeptides. L'invention se rapporte a des vecteurs, a des cellules hotes et a des procedes de synthese et de recombinaison pour la production de polynucleotides et/ou de polypeptides humains et d'anticorps. L'invention se rapporte a des methodes diagnostiques et therapeutiques permettant de diagnostiquer, de traiter, de prevenir et/ou de pronostiquer des troubles associes a des nouveaux polypeptides. L'invention se rapporte en outre a des procedes de criblage permettant d'identifier des agonistes et des antagonistes des polynucleotides et des polypeptides decrits ci-dessus. Elle se rapporte enfin a des procedes et/ou a des compositions permettant d'inhiber ou de favoriser la production et la fonction desdits polypeptides.

L104 ANSWER 58 OF 189 PCTFULL COPYRIGHT 2001 Univentio  
 ACCESSION NUMBER: 1001051067 PCTFULL ED 20020827  
 TITLE (ENGLISH): MULTIPLE INACTIVATED BLOOD FACTOR ANTICOAGULANT COMPOSITION  
 TITLE (FRENCH): COMPOSITION ANTICOAGULANTE A FACTEURS SANGUINS INACTIVES MULTIPLES  
 INVENTOR(S): JOHNSON, Richard, J.; LUNDBLAD, Roger  
 PATENT ASSIGNEE(S): BAXTER INTERNATIONAL INC.  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 1001051067	A1	20010719

DESIGNATED STATES AU CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC

NL PT SE TR  
APPLICATION INFO.: WO 2000-US34734 A 20001220  
PRIORITY INFO.: US 2000-60 174,821 20000113  
US 2000-09 74,239 20001117

ABEN An anticoagulant composition is provided which comprises at least two inactivated blood factors in a pharmaceutically acceptable carrier, such inactivated blood factors being present in a therapeutically effective dosage. Methods for utilizing such anticoagulant compositions comprising providing to a patient a coagulation inhibiting amount thereof are also provided, as are methods for the preparation of such compositions.

ABFR La présente invention concerne une composition anticoagulante comprenant au moins deux facteurs sanguins inactives dans un vecteur acceptable du point de vue pharmaceutique, les facteurs sanguins inactives de ce type étant présents en un dosage efficace du point de vue pharmaceutique. Cette invention concerne également des procédés d'utilisation des compositions anticoagulantes de l'invention, comprenant l'administration à un patient d'une quantité desdites compositions permettant d'inhiber la coagulation, ainsi que des procédés de préparation de ces compositions.

L104 ANSWER 59 OF 189 POTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2001049675 POTFULL ED 20020927

TITLE (ENGLISH): DIHYDROBENZOPYRANS, DIHYDROBENZOTHIOPYRANS, AND TETRAHYDROQUINOLINES FOR THE TREATMENT OF COX-2-MEDIATED DISORDERS

TITLE (FRENCH): DIHYDROBENZOPYRANES, DIHYDROBENZOTHIOPYRANES ET TETRAHYDROQUINOLINES DESTINES AU TRAITEMENT DES TROUBLES INDUITS PAR COX-2

INVENTOR(S): ROGIER, Donald, J., Jr.; CARTER, Jeffrey, S.; TALLEY, John, J.

PATENT ASSIGNEE(S): PHARMACIA CORPORATION; ROGIER, Donald, J., Jr.; CARTER, Jeffrey, S.; TALLEY, John, J.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2001049675 A1 20010712

DESIGNATED STATES

AL AM AT AU AZ BA BB BG BR CA CH CN CU CZ DE DK EE  
ES FI GB GD GE GH GM HR HU ID IL IS JP KE KG KP KR KZ  
LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO  
RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW  
GH GM KE LS MW ME SD SL SZ TC UG ZW AM AZ BY KG KZ MD  
RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
NL PT SE TR BF BJ CF CG CI CM GA GN GW ML MR NE SN TD  
TG

APPLICATION INFO.: WO 2000-US34525 A 20001219

PRIORITY INFO.: US 2000-60/174,381 20000103

ABEN A class of dihydrobenzopyrans, dihydrobenzothiopyrans, tetrahydroquinolines, tetrahydronaphthalenes, and analogs thereof, is described for use in treating cyclooxygenase-2 mediated disorders. Compounds of particular interest are defined by Formula (I) wherein X, A1, A2, A3, A4, R, R', R1 and R2 are as described in the specification.

ABFR L'invention concerne une catégorie de dihydrobenzopyranes, dihydrobenzothiopyranes, tétrahydroquinolines, tétrahydronaphtalènes, et leurs **analogues**, destinés à être utilisés dans le traitement des troubles induits par la cyclooxygenase-2. Les composés présentant un intérêt particulier sont représentés par la formule (I), où X, A1, A2, A3, A4, R, R', R1 et R2 sont tels que définis dans le descriptif.

L104 ANSWER 60 OF 189 POTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2001093486 POTFULL ED 20020927

TITLE (ENGLISH): KUNITZ-TYPE PROTEASE INHIBITOR POLYNUCLEOTIDES, POLYPEPTIDES, AND ANTIBODIES

TITLE (FRENCH): POLYNUCLEOTIDES INHIBITEURS DE PROTEASE DU TYPE DE

KUNITZ, POLYPEPTIDES ET ANTICORPS  
 INVENTOR(S) : RUBEN, Steven, M.; NI, Jian  
 PATENT ASSIGNEE(S) : HUMAN GENOME SCIENCES, INC.; RUBEN, Steven, M.; NI, Jian  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001 3-486	A2	20010321
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BE BG BR BY BZ CA CH CN CR CU		
	DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN		
	JP KE KG KH KR KI LC LK LR LS LT LU LV MA MD MG MK		
	MM MW MX MY NZ NO NC PL PT PQ RU SD SE SG SI SK SL TJ TM		
	TR TT TC UA UG US UC VN YU ZA ZW GH GM KE LS MW MZ SD		
	SL SZ TC UG ZW AM AZ BY EG KE MD RU TJ TM AT BE CH CY		
	DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF		
	CG CI CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2000-US41917	A	20001101
PRIORITY INFO.:	US 1999 67100, 711		19991102

ABEN The present invention relates to novel human KTPI polypeptides and isolated nucleic acids containing the coding regions of the genes encoding such polypeptides. Also provided are vectors, host cells, antibodies, and recombinant methods for producing human KTPI polypeptides. The invention further relates to diagnostic and therapeutic methods useful for diagnosing and treating disorders related to these novel human KTPI polypeptides.

ABFR

L104 ANSWER 61 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2001032611 PCTFULL ED 20020920  
 TITLE (ENGLISH): N GUANIDINOALKYLAMIDES, THEIR PREPARATION, THEIR USE, AND PHARMACEUTICAL PREPARATIONS COMPRISING THEM  
 TITLE (FRENCH): N GUANIDINOALKYLAMIDES, LEUR PREPARATION, LEUR UTILISATION, ET PREPARATIONS PHARMACEUTIQUES RENFERMANT CEUX-CI  
 INVENTOR(S): KLINGLER, Otmar; ZOLLER, Gerhard; DEFOSSA, Elisabeth; AL-OBSEIPI, Fahad; WALSER, Armin; OSTREM, James  
 PATENT ASSIGNEE(S): AVENTIS PHARMA DEUTSCHLAND GMBH  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001032611	A1	20010510
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BE BG BR BY BZ CA CH CN CR CU		
	DE DK DM DO EE ES FI GB GD GE GH GM HR HU ID IL IN		
	IS JP KE KG KP KR KI LC LK LR LS LT LU LV MA MD MG MK		
	MM MW MX MY NZ NO NC PL PT PQ RU SD SE SG SI SK SL TJ TM		
	TR TT TC UA UG US UC VN YU ZA ZW GH GM KE LS MW MZ SD SL		
	CG TZ UG ZW AM AZ BY EG KE MD RU TJ TM AT BE CH CY DE		
	DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI		
	CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2000 EP13345	A	20001001
PRIORITY INFO.:	EP 1999 94121623.5		19991030

ABEN The present invention relates to compounds of formula (I), in which A, L, Y and k have the meanings indicated in the claims. The compounds of the formula (I) are valuable pharmacologically active compounds. They exhibit a strong antithrombotic effect and are suitable, for example, for the therapy and prophylaxis of cardiovascular disorders like thromboembolic diseases or restenoses. They are reversible **inhibitors** of the blood clotting enzymes **factor Xa** and/or factor VIIa and can in general be applied in conditions in which an undesired activity of **factor Xa** and/or factor VIIa is present or for the cure or prevention of which an **inhibition** of **factor Xa** and/or factor VIIa is intended. The invention furthermore relates to processes for the

preparation of compounds of the formula (I), their use, in particular as active ingredients in pharmaceuticals, and pharmaceutical preparations comprising them.

ABFF

L104 ANSWER 62 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001027141 PCTFULL ED 20020920

TITLE (ENGLISH): INHIBITORS OF FACTOR XA  
HAVING AN ARGININE OR ARGININE  
ALDEHYDE MIMIC

TITLE (FRENCH): INHIBITEURS DU FACTEUR XA POSSEDANT UNE  
ARGININE OU UN ANALOGUE DE L'  
ARGININE

INVENTOR(S): JEMPLE, Joseph, Edward; BRUNCK, Terence, Kevin; LEVY,  
Edile, Esther; TAMURA, Susan, Y.

PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001027141	A1	20010412
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DESIGNATED STATES: CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL  
PT SE

APPLICATION INFO.: WO 2000-US27615 A 20001006

PRIORITY INFO.: US 1999-09/414,903 19991008

ABEN Peptidyl aldehydes having an **arginine** or **arginine**  
mimic at P3 which are selective **inhibitors** of certain serine  
proteases, including **factor Xa**, are described. These  
compounds are useful in prevention and treatment of conditions  
characterized by abnormal thrombosis in mammals.

ABFF

L104 ANSWER 63 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001025413 PCTFULL ED 20020920

TITLE (ENGLISH): USE OF A CONTEXT-DEPENDENT FUNCTIONAL ENTITY TO ENHANCE  
THE EFFICACY OF AN AGENT

TITLE (FRENCH): EFFICACITE ACCRUE D'UN AGENT GRACE A L'UTILISATION  
D'UNE ENTITE FONCTIONNELLE DEPENDANT DU CONTEXTE

INVENTOR(S): HOUSTON, L., L.

PATENT ASSIGNEE(S): HUVAS, LLC; HOUSTON, L., L.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001025413	A1	20010412
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DESIGNATED STATES: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU  
CZ DE DK DM DZ EE ES FI FR GB GD GE GH GM HR HU ID IL IN  
IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK  
MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM  
TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW MZ SD  
SL SE TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY  
DE DK EE FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG  
CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-US27794 A 20001004

PRIORITY INFO.: US 1999-09/411,067 19991014

ABEN The present invention relates to a method of enhancing the efficacy of  
one or more agents in a subject by administering the agent or agents and  
a context-dependent functional entity to the subject, wherein a  
context-dependent functional entity includes a substructure with  
thrombogenic potential operably linked to a selective recognition  
domain, and interacts with a function-forming context expressed by a  
cell or tissue in the subject. The invention also relates to a method of  
treating a pathologic condition in a subject by administering to the  
subject a therapeutic agent and a context-dependent functional entity.  
The invention further relates to a pharmaceutical composition, which

contains an agent and a context-dependent functional entity in a pharmaceutically acceptable form. The invention further provides a **peptide** having the amino acid sequence Pro-Arg-Lys-Leu-Tyr-Asp  
\*SEQ ID NO: 1.

ABFF

L104 ANSWER 64 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2001021159 PCTFULL ED 20000810  
TITLE (ENGLISH): METHODS AND COMPOSITIONS FOR TREATING PLATELET-RELATED DISORDERS  
TITLE (FRENCH): PROCÉDES ET COMPOSITIONS DE TRAITEMENT DES PATHOLOGIES APPARENTÉES AUX PLAQUETTES  
INVENTOR(S): HANSON, Stephen, R.  
PATENT ASSIGNEE(S): EMORY UNIVERSITY  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001021259	A2	20010309
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DESIGNATED STATES AU CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 2000-US25731 A 20000921

PRIORITY INFO.: US 1999-60/154,929 19990921

ABEN The invention relates to the prophylactic and therapeutic treatment of subjects for the purpose of inhibiting vaso-occlusive events, including embolism, by administering agents which reduce the number of circulating platelets to below normal levels. Methods and pharmaceutical preparations comprising such agents are provided.

ABFF

L104 ANSWER 65 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2001021163 PCTFULL ED 20000820  
TITLE (ENGLISH): METHODS AND COMPOSITIONS FOR TREATING PLATELET-RELATED DISORDERS USING MPL PATHWAY INHIBITORY AGENTS  
TITLE (FRENCH): PROCÉDES ET COMPOSITIONS POUR LE TRAITEMENT DE TROUBLES LIÉS AUX PLAQUETTES AU MOYEN D'AGENTS INHIBITEURS DE TRAJET DE MPL  
INVENTOR(S): HANSON, Stephen, R.  
PATENT ASSIGNEE(S): EMORY UNIVERSITY  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001021163	A2	20010309
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DESIGNATED STATES AU CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 2000-US26025 A 20000921

PRIORITY INFO.: US 1999-60/154,929 19990921

ABEN The invention relates to the treatment of subjects for the purpose inhibiting vaso-occlusive events, including thrombosis and embolism, by administering agents which reduce the number of circulating platelets to low or below normal levels. Methods and pharmaceutical preparations comprising such agents are provided.

ABFF

L104 ANSWER 66 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2001013046 PCTFULL ED 20000823  
TITLE (ENGLISH): OVARIAN TUMOR SEQUENCES AND METHODS OF USE THEREFOR  
TITLE (FRENCH): SÉQUENCES DE TUMEURS OVAIRIENNES ET PROCÉDES D'UTILISATION CORRESPONDANTS  
INVENTOR(S): XU, Jiangchun; STOLK, John, A.  
PATENT ASSIGNEE(S): MORIXA CORPORATION; XU, Jiangchun; STOLK, John, A.  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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 WO 2001018046 A2 20010315  
 DESIGNATED STATES: AE AG AL AM AT AU AZ BA BB BG BR BY BC CA CH CN CR CU  
 CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN  
 IS JP KE KG KP KR KZ LC LK LR LS LT LV MA MD MG MK  
 MN MW MX MZ NC NZ NL PT RO RU SD SE SG SI SK SL TJ TM  
 TR TT TZ UA UB US UZ VN YU ZA ZW ZH ZM KE LS MW ME SD  
 SL AZ TZ YG ZW AM AZ BY EG KZ MD RU TJ TM AT BE CH CY  
 DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG  
 CI CM GA GN GW ML MR NE NG TD TG  
 APPLICATION INFO.: WO 2000-03044-27 A 20001909  
 PRIORITY INFO.: US 1999-09/344,374 19990341  
 US 2000-09/541,778 20000501  
 US 2000-09/640,173 20000815  
 US 2000-09/656,688 20000907

ABEN: Compositions and methods for the therapy and diagnosis of cancer, such as ovarian cancer, are disclosed. Compositions may comprise one or more ovarian carcinoma proteins, portions thereof, polynucleotides that encode such portions or antibodies or immune system cells specific for such proteins. Such compositions may be used, for example, for the prevention and treatment of diseases such as ovarian cancer. Polypeptides and polynucleotides as provided herein may further be used for the detection and monitoring of ovarian cancer.

ABFR:

L104 ANSWER 67 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2001012336 PCTFULL ED 20020828  
 TITLE (ENGLISH): CRYSTAL OF A TRUNCATED PROTEIN CONSTRUCT CONTAINING A COAGULATION FACTOR VIII C2 DOMAIN IN THE PRESENCE OR ABSENCE OF A BOUND LIGAND AND METHODS OF USE THEREOF  
 TITLE (FRENCH): CRISTAL DE PRODUIT DE RECOMBINAISON PROTEIQUE TRONQUE RENFERMANT UN DOMAINE C2 DU FACTEUR DE COAGULATION VIII, AVEC OU SANS LIGAND LIE, ET PROCEDES D'UTILISATION  
 INVENTOR(S): STODDARD, Barry, L.; PRATT, Kathleen; FUJIKAWA, Kazuo; DAVIE, Earl, W.  
 PATENT ASSIGNEE(S): FRED HUTCHINSON CANCER RESEARCH CENTER; UNIVERSITY OF WASHINGTON; STODDARD, Barry, L.; PRATT, Kathleen; FUJIKAWA, Kazuo; DAVIE, Earl, W.  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2001012336	A1	20010322

DESIGNATED STATES: AU CA JP US AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 2000-032026 A 20000811  
 PRIORITY INFO.: US 1999-09/148,907 19990313

ABEN: A detailed three-dimensional structure for the C-terminal C2 domain of blood coagulation factor VIII is disclosed. The novel truncated factor VIII constructs which were designed so as to omit a significant portion of the flexible full length protein are also part of the present invention. In addition, the crystals of the protein, both in the presence and absence of bound ligands are also included. Furthermore, methods of identifying antagonists of the human factor VIII protein which can be used to inhibit coagulation or to stabilize and activate factor VIII mutants are also disclosed. Furthermore, methods of identifying variations of the C2 domain sequence and structure that can be incorporated into intact factor VIII for the purpose of administration to hemophilic patients who are immunoreactive against wild type factor VIII are disclosed.

ABFR:

L104 ANSWER 68 OF 189 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2001012392 PCTFULL ED 20020828

TITLE (ENGLISH): FVIIa ANTAGONISTS  
 TITLE (FRENCH): ANTAGONISTES DU FVIIa  
 INVENTOR(S): DENNIS, Mark, F.  
 PATENT ASSIGNEE(S): GENENTECH, INC.  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 1999103992	A2	199910215
	AB AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NC NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US VN YU ZA ZW GE SM KE LS MW MZ SD SL SZ TZ UG ZW AM AC BY KG KE MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		

APPLICATION INFO.:	WO 2000-US01296	A	20000804
PRIORITY INFO.:	US 1999-60/147,617		19990806
	US 1999-60/150,315		19990823

ABEN This invention provides novel compounds which prevent or block a FVIIa mediated or associated process or event such as the catalytic conversion of FX to FXa, FVII to FVIIa or FIM to FIXa. In particular aspects, the compounds of the invention bind Factor VIIa (FVIIa), its zymogen Factor VII (FVII) and/or block the association of FVII or FVIIa with a **peptide** compound of the present invention. The invention also provides pharmaceutical compositions comprising the novel compounds as well as their use in diagnostic, therapeutic, and prophylactic methods.

ABFR

L104 ANSWER 69 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001003735 PCTFULL ED 20020828  
 TITLE (ENGLISH): CANCER TREATMENT USING ANGIOPOIETINS TARGETED TO AMINOPHOSPHOLIPIDS  
 TITLE (FRENCH): TRAITEMENT ANTICANCEREUX DANS LEQUEL SONT UTILISEES DES ANGIOPOIETINES CIBLANT DES AMINOPHOSPHOLIPIDES  
 INVENTOR(S): THORPE, Philip, E.  
 PATENT ASSIGNEE(S): MAINE MEDICAL CENTER RESEARCH INSTITUTE; BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM; THORPE, Philip, E.  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2001003735	A1	20010118
	AU CA JP US AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE		

APPLICATION INFO.:	WO 2001-US12772	A	20000711
PRIORITY INFO.:	US 1999-60/143,742		19990710

ABEN Disclosed is the surprising discovery that aminophospholipids, such as phosphatidylserine and phosphatidylethanolamine, are specific, accessible and stable markers of the luminal surface of tumor blood vessels. The present invention particularly provides therapeutic constructs and conjugates that bind to aminophospholipids and contain angiopoietins, and various methods of specifically delivering angiopoietins to the stably-expressed aminophospholipids of tumor blood vessels, thereby exerting anti-tumor effects.

ABFR

L104 ANSWER 70 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001001719 PCTFULL ED 20020828  
 TITLE (ENGLISH): FVIIa ANTAGONISTS  
 TITLE (FRENCH): ANTAGONISTES DE FVIIa  
 INVENTOR(S): DENNIS, Mark, S.; EIGENBROT, Charles; LAZARUS, Robert, A.

PATENT ASSIGNEE(S): GENENTECH, INC.  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2001001749 A2 20010111  
AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU  
DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN  
JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK  
MO MW MX ME NZ NO NZ PL PT RC RU SD SE SG SI SK SL TJ TM  
TR TT TS UA US UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL  
SO TZ UG ZW AM AZ BY EG FZ MD RU TJ TM AT BE CH CY DE  
DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI  
CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-US18254 A 20000630

PRIORITY INFO.: US 1999-60/142,311 19990702

ABEN This invention provides novel compounds which prevent or block a FVIIa mediated or associated process or event such as the catalytic conversion of FX to FXa, FVII to FVIIa or FIX to FIXa. In particular aspects, the compounds of the invention bind Factor VIIa (FVIIa), its zymogen Factor VII (FVII) and/or block the association of FVII or FVIIa with a **peptide** compound of the present invention. The invention also provides pharmaceutical compositions comprising the novel compounds as well as their use in diagnostic, therapeutic, and prophylactic methods.

ABFR

L104 ANSWER 71 OF 189 PCTFULL COPYRIGHT 2000 Univentis

ACCESSION NUMBER: 2001001150 PCTFULL ED 20020822

TITLE (ENGLISH): DIAGNOSTIC TEST FOR THROMBOTIC OR THROMBOEMBOLIC DISEASE

TITLE (FRENCH): EXAMEN DIAGNOSTIQUE POUR LA THROMBOSE OU LA THROMBOEMBOLIE

INVENTOR(S): MORRIS, Timothy, A.

PATENT ASSIGNEE(S): REGENTS OF THE UNIVERSITY OF CALIFORNIA; MORRIS, Timothy, A.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2001001150 A2 20010104  
AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CU CZ  
DE DK DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP  
KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX  
MZ NO NZ PL PT RC RU SD SE SG SI SK SL TJ TM TR TT UA  
UG US UZ VN YU ZA ZW GH GM KE LS MW ME SD SL SE TZ UG  
ZW AM AZ BY EG FZ MD RU TJ TM AT BE CH CY DE DK ES FI  
FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN  
GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-US17277 A 20000630

PRIORITY INFO.: US 1999-60/141,734 19990630

ABEN Thrombotic or thromboembolic disease is detected or monitored by determining the presence or amount B in a physiological sample.

ABFR

L104 ANSWER 72 OF 189 PCTFULL COPYRIGHT 2000 Univentis

ACCESSION NUMBER: 2001000667 PCTFULL ED 20020822

TITLE (ENGLISH): ANTI-THROMBIN **PEPTIDE** FROM ANOPHELES ALBIMANUS SALIVARY GLAND

TITLE (FRENCH): NOUVEAU **PEPTIDE** ANTI-THROMBINE

INVENTOR(S): VALENZUELA, Jesus, G.; RIBEIRO, Jose; FRANCISCHETTI, Ivo

PATENT ASSIGNEE(S): THE GOVERNMENT OF THE UNITED STATES OF AMERICA, as represented by THE SECRETARY, DEPARTMENT OF HEALTH AND HUMAN SERVICES; VALENZUELA, Jesus, G.; RIBEIRO, Jose; FRANCISCHETTI, Ivo

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES

WO 2001000667 A2 20010104  
AR AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU  
DE DK DM DZ EE EF FI GB GI GE GH GM HR HU ID IL IN  
JP KR KG KP KB KZ LC LK LR LS LT LU LV MA MD MG MK  
MN MW MX MZ NO NZ PL PT RL RU SD SE SG SI SK SL TJ TM  
TR TT TD UA UG US UZ VN YU ZA ZW GH GM KE LS MW MZ SD  
SL SZ TD UG ZW AM AZ BY KB KZ MD RU TJ TM AT BE CH CY  
DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG  
CI CM GA GN GW ML MF NE SN TD TG  
CI CM GA GN GW ML MF NE SN TD TG

APPLICATION INFO.:

WO 2001000667 A 2001000667

PRIORITY INFO.:

US 1999-04/141,423 19990609

ABEN The DNA and amino acid sequences are disclosed for a novel anti-thrombin peptide, anophelin, the embodiment of which was isolated from the salivary glands of the mosquito *Anopheles albimanus*. Also disclosed are anti-thrombotic therapeutic applications of anophelin.

ABFR

L104 ANSWER 73 OF 189 EUROPATEFULL COPYRIGHT 1992 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER:

800986 EUROPATEFULL EW 200138 ES PS STA R

TITLE:

METHODS OF DETERMINING ENDOGENOUS THROMBIN POTENTIAL (ETP) AND THROMBIN SUBSTRATES FOR USE IN SAID METHODS. VERFAHREN ZUM NACHWEIS DES ENDOGENEN THROMBIN-POTENTIALS UND THROMBIN SUBSTRATE ZUR VERWENDUNG IN DIESEN VERFAHREN.

PROCEDES POUR LA DETERMINATION DU POTENTIEL THROMBINE ENDOGENE (ETP), ET SUBSTRATS POUR THROMBINE UTILISES DANS CES PROCEDES.

INVENTOR(S):

HEMKER, Hendrik, Coenraad, Tongersestraat 41, NL-6211 LM Maastricht, NL;

RIJKERS, Dirk, Thomas, Sigurd, Arieslaan 13, NL-5632 AS Eindhoven, NL;

TESSER, Godfriedus, Ignatius, Kronenburgersingel 64, NL-6511 AT Nijmegen, NL

PATENT ASSIGNEE(S):

Hemker, Hendrik Coenraad, Tongersestraat 41, NL-6211 LM Maastricht, NL

PATENT ASSIGNEE NO:

1277390

AGENT:

de Bruijn, Leendert G. et al., Nederlandsch Octrooibureau P.O. Box 29720, 2502 LS Den Haag, NL

AGENT NUMBER:

19641

OTHER SOURCE:

BEPB2001043 EP 0902986 B1 0031

SOURCE:

Wila-EPS 2001-H38-T1

DOCUMENT TYPE:

Patent

LANGUAGE:

Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES:

R CH; R DE; R ES; R FR; R GB; R IT; R LI; R NL

PATENT INFO.PUB.TYPE:

EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

PATENT NO KIND DATE

EP 800986 B1 20010919

'OFFENLEGUNGS' DATE:

19971029

APPLICATION INFO.:

EP 1996-902007 19960110

PRIORITY APPLN. INFO.:

EP 1996-100043 19950110

RELATED DOC. INFO.:

WO 96-01119 960110 INTAKZ

WO 96-1740 960719 INTFNR

REFERENCE PAT. INFO.:

EP 290010 A EP 420332 A

WO 96-01209 A US 4214049 A

US 4247484 A

REF. NON-PATENT-LIT.: THROMBOSIS AND HAEMOSTASIS, vol. 70, no. 4, 1993, STUTTGART DE, pages 617-624, XP000867569 H.C. HEMKER ET AL.: "Continuous registration of thrombin generation in plasma, its use for the determination of the thrombin potential" cited in the application THROMBOSIS RESEARCH, vol. 73, no. 3-6, 15 September 1993, WASHINGTON US, pages 491-499, XP000005516 D.T. RICKERS ET AL.: "Design and synthesis of thrombin substrates with modified kinetic parameters" THROMBOSIS AND HAEMOSTASIS, vol. 74, no. 1, July 1995, STUTTGART DE, pages 134-139, XP00124941 H.C. HEMKER ET AL.: "Thrombin generation in plasma: its assessment via the endogenous thrombin potential" Biochemistry, vol. 34, p.3750, (1995) J.Med.Chem., vol.38, p.1145, (1995) J.Med.Chem., vol.37, p.3829, (1994) J.Med.Chem., vol.39, p.4527, (1996) Structure, vol.4, p.1353-1362, (1996) J.Med.Chem., vol.39, p.4531, (1996)

L104 ANSWER 74 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 692025 EUROPATEFULL EW 200142 FS P3 STA R  
 TITLE: YEAST CELLS ENGINEERED TO PRODUCE PHEROMONE SYSTEM PROTEIN SURROGATES, AND USES THEREFOR.  
 HEFE ZELLEN SO KONSTRUIERT, DASS SIE PROTEINSURROGATE DES PHEROMONSYSTEMS PRODUZIEREN UND ANWENDUNGEN DAFUER.  
 CELLULES DE LEVURE TRAITEES POUR PRODUIRE DES SUBSTITUTS DE PROTEINES DU SYSTEME DE PHEROMONES, ET LEURS EMPLOIS.  
 INVENTOR(S): FOWLKES, Dana, Merriman 90 Green Street, Apartment 2, New York, NY 10012, US;  
 BROACH, Jim 360 East 88th Street, Apartment 2A, New York, NY 10128, US;  
 MANFREDI, John 666 Greenwich Street, Apartment 556, New York, NY 10014, US;  
 KLEIN, Christine 666 Greenwich Street, Apartment 556, New York, NY 10014, US;  
 MURPHY, Andrew, J., 17 Windsor Place, Montclair, NJ 07043, US;  
 PAUL, Jeremy, 197 Route 9W, Palisades, NY 10964, US;  
 TRUEHEART, Joshua, 212 South Broadway, South Nyack, NY 10960, US  
 PATENT ASSIGNEE(S): Cadus Pharmaceutical Corporation, 7th floor, 180 Varick Street, New York, NY 10128, US  
 PATENT ASSIGNEE NO: 1860960  
 AGENT: Price, Vincent Andrew et al., FRY HEATH & SPENCE The Old College 53 High Street, Horley Surrey RH6 7BN, GB  
 AGENT NUMBER: 73513  
 OTHER SOURCE: REPB2001051 EP 0692025 B1 9068  
 SOURCE: Wila EP3-2001-H42-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)  
 PATENT INFORMATION:  

PATENT NO	KIND DATE
EP 692025	B1 20011017
'OFFENLEGUNGS' DATE:	19960117
APPLICATION INFO.:	EP 1994-912292 19940323
PRIORITY APPLN. INFO.:	US 1993-41411 19930331
	US 1994-190329 19940131
RELATED DOC. INFO.:	WI 94-US3143 940323 INTAKZ

REFERENCE PAT. INFO.: WO 92-05244 A  
 REF. NON-PATENT-LIT.: SCIENCE vol. 250, October 1990, LANCASTER, PA US pages 121 - 123 KLIM KING ET AL. 'Control of yeast mating signal transduction by a mammalian beta2-adrenergic receptor and Gs alpha subunit' CELL vol. 66, 20 September 1991, CAMBRIDGE, MA US pages 1197 - 1206 D. J. LEW ET AL. 'Isolation of three novel human cyclins by rescue of G1 cyclin (Cln) function in yeast' CELL vol. 66, 17 May 1991, CAMBRIDGE, MA US pages 691 - 699 YUE XIONG ET AL. 'Human D-type cyclin' PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF USA vol. 89, October 1992, WASHINGTON US pages 9412 - 9414 M. WITHEWAY ET AL. 'Dominant negative selection of heterologous genes: Isolation of Candida albicans genes that interfere with Saccharomyces cerevisiae mating factor-induced cell cycle arrest' JOURNAL OF CELLULAR BIOCHEMISTRY vol. 18B, February 1994 page 224 J. MANFREDI ET AL. 'Autocrine stimulation of yeast through human G-coupled receptors' A. KOFF ET AL.,: 'HUMAN CYCLIN E, A NEW CYCLIN THAT INTERACTS WITH TWO MEMBERS OF THE CDC2 GENE FAMILY' CELL vol. 66, 1991, pages 1217 - 1219 D.A. HUGHES ET AL.,: 'COMPLEMENTATION OF BYR1 IN FISSION YEAST BY MAMMALIAN MAP KINASE REQUIRES COEXPRESSION OF RAF KINASE' NATURE vol. 364, 1993, pages 394 - 395

L104 ANSWER 75 OF 189  
 ACCESSION NUMBER: 2000:164081  
 TITLE: Tissue factor methods and compositions for coagulation and tumor treatment  
 INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
 King, Steven W., Foothill Ranch, CA, United States  
 Gao, Boning, Dallas, TX, United States  
 PATENT ASSIGNEE(S): Board Of Regents, The University of Texas System, Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6156321		20001205
APPLICATION INFO.:	US 1993-9833		19980120 (2)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-42427P	19970327 (20)
	US 1997-36205P	19970127 (20)
	US 1997-35930P	19970122 (20)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Bansal, Geetha P.  
 LEGAL REPRESENTATIVE: Williams, Morgan and Amerson  
 NUMBER OF CLAIMS: 47  
 EXEMPLARY CLAIM: 1,3  
 NUMBER OF DRAWINGS: 25 Drawing Figure(s); 15 Drawing Page(s)  
 LINE COUNT: 7503

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention embodies the surprising discovery that Tissue Factor (TF) compositions and variants thereof specifically localize to the blood vessels within a vascularized tumor following systemic administration. The invention therefore provides methods and compositions comprising coagulant-deficient Tissue Factor for use in effecting specific coagulation and for use in tumor treatment. The TF compositions and methods of present invention may be used alone, as TF conjugates with improved half-life, or in combination with other agents, such as conventional chemotherapeutic drugs, targeted immunotoxins, targeted coaguligands, and/or in combination with Factor VIIa (FVIIa) or FVIIa

activators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 76 OF 189 USPATFULL

ACCESSION NUMBER: 0001:137821 USPATFULL

TITLE: Combined tissue factor and factor VIIa methods and compositions for coagulation and tumor treatment

INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
King, Steven W., Foothill Ranch, CA, United States  
Gao, Bening, Dallas, TX, United States

PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6132732		20001017
APPLICATION INFO.:	US 1998-9456		19980120 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-42427P	19970327 (60)
	US 1997-36205P	19970127 (60)
	US 1997-35920P	19970122 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Bansal, Geetha P.

LEGAL REPRESENTATIVE: Williams, Morgan & Amerson

NUMBER OF CLAIMS: 31

EXEMPLARY CLAIM: 1,3

NUMBER OF DRAWINGS: 15 Drawing Figure(s); 15 Drawing Page(s)

LINE COUNT: 7436

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention embodies the surprising discovery that Tissue Factor (TF) compositions and variants thereof specifically localize to the blood vessels within a vascularized tumor following systemic administration. The invention therefore provides methods and compositions comprising coagulation-deficient Tissue Factor for use in effecting specific coagulation and for use in tumor treatment. The TF compositions and methods of present invention may be used alone, as TF conjugates with improved half-life, or in combination with other agents, such as conventional chemotherapeutic drugs, targeted immunotoxins, targeted coaguligands, and/or in combination with Factor VIIa (FVIIa) or FVII activators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 77 OF 189 USPATFULL

ACCESSION NUMBER: 0002:137819 USPATFULL

TITLE: Combined tissue factor and chemotherapeutic methods and compositions for coagulation and tumor treatment

INVENTOR(S): Thorpe, Philip E., Dallas, TX, United States  
King, Steven W., Foothill Ranch, CA, United States  
Gao, Bening, Dallas, TX, United States

PATENT ASSIGNEE(S): Board of Regents, The University of Texas System,  
Austin, TX, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6132722		20001017
APPLICATION INFO.:	US 1998-9417		19980120 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-42427P	19970327 (60)

US 1997-36205P 19970127 '60  
US 1997-36205P 19970122 '60

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Bansal, Geetha P.  
LEGAL REPRESENTATIVE: Williams, Morgan & Amerson  
NUMBER OF CLAIMS: 46  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 25 Drawing Figure(s); 15 Drawing Page(s)  
LINE COUNT: 3498

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention embodies the surprising discovery that Tissue Factor (TF) compositions and variants thereof specifically localize to the blood vessels within a vascularized tumor following systemic administration. The invention therefore provides methods and compositions comprising coagulation-deficient Tissue Factor for use in effecting specific coagulation and for use in tumor treatment. The TF compositions and methods of present invention may be used alone, as TF conjugates with improved half-life, or in combination with other agents, such as conventional chemotherapeutic drugs, targeted immunotoxins, targeted coaguligands, and/or in combination with Factor VIIa (FVIIa) or FVII activators.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 78 OF 189 USEPATFULL

ACCESSION NUMBER: 2000:131812 USEPATFULL  
TITLE: Serine protease inhibitors  
INVENTOR(S): Green, Donovan St. Clair, London, United Kingdom  
Elgendy, Said Mohammed Anwar Ahmed, London, United Kingdom  
Patel, Geeta, London, United Kingdom  
Scully, Michael Finbar, Essex, United Kingdom  
Goodwin, Christopher Andrew, Avon, United Kingdom  
Kakkar, Vijay Vir, Hants, United Kingdom  
Deaaman, John Joseph, Surrey, United Kingdom  
PATENT ASSIGNEE(S): Trigen Limited, London, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127340		20001003
	WO 9605407		19960822
APPLICATION INFO.:	US 1993-894120		19930330 (B)
	WO 1996-GB352		19960215
			19930330 PCT 371 date
			19930330 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1995-2995	19950216
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Davenport, Avis M.	
LEGAL REPRESENTATIVE:	Townsend and Townsend and Crew LLP	
NUMBER OF CLAIMS:	56	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2442	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to **peptide** inhibitors of serine proteases, especially thrombin, in which the P1-P2 natural amide linkage is replaced by another bond. Exemplary thrombin inhibitors have the formula: X-(aa.sup.3)-(aa.sup.2)-psi-(aa.sup.1)-Z wherein X is H or a substituent on the N-terminal amino group, aa.sup.3 is a hydrophobic amino acid, aa.sup.23 is Pro, aa.sup.1 is Arg or an Arg



analogue, Z is --COOH or a heteroatom acid group and .psi. is a non-amide linkage.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 79 OF 189 USPTFULL

ACCESSION NUMBER: 2000:121839 USPTFULL

TITLE: Methods for regulating transcription factors

INVENTOR(S): Zagar, Maner N., Redmond, WA, United States

McMillan, Michael K., Bellevue, WA, United States

Hahn, Michael S., Kirkland, WA, United States

Tulinsky, John E., Seattle, WA, United States

Ogata, Cyprian O., Bellevue, WA, United States

Mathew, Jessymol, Bellevue, WA, United States

PATENT ASSIGNEE(S): Molecumetics Ltd., Bellevue, WA, United States (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION:	US 6117396	20000912
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APPLICATION INFO.:	US 1998-22934	19980212 (9)
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RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1997-797915, filed on 10 Feb 1997, now abandoned And a continuation-in-part of Ser. No. US 692420	
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NUMBER	DATE
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PRIORITY INFORMATION:	US 1997-47067P	19970519 (60)
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DOCUMENT TYPE:	Utility
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FILE SEGMENT:	Granted
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PRIMARY EXAMINER:	Higel, Floyd D.
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LEGAL REPRESENTATIVE:	Seed Intellectual Property Law Group PLLC
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NUMBER OF CLAIMS:	34
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EXEMPLARY CLAIM:	1
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NUMBER OF DRAWINGS:	7 Drawing Figure(s); 6 Drawing Page(s)
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LINE COUNT:	4501
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB .beta.-sheet mimetics and methods relating to the same are disclosed. The .beta.-sheet mimetics have utility as protease and kinase inhibitors, as well as inhibitors of transcription factors and protein-protein binding interactions. Methods of the invention include administration of a .beta.-sheet mimetic, or use of the same for the manufacture of a medicament for treatment of a variety of conditions associated with the targeted protease, kinase, transcription factor and/or protein-protein binding interaction.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 80 OF 189 USPTFULL

ACCESSION NUMBER: 2000:102075 USPTFULL

TITLE: Yeast cells engineered to produce pheromine system protein surrogates, and uses therefor

INVENTOR(S): Fowlkes, Dana Merriman, New York, NY, United States

Broach, Jim, New York, NY, United States

Manfredi, John, New York, NY, United States

Klein, Christine, New York, NY, United States

Murphy, Andrew J., Montclair, NJ, United States

Paul, Jeremy, Palisades, NY, United States

Trueheart, Joshua, South Nyack, NY, United States

PATENT ASSIGNEE(S): Cadus Pharmaceutical Corporation, Tarrytown, NY, United States (U.S. corporation)

NUMBER	KIND	DATE
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PATENT INFORMATION:	US 6100042	20000908
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APPLICATION INFO.: US 1994-322137 19941013 (8)  
 RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-309313, filed  
 on 20 Sep 1994, now abandoned which is a  
 continuation-in-part of Ser. No. US 1994-190329, filed  
 on 31 Jan 1994, now abandoned which is a  
 continuation-in-part of Ser. No. US 1993-41431, filed  
 on 31 Mar 1993, now abandoned

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Elm, John  
 LEGAL REPRESENTATIVE: Lahive & Cockfield, LLP, Lauro, Esq., Peter C., Kara,  
 Catherine J.

NUMBER OF CLAIMS: 48  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 11 Drawing Figure(s); 13 Drawing Page(s)  
 LINE COUNT: 6999

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Yeast cells are engineered to express both a surrogate of a pheromone  
 system protein (e.g., enzymes involved in maturation of  $\alpha$ -factor,  
 transporters of  $\alpha$ -factor, pheromone receptors, etc.) and a potential  
**peptide** modulator of the surrogate, in such a manner that the  
 inhibition or activation of the surrogate affects a screenable or  
 selectable trait of the yeast cells. Various additional features improve  
 the signal-to-noise ratio of the screening/selection system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 81 OF 189 USPTAFULL  
 ACCESSION NUMBER: 2000:87702 USPTAFULL  
 TITLE: Prothrombin derivatives  
 INVENTOR(S): Fischer, Bernhard, Vienna, Austria  
 Schlokat, Uwe, Orth/Donau, Austria  
 Mitterer, Artur, Orth/Donau, Austria  
 Falkner, Falko-Gunter, Orth/Donau, Austria  
 Eibl, Johann, Vienna, Austria  
 PATENT ASSIGNEE(S): Baxter Aktiengesellschaft, Vienna, Austria (non-U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6036871		20000711
	WO 9641868		19961227
APPLICATION INFO.:	US 1993-952967		19980126 (3)
	WO 1996-AT105		19960612
			19980126 PCT 371 date
			19980126 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1995-1005	19950613
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Proby, Rebecca E.	
ASSISTANT EXAMINER:	Saicha, Tekchand	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 14 Drawing Page(s)	
LINE COUNT:	1963	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to new prothrombin mutants or derivatives thereof  
 which comprise one or more changes in their protein sequence as compared  
 to natural protein, are either inactive or have an activity of  
 approximately 10% at the most, preferably approximately 0.25% at the  
 most, of the natural protein and which have a binding capacity relative

to natural ligands: natural or synthetic anticoagulants: substantially corresponding to that of the natural protein. Furthermore, the use of mutated prothrombin mutants or derivatives, respectively, as pharmaceutical preparations is described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 82 OF 189 USPATEFULL

ACCESSION NUMBER: 1001:17745 USPATEFULL

TITLE: Thrombin inhibitors based on the amino acid sequence of hirudin

INVENTOR(S): DiMair, John, Montreal, Canada  
Konisni, Yasuo, Kirkland, Canada  
Ni, Feng, Pierrefonds, Canada  
Steinmetzer, Torsten, Jena, Germany, Federal Republic of

PATENT ASSIGNEE(S): The National Research Council of Canada, Ottawa, Canada (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6060451		20000509
APPLICATION INFO.:	US 1995-406142		19950320 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-302245, filed on 9 Sep 1994, now abandoned which is a continuation of Ser. No. US 960425		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Delacroix-Muirheid, C.		
LEGAL REPRESENTATIVE:	Foley & Lardner		
NUMBER OF CLAIMS:	53		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 6 Drawing Page(s)		
LINE COUNT:	3036		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A thrombin inhibitor comprising a first bulky hydrophobic portion interacting with the catalytic site of thrombin responsible for proteolysis and a second portion at least maintaining the hydrophobic and acidic character of amino acids 55 to 60 of native hirudin at the C-terminal non-catalytic region of N-acetyl-hirudin45-65. Between the first and second portions is a divalent linker moiety having a chain length of at least 10 carbon atoms. Connecting the first bulky hydrophobic portion and the linker is a peptidomimetic bond. Preferably, the bulky hydrophobic portion comprises at least one amino acid of D-configuration. The compounds are useful in the treatment of thrombotic disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 83 OF 189 USPATEFULL

ACCESSION NUMBER: 2000:54070 USPATEFULL

TITLE: Kallikrein-binding "Kunitz domain" proteins and analogues thereof

INVENTOR(S): Markland, William, Milford, MA, United States  
Ladner, Robert Charles, Ijamsville, MD, United States

PATENT ASSIGNEE(S): Dyax Corp., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6057267		20000502
APPLICATION INFO.:	US 1994-209164		19940310 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-179964, filed on 11 Jan 1994, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Jelsa, Bennett  
LEGAL REPRESENTATIVE: Yankwich, Leon R., Zwicker, Kenneth P.  
NUMBER OF CLAIMS: 1  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 14 Drawing Figures; 15 Drawing Pages  
LINE COUNT: 8820

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to Eunitic domain proteins that bind to, and preferably inhibit, one or more kallikreins, and to therapeutic, diagnostic, and purification use of these proteins.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 94 OF 139 POTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2000064946 POTFULL ED 20020515  
TITLE (ENGLISH): COMPOSITIONS AND METHODS FOR CANCER TREATMENT BY  
SELECTIVELY INHIBITING VEGF  
TITLE (FRENCH): COMPOSITIONS ET PROCEDES DE TRAITEMENT DU CANCER PAR  
INHIBITION SELECTIVE DE VEGF  
INVENTOR(S): THORPE, Philip, E.; BREKKEN, Rolf, A.  
PATENT ASSIGNEE(S): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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	WO 2000064946	A2 20001102
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ	
	DE DK DM DO EE ES FI GB GD GE GH GM HP HU ID IL IN IS	
	JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN	
	MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT	
	TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG	
	ZW AM AZ BY KG KZ MD RU TG TM AT BE CH CY DE DK ES FI	
	FR GB GR IE IT LU MC NL PT SE BE BJ CF CG CI CM GA GN	
	GW ML MR NE SN TD TG	

APPLICATION INFO.: WO 2000-0311367 A 20000423  
PRIORITY INFO.: US 1999-60/131,432 19990423

ABEN Disclosed are antibodies that specifically inhibit VEGF binding to only one (VEGFR2) of the two VEGF receptors. The antibodies effectively inhibit angiogenesis and induce tumor regression, and yet have improved safety due to their **specificity**. The present invention thus provides new antibody-based compositions, methods and combined protocols for treating cancer and other angiogenic diseases. Advantageous immun conjugate and prodrug compositions and methods using the new VEGF-specific antibodies are also provided.

ABFR L'invention concerne des anticorps qui inhibent specifiquement le VEGF se liant a un seul (VEGFR2) des deux recepteurs VEGF. Les anticorps inhibent efficacement l'angiogenese et induisent aussi efficacement une regression de tumeur, tout en presentant une securite accrue du fait de leur specificite. L'invention concerne par consequent de nouvelles compositions a base d'anticorps, ainsi que des procedes et des protocoles combines pour traiter le cancer et d'autres maladies angiogeniques. Elle concerne egalement des compositions d'immun conjugues et de promedicaments ainsi que des procedes interessants faisant appel aux nouveaux anticorps pour le VEGF.

1104 ANSWER 85 OF 189 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000063243 POTFULL ED 20020515  
 TITLE (ENGLISH): DERIVATIVES OF THE B OR Z DOMAIN FROM STAPHYLOCOCCAL  
 PROTEIN A (SPA) INTERACTING WITH AT LEAST ONE DOMAIN OF  
 HUMAN FACTOR VIII  
 TITLE (FRENCH): DERIVES DU DOMAINE B OU Z D'UNE PROTEINE  
 STAPHYLOCOCCIQUE A (SPA) AYANT UNE INTERACTION AVEC AU  
 MOINS UN DOMAINE DU FACTEUR VIII HUMAIN  
 INVENTOR(S): LUNNQVIST, Charlotta; NORD, Karin; NYGREN, Per-Ake;  
 UHLEN, Mathias  
 PATENT ASSIGNEE(S): PHARMACIA & NEJOHN AB; LUNNQVIST, Charlotta; NORD,  
 Karin; NYGREN, Per-Ake; UHLEN, Mathias  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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	WO 2000163243	A1 20001026
DESIGNATED STATES	AE AL AM AT AU AZ BA BB BG BE BY CA CH CN CR CU CZ DE	
	DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE	
	KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX	
	NO NZ PL PT RO RU SD SE SG SI SK SL TC TM TR TT TZ UA	
	UG US UZ VN YU ZA ZW GH GM KE LS MW SD SL SE TZ UG ZW	
	AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR	
	GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW	
	ML MR NE SN TD TG	

APPLICATION INFO.: WO 2000-SE732 A 20000417  
 PRIORITY INFO.: SE 1999-0901379-9 19990419

ABEN The present invention relates to modified polypeptides which are  
 derivatives of the B domain or  
 Z domain from staphylococcal protein A (SPA), wherein between 1 and 20  
 amino acid residues of the  
 said B or Z domain have been substituted by other amino acid residues,  
 said substitution being made  
 without substantial loss of the basic structure and stability of the  
 said B or Z domain, and said  
 substitution resulting in interaction capacity of the said polypeptide  
 with at least one domain of  
 human Factor VIII protein.

ABFR La presente invention porte sur des polypeptides modifies qui sont des  
 derives du domaine B ou  
 Z de la proteine staphylococcique A (SPA), et dans lesquels entre 1 et  
 20 restes d'acides amines de  
 ce domaine B ou Z ont ete substitues par d'autres restes d'acides  
 amines, cette substitution se  
 faisant pratiquement sans perte de la structure basique et de la  
 stabilite du domaine B ou Z, et  
 conferant au polypeptide une capacite d'interaction avec au moins un  
 domaine de la proteine du  
 Factor VIII humain.

1104 ANSWER 86 OF 189 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000061789 POTFULL ED 20020515  
 TITLE (ENGLISH): METHODS AND REAGENTS FOR DETERMINING ENZYME SUBSTRATE  
 SPECIFICITY, AND USES RELATED THERETO  
 TITLE (FRENCH): PROCEDES ET REACTIFS SERVANT A DETERMINER LA  
 SPECIFICITE DE SUBSTRATS ENZYMATIQUES ET UTILISATIONS  
 CORRESPONDANTES  
 INVENTOR(S): BACHOVCHIN, William  
 PATENT ASSIGNEE(S): TRUSTEES OF TUFTS COLLEGE; BACHOVCHIN, William  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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## DESIGNATED STATES

WO 2000061789 AI 200001019  
AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HP HU ID IL IN IS JP KE  
KG KP KR KZ LC LE LR LS LT LU LV MA MD MG MK MN MW MX  
ND NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA  
UG US UZ VN YU ZA ZW GH GM HE LS MW SD SL SZ TZ UG ZW  
AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR  
GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW  
ML MR NE SN TD TG

## APPLICATION INFO.:

WO 2000-USA497

A 10010410

## PRIORITY INFO.:

US 1999-60/123,471

19990409

## ABEN

The invention provides a method for determining a preferred amino acid sequence motif for an active site an associated substrate **specificity** subsites of an enzyme. In the method of the invention, an enzyme is contacted with an oriented degenerate **peptide** library, certain **peptides** within the library which are substrates for the enzyme are bound by the enzyme, and bound

**peptide**/enzyme complexes are separated from unbound **peptides**. The bound **peptides** are released from the enzyme and are sequenced. A preferred amino acid sequence motif for the active site and associated **specificity** subsites is determined based upon the relative abundance of different amino acids residues at each degenerate position. The invention also provides **peptides**, **peptide** analogs, and other small molecules which have a variety of uses and can be derived from the present invention.

## ABFR

L'invention concerne un procede permettant de determiner un motif prefere de sequence d'acides amines pour un site actif d'une enzyme et ses sous-sites de specificite associes. Selon le procede de l'invention, on met en contact une enzyme avec une banque de **peptides** degeneres orientes, certains **peptides** de la banque etant des substrats pour l'enzyme qui s'y lie, puis on separe les complexes **peptide**/enzyme lies et non lies. Les **peptides** lies sont liberes de l'enzyme puis sequences. On determine un motif prefere de sequence d'acides amines pour le site actif et ses sous-sites de specificite associes en fonction de l'abondance relative des differents residus d'acides amines a chaque position degenerree. L'invention concerne egalement des **peptides**, des **analogues** peptidiques et d'autres petites molecules convenant pour de nombreuses utilisations et pouvant etre derives de l'invention.

L104 ANSWER 87 OF 139 PCTFULL COPYRIGHT 2002 Univentio

## ACCESSION NUMBER:

2000061782 PCTFULL ED 20020515

## TITLE (ENGLISH):

ECOTINE DERIVATIVES

## TITLE (FRENCH):

DERIVES D'ECOTINE

## INVENTOR(S):

CRAIK, Charles, S.; FLETTERICK, Robert, J.; LUNDBLAD, Roger, L.; SCHWARZ, Hans, P.

## PATENT ASSIGNEE(S):

THE REGENTS OF THE UNIVERSITY OF CALIFORNIA; CRAIK, Charles, S.; FLETTERICK, Robert, J.; LUNDBLAD, Roger, L.; SCHWARZ, Hans, P.

## LANGUAGE OF PUBL.:

English

## DOCUMENT TYPE:

Patent

## PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2000061782	AI	200001019

DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE  
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW NX  
NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA  
UG US UZ VN YU ZA ZW ZH ZM ZN ZP ZR ZS ZT ZU ZV  
AM AZ BY KB KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR  
GB GR IE IT LU MD NL PT SE SF SJ SG SI SM GA GN GW  
ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-059773 A 20010412

PRIORITY INFO.: US 1999-09-289,890 19990412

ABEN This invention provides a class binding proteins that specifically bind to and modulate (e.g. enhance) the activity of polypeptides having a chymotrypsin fold (e.g. serine proteases). The binding proteins are based on the structure of ecotin. It was discovered that modification of the amino or carboxyl terminus and/or randomization of one or more of loops 50s, 60s, 80s or 100s will provide an ecotin variant library from which can be selected binding molecules (e.g. protease modulators) specific to virtually any serine protease. Depending on the ecotin variant and the target serine protease, the modulator can act as a serine protease inhibitor or as a serine protease activator. Specific agonists (enhancers) of Factor IXa are disclosed.

ABFR L'invention concerne une classe de protéines de liaison qui se lient spécifiquement aux polypeptides comprenant un enroulement de chymotrypsine (p. ex. protéase à serine) et modulent (p. ex. renforcent) l'activité de ceux-ci. Ces protéines de liaison reposent sur une structure ecotine. On a découvert que la modification du terminus amino ou carboxyle et/ou la randomisation d'une ou plusieurs boucles sélectionnées dans les boucles 50, 60, 80 ou 100 permet d'obtenir une banque de mutants d'ecotine à partir de laquelle des molécules de liaison (p. ex. modulateurs de protéase) spécifiques de pratiquement n'importe quelle protéase à serine peuvent être sélectionnées. Selon le mutant d'ecotine et la protéase à serine cible, le modulateur peut avoir une action d'inhibiteur de protéase à serine ou d'activateur de protéase à serine. L'invention concerne également des agonistes (renforceurs-facilitateurs) du facteur IX.

L104 ANSWER 98 OF 189 PCTFULL COPYRIGHT 2002 Univention

ACCESSION NUMBER: 2000055196 PCTFULL ED 20020515

TITLE (ENGLISH): PROTAMINE FRAGMENT COMPOSITIONS AND METHODS OF USE

TITLE (FRENCH): COMPOSITIONS CONTENANT DES FRAGMENTS DE PROTAMINE ET PROCÉDES D'UTILISATION

INVENTOR(S): YANG, Victor, C.; BYRN, Youngro

PATENT ASSIGNEE(S): THE REGENTS OF THE UNIVERSITY OF MICHIGAN; YANG, Victor, C.; BYRN, Youngro

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2000055196 A1 20000921

DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE  
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW  
NX NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA  
UG US UZ VN YU ZA ZW ZH ZM ZN ZP ZR ZS ZT ZU ZV  
ZW AM AZ BY KB KZ MD RU TJ TM AT BE CH CY DE DK ES FI

FR GP GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN  
GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-053210 A 20000315

PRIORITY INFO.: US 1999-09/124,873 19990317

ABEN Provided are bioactive, low toxicity protamine fragments, compositions, combinations, kits and methods of using these components in a variety of embodiments, including neutralizing heparin and reducing post-operative bleeding. Improved protamine fragment-insulin solutions and methods for treating diabetes are also provided.

ABFR L'invention concerne des fragments de protamine bioactifs de faible toxicite, des compositions, des combinaisons, des troussees et des procedes d'utilisation de ces composants dans diverses formes de realisation, y compris pour neutraliser l'heparine et reduire un saignement postoperatoire. L'invention concerne egalement des solutions ameliorees contenant des fragments de protamine-insuline et des methodes de traitement du diabete.

L104 ANSWER 89 OF 189 PCTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2000053210 PCTFULL ED 20020515

TITLE (ENGLISH): METHODS OF TREATMENT AND PREVENTION OF RESTENOSIS

TITLE (FRENCH): METHODES DE TRAITEMENT ET DE PREVENTION DE LA RESTENOSE

INVENTOR(S): ROSEN, Craig, A.; NI, Jian; WANG, Mingsheng; SHI, Y., Eric

PATENT ASSIGNEE(S): HUMAN GENOME SCIENCES, INC.; LONG ISLAND JEWISH MEDICAL CENTER; ROSEN, Craig, A.; NI, Jian; WANG, Mingsheng; SHI, Y., Eric

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 2000053210 A1 20000914

DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE  
KG KP KR KC LC LK LR LS LT LU LV MA MD MG MK MN MW MX  
NO NC PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA  
UG US VZ VN YU ZA ZW GH GM KE LS MW SD SL SE TZ UG ZW  
AM AC BY KG KZ MD RU TU TM AT BE CH CY DE DK ES FI FR  
GB GR IE IT LJ MC NL PT SE BF BJ CF CG CI CM GA GN GW  
ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-05279 A 20000313

PRIORITY INFO.: US 1999-09/266,424 19990311

ABEN The present invention describes methods of treating or preventing restenosis, vascular injury, and vascular disease in a subject by administering TIMP-4. The inventors have surprisingly found that TIMP-4 has an important role in the accumulation of the extracellular matrix in a vessel wall and as such facilitates the healing process of an injured vessel. Also provided by the present invention is a method of inhibiting migration of smooth muscle cells, such as vascular smooth muscle cells, by introducing to the cell an amount of TIMP-4 effective to inhibit the migration, as well as inhibiting extracellular matrix degradation of a vessel, such as an artery, vein or capillary, by introducing TIMP-4 to the vessel.

ABFR La presente invention concerne des methodes de traitement ou de prevention de la restenose, d'une lesion vasculaire, et d'une maladie vasculaire chez un sujet, en lui administrant la TIMP-4.



Les inventeurs ont decouvert avec surprise que la TIMP-4 jouait un role important dans l'accumulation de la matrice extracellulaire dans la paroi d'une veine, facilitant ainsi le processus de regeneration d'une veine lasee. En outre, cette invention concerne une methode d'inhibition de la migration des cellules du muscle lisse, comme par exemple les cellules du muscle lisse vasculaire, en introduisant dans la cellule une quantite efficace de TIMP-4 pour inhiber la migration, cette methode inhibant egalement la degradation de la matrice extracellulaire d'une veine, telle qu'une artere ou un capillaire, en introduisant la TIMP-4 dans la veine.

L104 ANSWER 90 OF 139 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000052034 POTFULL ED 20020515  
 TITLE (ENGLISH): INHIBITORS OF SERINE PROTEASE ACTIVITY, METHODS AND COMPOSITIONS FOR TREATMENT OF VIRAL INFECTIONS  
 TITLE (FRENCH): INHIBITEURS D'ACTIVITE DE SERINE PROTEASE, METHODES ET COMPOSITIONS DE TRAITEMENT D'INFECTIONS VIRALES  
 INVENTOR(S): SHAPIRO, Leland  
 PATENT ASSIGNEE(S): THE TRUSTEES OF UNIVERSITY TECHNOLOGY CORPORATION  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2000052034	A2	20000908
AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CZ DE DK DM EE ES FI GB GD GE GH GM HF HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW		
GH GM KE LS MW SD SL SZ TZ UG ZW AM AZ BY EG EG MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL ET SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		
WO 2000-US5558	A	20000303
US 1999-60/123,167		19990305
US 1999-60/137,795		19990603

DESIGNATED STATES

APPLICATION INFO.:  
 PRIORITY INFO.:  
 ABEN A novel method of treating and preventing viral infection is provided. In particular a method of blocking viral infection facilitated by a serine proteolytic (SP) activity is disclosed, which consists of administering to a subject suffering or about to suffer from viral infection a therapeutically effective amount of a compound having a serine protease inhibitory or serpin activity. Among compounds are  $\alpha$ 1-antitrypsin (AAT), **peptide** derivatives from the carboxyterminal end of AAT, and man-made, synthetic compounds mimicking the action of such compounds. The preferred viral infections include retroviral infection such as human immunodeficiency virus (HIV) infection.

ABFR L'invention concerne une nouvelle methode de traitement et de prevention d'une infection virale. L'invention concerne, en particulier, une methode destinee a combattre une infection virale favorisee par une activite de serine proteolytique (SP), consistant a administrer a un sujet souffrant ou susceptible de souffrir d'une infection virale une quantite therapeutiquement efficace d'un compose presentant une activite d'inhibition de serine protease ou serpin. Parmi les composés

se trouvent l'antitrypsine (alpha;1 AAT), des derives peptidiques de l'extremite carboxyterminale de l'AAT, et des composés synthetiques artificiels imitant l'action de ces composés. Parmi les infections virales preferées se trouvent les infections retrovirales telles que l'infection du virus de l'immunodeficiency humaine (VIH).

1194 ANSWER 91 OF 199 POTFULL COPYRIGHT 2002 Univentis  
 ACCESSION NUMBER: 2000051625 POTFULL ED 20020918  
 TITLE (ENGLISH): INHIBITORS OF SERINE PROTEASE ACTIVITY, METHODS AND COMPOSITIONS FOR TREATMENT OF HERPES VIRUSES  
 TITLE (FRENCH): INHIBITEURS D'ACTIVITE DE SERINE PROTEASE, METHODES ET COMPOSITIONS DE TRAITEMENT DE VIRUS DE L'HERPES  
 INVENTOR(S): SHAPIRO, Deland  
 PATENT ASSIGNEE(S): THE TRUSTEES OF UNIVERSITY TECHNOLOGY CORPORATION  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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	WO 2000051625	A1	20000903
DESIGNATED STATES	AE AD AM AT AU AZ BA BB BG BR BY CA CH CN CR CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG ME MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2000-US5557	A	20000303
PRIORITY INFO.:	US 1999-60/123,167		19990305
	US 1999-60/153,942		19990915

ABEN Novel compositions and methods of treating and preventing a viral infection are provided. A method of blocking a viral infection facilitated by a serine proteolytic (SP) activity is disclosed, which involves administering to a subject suffering or about to suffer from a viral infection a therapeutically effective amount of a substance having serine protease inhibitory activity or serpin activity. Among the substances found to be useful are (alpha;1-antitrypsin (AAT), **peptide** derivatives from the carboxy terminal end of AAT and synthetic drugs mimicking the action of such substances. The invention is particularly well suited for checking a viral infection mediated by members of herpesviridae family.

ABFR L'invention concerne de nouvelles compositions et methodes de traitement et de prevention d'une infection virale. L'invention concerne une methode visant a bloquer une infection virale favorisee par une activite proteolytique de serine (SP), consistant a administrer a un sujet souffrant ou susceptible de souffrir d'une infection virale une quantite therapeutiquement efficace d'une substance presentant une activite d'inhibition de serine protease ou serpin. Parmi les substances utiles se trouvent l'antitrypsine (alpha;1 AAT), des derives peptidiques de l'extremite carboxyterminale de l'AAT et des medicaments synthetiques imitant l'action de ces substances. L'invention est particulierement appropriee dans le depistage d'une infection virale a mediation de membres de la famille des Herpesviridae.

1194 ANSWER 92 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000033844 PCTFULL ED 20020515  
 TITLE (ENGLISH): ARYL AND HETEROCYCLYL SUBSTITUTED PYRIMIDINE  
 DERIVATIVES AS ANTI-COAGULANTS  
 TITLE (FRENCH): DERIVES DE PYRIMIDINE A SUBSTITUTION ARYLE ET  
 HETEROCYCLYLE EN TANT QU'ANTI-COAGULANTS  
 INVENTOR(S): DAVEY, David, D.; PHILLIPS, Gary, B.  
 PATENT ASSIGNEE(S): BERLIX LABORATORIES, INC.; DAVEY, David, D.; PHILLIPS,  
 Gary, B.  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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# DESIGNATED STATES

WO 2000033844	A1	20000515
AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE		
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE		
KG KP KR KS LC LK LR LS LT LU LV MA MD MG MK MN MW MX		
NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA		
UG US UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG ZW		
AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR		
GB GR IE IT LU MC NL PT SE BE BJ CF CG CI CM GA GN GW		
ML MR NE SN TD TG		

APPLICATION INFO.: WO 1999-US08537 A 19991203  
 PRIORITY INFO.: US 1998-09/205,493 19991204

ABEN This invention is directed to aryl and heterocyclyl substituted  
 pyrimidine derivatives selected  
 from formulae (I), (II) and (III), wherein Z1, Z2, R1, R2, R3, R4, R5  
 and R6 are defined herein.  
 These compounds are useful as anti-coagulants.  
 ABFR L'invention concerne des derives de pyrimidine a substitution aryle et  
 heterocyclyle choisis  
 parmi les formules (I), (II) et (III), dans lesquelles Z1, Z2, R1, R2,  
 R3, R4, R5 et R6 sont definis  
 dans le descriptif. Ces composes sont utiles en tant qu'anticoagulants.

1194 ANSWER 93 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000024718 PCTFULL ED 20020515  
 TITLE (ENGLISH): SERINE PROTEASE INHIBITOR  
 TITLE (FRENCH): INHIBITEUR DE LA SERINE PROTEASE  
 INVENTOR(S): TIMMERS, Cornelis, Marius; REWINKEL, Johannes,  
 Bernardus, Maria  
 PATENT ASSIGNEE(S): AKZO NOBEL N.V.; TIMMERS, Cornelis, Marius; REWINKEL,  
 Johannes, Bernardus, Maria  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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# DESIGNATED STATES

WO 2000024718	A1	20000504
AL AU BA BE BG BR CA CN CU CZ EE GE HU ID IL IN IS JP		
KP KR LC LK LP LT LV MG MK MN MX NO NZ PL RO RU SG SI		
SK SL TR TT UA US UZ VN YU ZA GH GM KE LS MW SD SL SZ		
TJ UG ZW AM AZ BY EG KZ MD RU TJ TM AT BE CH CY DE DK		
ES FI FR GB GR IE IT LU MC NL PT SE BE BJ CF CG CI CM		
GA GN GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 1999-EP09285 A 19991019  
 PRIORITY INFO.: EP 1999-09/03559.4 19991019

ABEN The invention relates to a serine protease inhibitor having formula I,  
 in which Z is H, R1,  
 R1-C(O)-, R1-C(O)-, R1-SO2-, R3COO-(CHR2)p-, (R2a, R2b)N-CO-(CHR2)p-  
 or Het-CO-(CHR2)p-; D is an  
 amino-acid of the formula -NH-CHR1-C(O)-, -NR4-CH[(CH2)qC(O)OR1]-C(O)-,  
 -NR4-CH[CH2 qC(O)N R2a,

$R2b = -C(O)-$ ,  $-NR4-CH[(CH2)qC(O)Het]-C(O)-$ , D-1-Tiq, D-3-Tiq, L-Atc, Aic, D-1-Piq or D-3-Piq; E is  $-NR2-CH2-$  or the fragment (a), optionally substituted with (1-6C)alkyl, (1-6C)alkoxy or benzyloxy; R1 is selected from (1-12C)alkyl, (2-12C)alkenyl, (2-12C)alkynyl, (3-12C)cycloalkyl and (3-12C)cycloalkyl(1-6C)alkylene, which groups may optionally be substituted with (3-12C)cycloalkyl, (1-6C)alkoxy, oxo, OH, CF3 or halogen, and from (6-14C)aryl, (7-15C)aralkyl, (3-16C)aralkenyl and (14-20C)(bisaryl)alkyl, whereby the aryl groups may optionally be substituted with (1-6C)alkyl, (3-12C)cycloalkyl, (1-6C)alkoxy, OH, CF3 or halogen; R2, R2a and R2b are each independently selected from H, (1-8C)alkyl, (3-8C)alkenyl, (3-8C)alkynyl, (3-8C)cycloalkyl and (3-6C)cycloalkyl(1-4C)alkylene, which can each be optionally substituted with (3-6C)cycloalkyl, (1-6C)alkoxy, CF3 or halogen, and from (6-14C)aryl and (7-15C)aralkyl whereby the aryl groups may optionally be substituted with (1-6C)alkyl, (3-6C)cycloalkyl, (1-6C)alkoxy, CF3 or halogen; R3 is defined for R2 or Het-(1-6C)alkyl; R4 is H or (1-3C)alkyl; X and Y are CH or N with the proviso that they are not both N; Het is a 4-, 5- or 6-membered heterocycle containing one or more heteroatoms selected from O, N and S; m is 1 or 2; p is 1, 2 or 3; q is 1, 2 or 3; t is 2, 3 or 4; or a prodrug; or a pharmaceutically acceptable addition salt and/or solvate thereof and its use in therapy and manufacture of a medicament for treating or preventing thrombin-mediated and thrombin-associated diseases.

ABFR L'invention concerne un inhibiteur de la serine protease ayant la formule (I), dans laquelle J est H, R1, R1-O-C(O)-, R1-C(O)-, R1-SO2-, R3OOC-(CHR2)p-, (R2a, R2b)N-CO-(CHR2)p- ou Het-CO-(CHR2)p-; D est un acide amine de formule NH-CH(R1)-C(O)-,  $-NR4-CH[(CH2)qC(O)OR1]-C(O)-$ ,  $NR4-CH[(CH2)qC(O)N(R2a, R2b)]-C(O)-$ ,  $NR4-CH[(CH2)qC(O)Het]-C(O)-$ , D-1-Tiq, D-3-Tiq, D-Atc, Aic, D-1-Piq ou D-3-Piq; E est  $NR2-CH2-$  ou le fragment, facultativement remplacé par (1-6C)alkyle, (1-6C)alcoxy ou benzyloxy; R1 choisi dans (1-12C)alkyle, (2-12C)alcenyle, (2-12C)alkynyle, (3-12C)cycloalkyle et (3-12C)cycloalkyle(1-6C)alkylene, groupes qui peuvent facultativement être remplacés par (3-12C)cycloalkyle, (1-6C)alcoxy, oxo, OH, CF3 ou halogène, et dans (6-14C)aryle, (7-15C)aralkyle, (3-16C)aralcenyle et (14-20C)(bisaryle)alkyle, les groupes aryle pouvant facultativement être remplacés par (1-6C)alkyle, (3-12C)cycloalkyle, (1-6C)alcoxy, OH, CF3 ou halogène; R2, R2a et R2b sont, chacun, choisis de manière indépendante dans H, (1-8C)alkyle, (3-8C)alcenyle, (3-8C)alkynyle, (3-8C)cycloalkyle et (3-6C)cycloalkyle(1-4C)alkylene, qui peuvent, chacun, être facultativement remplacés par (3-6C)cycloalkyle, (1-6C)alcoxy, CF3 ou halogène, et dans (6-14C)aryle et (7-15C)aralkyle, les groupes aryle pouvant être facultativement remplacés par (1-6C)alkyle, (3-6C)cycloalkyle, (1-6C)alcoxy, CF3 ou halogène; R3 est tel que défini pour R2 ou Het (1-6C)alkyle; R4 est H ou (1-3C)alkyle; X et Y sont CH ou N, à condition qu'ils ne soient pas tous deux N; Het est 4-, 5- ou 6-heterocycle ramifié contenant au moins

un heteroatome choisi dans  
 O, N et S; m est egal a 1 ou 2; p a 1, 2 ou 3; q a 1, 2 ou 3;; t a 2, 3  
 ou 4; un promedicament; une  
 adjonction de sel et/ou de solvate pharmaceutiquement acceptable(s) de  
 celui-ci, ainsi que son  
 utilisation en tant que et pour la fabrication d'un medicament pour  
 traiter ou prevenir des maladies  
 induites par la thrombine ou associees a celle-ci.

1104 ANSWER 94 OF 189 POTFULL COPYRIGHT 2002 Univentis  
 ACCESSION NUMBER: 2000 22160 POTFULL ED 21020515  
 TITLE (ENGLISH): METHODS FOR ASSESSING COMPLEMENT ACTIVATION  
 TITLE (FRENCH): METHODE D'EVALUATION DE L'ACTIVATION DU COMPLEMENT  
 INVENTOR(S): HUGLI, Tony, E.; STUGHTON, Roland, B.  
 PATENT ASSIGNEE(S): CELL ACTIVATION, INC.; THE SCRIPPS RESEARCH INSTITUTE  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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NUMBER	KIND	DATE
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NUMBER	KIND	DATE
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DESIGNATED STATES	NUMBER	KIND	DATE
AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE	WO 2000022160	A1	20000420
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE			
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX			
NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA			
UG UZ VN YU ZA ZW			
AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB			
GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML			
MP NE SN TD TG			

APPLICATION INFO.:	NUMBER	KIND	DATE
WO 1999-US24150	A	19991015	

PRIORITY INFO.:	NUMBER	KIND	DATE
US 1998-09/173,579		19981015	

PRIORITY INFO.:	NUMBER	KIND	DATE
US 1999-09/245,829		19990205	

ABEN Methods for measuring i(in vivo) activation of the lectin pathway by  
 measuring mannan-binding  
 serine protease activity (MASP) are provided. The methods are  
 accomplished by measuring C3a and C4a  
 levels in i(in vitro) activated EDTA plasma. In particular, the increase  
 in C3a and/or C4a as a  
 function of time is an indicator of the amount of activated MASP in EDTA  
 plasma. Methods are also  
 provided for measuring the alternate and classical pathways of  
 complement activation, exclusive of  
 the lectin pathway, and thereby disorders associated therewith. To  
 perform such measurements, Futhan  
 or other serine protease inhibitor is added to blood or plasma,  
 containing a divalent metal ion  
 chelator, and C3a and C4a are measured.

ABFR L'invention se rapporte a des methodes permettant d'evaluer l'activation  
 i(in vivo) de la voie  
 de la lectine par mesure de l'activite de la serine protease se fixant a  
 la mannane (MASP). Ces  
 methodes consistent a mesurer les taux de C3a et de C4a dans du plasma  
 d'EDTA active i(in vitro). En  
 particulier, l'accroissement de C3a et/ou C4a en fonction du temps est  
 un indicateur de la quantite  
 de MASP activee dans le plasma d'EDTA. L'invention se rapporte egalement  
 a des methodes permettant  
 de mesurer les voies classiques et autres de l'activation du complement,  
 a l'exclusion de la voie de  
 la lectine, et permettant par consequent d'evaluer les troubles  
 associes. Pour permettre ces  
 mesures, on ajoute un inhibiteur de serine protease de type Futhan ou  
**analogue** a du sang ou du  
 plasma contenant un agent de chelation des ions metalliques bivalents et  
 l'on mesure les taux de C3a

et de C4a.

L104 ANSWER 95 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2000004392 PCTFULL ED 20020515  
TITLE (ENGLISH): ARRAYS OF PROTEINS AND METHODS OF USE THEREOF  
TITLE (FRENCH): GROUPEMENTS DE PROTEINES ET PROCEDES D'UTILISATION DE  
DEUX-DI  
INVENTOR(S): WARNER, Peter; AULT-RICHE, Dana; NOCK, Steffen; ITIN,  
Christian  
PATENT ASSIGNEE(S): CYOMX, INC.  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2000004392	A1	20000127
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DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU  
ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY KG KZ MD  
RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
NL PT SE BE BG CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 1999-US15971 A 19990714  
PRIORITY INFO.: US 1999-09/115,455 19980714

ABEN Protein arrays for the parallel, i(in vitro) screening of biomolecular activity are provided. Methods of using the protein arrays are also disclosed. On the arrays, a plurality of different proteins, such as different members of a single protein family, are immobilized on one or more organic thin films on the substrate surface. The protein arrays are particularly useful in drug development, proteomics, and clinical diagnostics.

ABFR L'invention concerne des groupements de proteines permettant de mettre en oeuvre un criblage i(in vitro) en parallele d'activite biomoleculaire. Des procedes d'utilisation des groupements de proteines sont egalement decrits. Dans les groupements, plusieurs proteines differentes telles que des membres differents d'une seule famille de proteines, sont immobilisees sur un ou plusieurs films minces organiques a la surface du substrat. Les groupements de proteines sont particulierement utiles dans le developpement de medicaments, la proteomique et le diagnostic clinique.

L104 ANSWER 96 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2000002587 PCTFULL ED 20020515  
TITLE (ENGLISH): CANCER TREATMENT METHODS USING THERAPEUTIC CONJUGATES THAT BIND TO AMINOPHOSPHOLIPIDS  
TITLE (FRENCH): PROCEDES DE TRAITEMENT DU CANCER METTANT EN APPLICATION DES CONJUGUES THERAPEUTIQUES SE FIXANT A DES AMINOPHOSPHOLIPIDES  
INVENTOR(S): THORPE, Philip, E.; RAN, Sophia  
PATENT ASSIGNEE(S): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2000002587	A1	20000120
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DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL

PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU  
 ZA ZW GH GM HE LS MW SD SL SZ UG ZW AM AZ BY KG KZ MD  
 RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
 NL PT SE SF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG  
 APPLICATION INFO.: WO 1999-03,5669 A 19990712  
 PRIORITY INFO.: US 1999-60,092,569 19990713  
 US 1999-60,110,600 19991202

ABEN Disclosed is the surprising discovery that aminophospholipids, such as phosphatidylserine and phosphatidylethanolamine, are specific, accessible and stable markers of the luminal surface of tumor blood vessels. The present invention thus provides aminophospholipid targeted diagnostic and therapeutic constructs for use in tumor intervention. Antibody-therapeutic agent conjugates and constructs that bind to aminophospholipids are particularly provided, as are methods of specifically delivering therapeutic agents, including toxins and coagulants, to the stably-expressed aminophospholipids of tumor blood vessels, thereby inducing thrombosis, necrosis and tumor regression.

ABFR On a decouvert que des aminophospholipides, tels que phosphatidylserine et phosphatidylethanolamine, sont des marqueurs specifiques, accessibles et stables de la surface intracavitaire de vaisseaux sanguins tumoraux. L'invention concerne, de ce fait, des produits de recombinaison diagnostiques et therapeutiques ciblant les aminophospholipides et concus pour intervenir sur la tumeur. Elle concerne en particulier des conjugues d'agents therapeutiques et d'anticorps et des produits de recombinaison se fixant aux aminophospholipides, ainsi que des procedes servant a administrer de facon specifique des agents therapeutiques, y compris des toxines et des coagulants, aux aminophospholipides d'expression stable de vaisseaux sanguins tumoraux, ce qui provoque une thrombose, une necrose et une regression de la tumeur.

L104 ANSWER 97 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 20000002584 PCTFULL ED 20020515  
 TITLE (ENGLISH): CANCER TREATMENT METHODS USING ANTIBODIES TO AMINOPHOSPHOLIPIDS  
 TITLE (FRENCH): PROCEDES DE TRAITEMENT DU CANCER REPOSANT SUR L'UTILISATION D'ANTICORPS VIS-A-VIS DES AMINOPHOSPHOLIPIDES  
 INVENTOR(S): THORPE, Philip, E.; RAN, Sophia  
 PATENT ASSIGNEE(S): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 1999002584	A2	20000120

DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LF LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZA ZW GH GM HE LS MW SD SL SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE SF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG  
 APPLICATION INFO.: WO 1999-03,5669 A 19990712  
 PRIORITY INFO.: US 1999-60,092,572 19990713  
 US 1999-60,110,600 19991202

ABEN Disclosed are the surprising discoveries that aminophospholipids, such as phosphatidylserine and phosphatidylethanolamine, are stable and specific markers accessible on the luminal surface of tumor blood vessels, and that the administration of an anti-aminophospholipid antibody alone is sufficient to induce thrombosis, tumor necrosis and tumor regression (in vivo). This invention therefore provides anti-aminophospholipid antibody-based methods and compositions for use in the specific destruction of tumor blood vessels and in the treatment of solid tumors. Although various antibody conjugates and combinations are thus provided, the use of naked, or unconjugated, anti-phosphatidylserine antibodies is a particularly important aspect of the invention, due to simplicity and effectiveness of the approach.

ABFR L'invention concerne la decouverte surprenante selon laquelle les aminophospholipides, du type phosphatidylserine et phosphatidylethanolamine, sont des marqueurs stables et accessibles a la surface intracavitaire des vaisseaux sanguins de tumeur, et selon laquelle la simple administration d'anticorps vis-a-vis des aminophospholipides suffit a induire la thrombose, la necrose tumorale et la regression tumorale i(in vivo). En consequence, l'invention concerne des procedes reposant sur l'utilisation d'anticorps vis-a-vis des aminophospholipides, et des compositions destinees a etre utilisees pour la destruction specifique des vaisseaux sanguins de tumeur et le traitement des tumeurs solides. Bien que l'invention concerne ainsi plusieurs conjugues et combinaisons d'anticorps, l'utilisation d'anticorps nus ou non conjugues vis-a-vis du type phosphatidylserine est un aspect particulierement important de l'invention, grace a la simplicite et a l'efficacite de l'approche considereea

L104 ANSWER 98 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 830371 EUROPATEFULL EW 200051 FS PS  
 TITLE: IMIDAZO(1,5A)PYRIDINE DERIVED SERINE PROTEASE INHIBITORS.  
 AUS IMIDAZO-(1,5A)-PYRIDIN STAMMENDE INHIBITOREN VON SERINPROTEASEN.  
 INHIBITEURS DE SERINE PROTEASE DERIVES DE IMIDAZO(1,5A)PYRIDINE.  
 INVENTOR(S): OTTENHEYM, Henricus Carl Joseph, Gagelveld 5, 6596 CC Milsbeek, NL;  
 ADAMS, Anton Egbert Peter, Le Sage ten Broeklaan 77, 5615 JR Eindhoven, NL;  
 PETERS, Jacobus Albertus Maria, Meerval 23, 5345 DB Oss, NL  
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Velperweg 76, 6824 BM Arnhem, NL  
 PATENT ASSIGNEE NO: 210754  
 AGENT: Hogenbirk, Marijke et al., P.O. Box 20, 5340 BH Oss, NL  
 AGENT NUMBER: 86991  
 OTHER SOURCE: BEPB20000160 EP 0830371 B1 0019  
 SOURCE: Wila-EPS-2000-H51-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R



IE; R IT; R LI; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale  
Anmeldung)  
PATENT INFORMATION:  
PATENT NO. KIND DATE  
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EP 870371 B1 19901230  
'OFFENLEGUNGS' DATE: 19900315  
APPLICATION INFO.: EP 1496-218638 19900519  
PRIORITY APPLN. INFO.: EP 1495-201448 19900603  
RELATED DOC. INFO.: WO 90-EP21296 960523 INTAKZ  
WO 9038470 961201 INTNR  
REFERENCE PAT. INFO.: EP 335483 A  
REF. NON-PATENT-LIT.: LIEBIGS ANNVALEN DER CHEMIE, no. 9, September 1983,  
WEINHEIM DE, pages 1623-1637, XP002014819 C KLEIN ET  
AL.: "Umwandlung von omega-Guanidino- und  
omega-Ureido-alpha-aminosaeuren in alpha-Ketosaeuren und  
deren heterocyclische Folgeprodukte " cited in the  
application TETRAHEDRON, vol. 48, no. 24, 12 June 1992,  
OXFORD GB, pages 5191-5198, XP002014820 R GONZALEZ-MUNIZ  
ET AL.: "Synthesis of 2-substituted 8-amino-3-  
oxoimidine-2-carboxylic acid derivatives as peptide  
conformation mimetics"

L104 ANSWER 99 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 529031 EUROPATEFULL EW 200021 FS PS  
TITLE: IMPROVED INHIBITORS OF THROMBIN.  
VERBESSERTE THROMBININHIBITOREN.  
INHIBITEURS AMELIORES DE THROMBINE.  
INVENTOR(S): MARAGANORE, John, M., 17 Highland Street, Concord, MA  
01742, US;  
JABLONSKI, Jo-Ann, M., 9 Summer Street, Middleborough,  
MA 01346, US;  
BURDON, Paul, R., 17 1/2 Vinal Avenue, Somerville, MA  
02143, US  
PATENT ASSIGNEE(S): BIOGEN, INC., 14 Cambridge Center, Cambridge  
Massachusetts 02142, US  
PATENT ASSIGNEE NO: 1049451  
AGENT: VOSSIUS & PARTNER, Postfach 86 07 67, 81634 Muenchen, DE  
AGENT NUMBER: 100311  
OTHER SOURCE: BEPB2000029 EP 0529031 B1 0026  
SOURCE: Wila-EPS-2000-H21-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
IT; R LI; R LU; R MC; R NL; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale  
Anmeldung)

PATENT INFORMATION:  
PATENT NO. KIND DATE  
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EP 529031 B1 20000524  
'OFFENLEGUNGS' DATE: 19930303  
APPLICATION INFO.: EP 1992-215748 19920203  
PRIORITY APPLN. INFO.: US 1491-652929 19910209  
RELATED DOC. INFO.: WO 91-US936 920203 INTAKZ  
WO 9213482 920827 INTNR  
REFERENCE PAT. INFO.: EP 14689 A EP 113230 A  
WO 91-02750 A  
REF. NON-PATENT-LIT.: Biochemistry, vol. 29, 1990, (Easton, PA, US), J.M.  
MARAGANORE et al.: "Design and characterization of  
Hirulogs: A novel class of Bivalent peptide inhibitors

of thrombin" pages 7095-7101, see abstract, page 7099, left-hand column, lines 21-23 Scand. J. Haematol., vol. 31, 1993, (Copenhagen, DK), G.F. HANDELAND et al.: "Simplified assay for antithrombin III activity using chromogenic peptide substrate", pages 427-436, see abstract; page 435, left-hand column, paragraphs 2-3

L104 ANSWER 100 OF 189 PASCAL COPYRIGHT 2002 INIST-CNRS. ALL RIGHTS RESERVED.

ACCESSION NUMBER: 2000-0087254 PASCAL

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TITLE (IN ENGLISH): Design, synthesis and structure-activity relationship of a series of **arginine** aldehyde **factor Xa inhibitors**. Part 1 : Structures based on the (D)-Arg-Gly-Arg tripeptide sequence

AUTHOR: MARLOWE D. K.; SINHA U.; GUNN A. C.; SCARBOROUGH R. M.

CORPORATE SOURCE: COR Therapeutics, Inc., 256 East Grand Avenue, South San Francisco, CA 94080, United States

SOURCE: Bioorganic & medicinal chemistry letters, (2000), 10(1), 13-16, 24 refs.  
ISSN: 0960-894X

DOCUMENT TYPE: Journal

BIBLIOGRAPHIC LEVEL: Analytic

COUNTRY: United Kingdom

LANGUAGE: English

AVAILABILITY: INIST-22446, 354000081025360940

AN 2000-0087254 PASCAL

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AB A series of **arginine** aldehyde **inhibitors** was designed as transition state (TS) **analogues** based on the known **factor Xa** specific substrate Chz-D-Arg-Gly-Arg-pMA. BnSO.sub.2-(D)Arg-Gly-Arg-H (20) was found to be the most potent and selective **inhibitor** of **factor Xa** and prothrombinase activity in this series.

L104 ANSWER 101 OF 189 USPATFULL

ACCESSION NUMBER: 1999:163833 USPATFULL

TITLE: Human tissue factor related DNA segments polypeptides and antibodies

INVENTOR(S): Edgington, Thomas S., La Jolla, CA, United States  
Morrissey, James H., Oklahoma City, OK, United States

PATENT ASSIGNEE(S): The Scripps Research Institute, La Jolla, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6001978		19991214
APPLICATION INFO.:	US 1997-844806		19970422 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-990079, filed on 29 Apr 1992, now patented, Pat. No. US 5622931 which is a division of Ser. No. US 1988-165939, filed on 9 Mar 1988, now patented, Pat. No. US 5223427 which is a continuation-in-part of Ser. No. US 1987-67103, filed on 25 Jun 1987, now patented, Pat. No. US 5110730 which is a continuation-in-part of Ser. No. US 1987-33047, filed on 31 Mar 1987, now abandoned		

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Budens, Robert D.

LEGAL REPRESENTATIVE: Fitting, Thomas, Holmes, Emily

NUMBER OF CLAIMS: 40

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 21 Drawing Figure's; 15 Drawing Page's

LINE COUNT: 3241

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB DNA segments that include DNA sequences defining a structural gene coding for a human tissue factor heavy chain protein and a precursor form of that protein are disclosed. Recombinant DNA molecules capable of expressing a human tissue factor heavy chain protein are also disclosed. Further disclosed are human tissue factor heavy chain binding site polypeptide analogs as well as methods for their use.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 102 OF 189 USPTAFULL

ACCESSION NUMBER: 1999:151182 USPTAFULL

TITLE: Agents affecting thrombosis and hemostasis

INVENTOR(S): Wolf, David L., Palo Alto, CA, United States

Sinha, Uma, San Francisco, CA, United States

PATENT ASSIGNEE(S): CDR Therapeutics Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5830079		19991123
APPLICATION INFO.:	US 1998-16400		19980130 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-469301, filed on 6 Jun 1995, now patented, Pat. No. US 5837679 which is a division of Ser. No. US 1994-263003, filed on 29 Jun 1994, now patented, Pat. No. US 5583107 which is a continuation-in-part of Ser. No. US 1994-249777, filed on 26 May 1994, now patented, Pat. No. US 5597799 which is a continuation of Ser. No. US 1991-808329, filed on 16 Dec 1991, now abandoned which is a continuation-in-part of Ser. No. US 1990-578646, filed on 4 Sep 1990, now patented, Pat. No. US 5273144		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Degen, Nancy		
LEGAL REPRESENTATIVE:	Morgan, Lewis & Bockius LLP		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	24 Drawing Figure(s); 15 Drawing Page(s)		
LINE COUNT:	1931		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Analogs of blood factors which are transiently inactive are useful in treatment of diseases characterized by thrombosis. In addition, modified forms of activated blood factors that generate the active blood factor in serum but have extended half-lives are useful in treating hemophilia conditions. These modified forms of the blood factor may be acylated forms which are slowly deacylated in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 103 OF 189 USPTAFULL

ACCESSION NUMBER: 1999:129513 USPTAFULL

TITLE: Agents affecting thrombosis and hemostasis

INVENTOR(S): Wolf, David L., Palo Alto, CA, United States

Sinha, Uma, San Francisco, CA, United States

PATENT ASSIGNEE(S): CDR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5969197		19991019
APPLICATION INFO.:	US 1998-16403		19980130 (9)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-469301, filed on 6 Jun 1995, now patented, Pat. No. US 5837679 which is a		

division of Ser. No. US 1994-268003, filed on 29 Jun 1994, now patented, Pat. No. US 5593107 which is a continuation-in-part of Ser. No. US 1994-249777, filed on 26 May 1994, now patented, Pat. No. US 5597799 which is a continuation of Ser. No. US 1991-808329, filed on 16 Dec 1991, now abandoned which is a continuation-in-part of Ser. No. US 1990-578646, filed on 4 Sep 1990, now patented, Pat. No. US 5278144

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Degen, Nancy  
 LEGAL REPRESENTATIVE: Morgan, Lewis & Bockius LLP  
 NUMBER OF CLAIMS: 1  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 24 Drawing Figure(s); 15 Drawing Page(s)  
 LINE COUNT: 1208

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Analogs of blood factors which are transiently inactive are useful in treatment of diseases characterized by thrombosis. In addition, modified forms of activated blood factors that generate the active blood factor in serum but have extended half-lives are useful in treating hemophilic conditions. These modified forms of the blood factor may be acylated forms which are slowly deacylated in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 104 OF 189 USPTAFULL  
 ACCESSION NUMBER: 1999:121320 USPTAFULL  
 TITLE: Factor VII-derived **peptides**  
 INVENTOR(S): Sakariassen, Kjell Steinar, Oslo, Norway  
 Stephens, Ross Wentworth, Copenhagen, Denmark  
 Orning, Lars, Oslo, Norway  
 PATENT ASSIGNEE(S): Nyscomed Imaging A/S, Oslo, Norway (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5962418		19991005
	WO 9500541		19950105
APPLICATION INFO.:	US 1996-564063		19960523 (8)
	WO 1994-GB1315		19940617
			19960523 PCT 371 date
			19960523 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1993-10601	19930613
	GB 1994-9335	19940510
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Tsang, Cecilia J.	
ASSISTANT EXAMINER:	Gupta, Anish	
LEGAL REPRESENTATIVE:	Testa, Hurwitz & Thibault LLP	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	23 Drawing Figure(s); 8 Drawing Page(s)	
LINE COUNT:	1115	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds comprising the amino acid sequences of the formulae (IA): -CVNENGSGCEQYCSD-, (IB): -FCLPAFEGRNCE- and/or (IC): -RCHEGYELLADGVSCD- as well as **peptide** fragments thereof, esters, amides, salts and cyclic derivatives thereof, functional **analogues** thereof and extended **peptide** chains carrying amino acids or **peptides** at the termini of the above sequences or fragments, for use in the prevention or inhibition of

binding of tissue factor to FVII.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 105 OF 189 USPATFULL  
ACCESSION NUMBER: 1999:11169 USPATFULL  
TITLE: Protease inhibitor **peptides**  
INVENTOR(S): White, R. Tyler, Fremont, CA, United States  
Damm, Deborah, Redwood City, CA, United States  
Leskar, David D., Palo Alto, CA, United States  
McFadden, Kathleen, Mountain View, CA, United States  
Garlick, Brett L., Palo Alto, CA, United States  
PATENT ASSIGNEE(S): Sips, Inc., Mountain View, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5963266		19991005
APPLICATION INFO.:	US 1997-929376		19970402 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1995-436555, filed on 9 May 1995		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Prouty, Rebecca E.		
ASSISTANT EXAMINER:	Slobodyansky, Elizabeth		
LEGAL REPRESENTATIVE:	Foley & Lardner		
NUMBER OF CLAIMS:	32		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	53 Drawing Figure(s); 53 Drawing Page(s)		
LINE COUNT:	4412		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB **Analogues** of the Kunitz Protease Inhibitor (KPI) domain of amyloid precursor protein bind to and inhibit activity of serine proteases, including kallikrein, plasmin and coagulation factors such as factors VIIa, IXa, **Xa**, XIa, and XIIa. Pharmaceutical compositions containing the KPI **analogues**, along with methods for using such compositions, are useful for ameliorating and treating clinical conditions associated with increased serine protease activity, such as blood loss related to cardiopulmonary bypass surgery. Nucleic acid sequences encoding these **analogues** and systems for expression of the **peptides** of the invention are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 106 OF 189 USPATFULL  
ACCESSION NUMBER: 1999:110297 USPATFULL  
TITLE: Basic .alpha.-aminoalkylphosphonate derivatives  
INVENTOR(S): Powers, James C., Atlanta, GA, United States  
Jackson, Delwin S., Bear, DE, United States  
Ni, Liming, Little Canada, MN, United States  
PATENT ASSIGNEE(S): Georgia Tech Research Corp., Atlanta, GA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5952307		19990914
APPLICATION INFO.:	US 1997-937940		19970814 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-194286, filed on 21 Jan 1994, now patented, Pat. No. US 5686419		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Beveau, Colton & Marquis		
NUMBER OF CLAIMS:	9		

EXEMPLARY CLAIM: 1  
LINE COUNT: 1787

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Peptidyl derivatives of diesters of .alpha.-aminoalkylphosphonic acids with basic substituents, their use in inhibiting serine proteases with trypsin-like **specificity** and their roles as anti-inflammatory agents, anticoagulants, and anti-tumor agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 107 OF 189 USPATFULL  
ACCESSION NUMBER: 1999:98791 USPATFULL  
TITLE: Modified plasmin precursors with resistance to inhibitors of plasmin  
INVENTOR(S): Dawson, Keith Martyn, Cowley, United Kingdom  
Gilbert, Richard James, Cowley, United Kingdom  
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals, Ltd., Oxford, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5932213		19990803
APPLICATION INFO.:	US 1997-889073		19970707 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-379621, filed on 3 Feb 1995		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-16558	19920804
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Jackson, Dian C.	
LEGAL REPRESENTATIVE:	Hale and Dorr LLP	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	19 Drawing Figure(s); 19 Drawing Page(s)	
LINE COUNT:	1059	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Plasmin precursors are modified so that they exhibit resistance to inhibitors of plasmin. These modified plasmin precursors have fibrinolytic, thrombolytic or antithrombotic properties, which are useful in the treatment of blood clotting diseases or conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 108 OF 189 USPATFULL  
ACCESSION NUMBER: 1999:72602 USPATFULL  
TITLE: Conjugates of dithiocarbamates with pharmacologically active agents and uses therefore  
INVENTOR(S): Lai, Ching-San, Encinitas, CA, United States  
PATENT ASSIGNEE(S): Medinex, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5916913		19990629
APPLICATION INFO.:	US 1997-869158		19970604 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Davis, Zinna Northington		
LEGAL REPRESENTATIVE:	Reiter, Esq., Stephen E.Gray, Cary, Ware & Freidenrich		
NUMBER OF CLAIMS:	27		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1942		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In accordance with the present invention, there are provided conjugates of nitric oxide scavengers (e.g., dithiocarbamates, or "DC") and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein. In addition, invention conjugates are more effective than unmodified pharmacologically active agents because cells and tissues contacted by the pharmacologically active agent(s) are protected from the potentially damaging effects of nitric oxide overproduction induced thereby as a result of the co-production of nitric oxide scavenger (e.g., dithiocarbamate), in addition to free pharmacologically active agent, when invention conjugate is cleaved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 109 OF 199 USPTAFULL  
ACCESSION NUMBER: 1999:02590 USPTAFULL  
TITLE: Imidazo[1,5a]pyridine derived serine protease inhibitors  
INVENTOR(S): Peters, Jacobus Albertus Maria, Oss, Netherlands  
Ottenheym, Henricus Carl Joseph, Milsbeek, Netherlands  
Adang, Anton Egbert Peter, Eindhoven, Netherlands  
PATENT ASSIGNEE(S): Akzo Nobel, N.V., Arnhem, Netherlands (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5916898		19990629
	WO 9638470		19961205
APPLICATION INFO.:	US 1997-273255		19971202 (8)
	WO 1996-EP2299		19960529
			19971202 PCT 371 date
			19971202 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	EP 1995-201448	19950602
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Raymond, Richard L.	
LEGAL REPRESENTATIVE:	Gormley, Mary E.	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1019	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to an imidazole[1,5a]pyridine derived serine protease inhibitor comprising a unit having general formula (I) ##STR1## wherein R.sub.1 is hydrogen, lower alkyl or an acyl group; R.sub.2 is hydrogen or lower alkyl; R.sub.3 and R.sub.4 are independently hydrogen, lower alkyl or together form a  $\text{CH}=\text{NR.sub.5}$  NR.sub.6, R.sub.5 and R.sub.6 being lower alkyl. The compounds are serine protease inhibitors and can be used for the treatment and prophylaxis of thrombosis and thrombin-associated diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 110 OF 199 USPTAFULL  
ACCESSION NUMBER: 1999:03960 USPTAFULL  
TITLE: **Inhibitors of factor Xa**  
INVENTOR(S): Brunck, Terence Kevin, San Diego, CA, United States  
Webb, Thomas Roy, Encinitas, CA, United States  
Ripka, William Charles, San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States

States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5893077		19990316
APPLICATION INFO.:	US 1992-168964		19931215 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-991204, filed on 15 Dec 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Lyon & Lyon LLP		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1521		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds, their salts and compositions related thereto having activity against mammalian **factor Xa** are disclosed. The novel compounds include **peptide aldehyde analogues** having substantial potency and **specificity** as **inhibitors** of mammalian **factor Xa** are further disclosed. The compounds are thought useful as **inhibitors** of **factor xa** in vitro or as a therapeutic agent for the prevention and treatment of conditions characterized by abnormal thrombosis in mammals. Intermediates useful for the preparation of the novel compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 111 OF 189 USPATFULL  
ACCESSION NUMBER: 1999:17415 USPATFULL  
TITLE: Yeast cells engineered to produce pheromone system protein surrogates and uses therefor  
INVENTOR(S): Fowkes, Dana M., Chapel Hill, NC, United States  
Brach, Jim, Princeton, NJ, United States  
Manfredi, John, Ossining, NY, United States  
Klein, Christine, Ossining, NY, United States  
Murphy, Andrew J., Montclair, NJ, United States  
Paul, Jeremy, South Nyack, NY, United States  
Trueheart, Joshua, South Nyack, NY, United States  
PATENT ASSIGNEE(S): Cadus Pharmaceutical Corporation, Tarrytown, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5876951		19990302
APPLICATION INFO.:	US 1994-461593		19950605 (3)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-322137, filed on 13 Oct 1994 which is a continuation-in-part of Ser. No. US 1994-309313, filed on 23 Sep 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-190323, filed on 31 Jan 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-41431, filed on 31 Mar 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ketter, James		
ASSISTANT EXAMINER:	Yapel, Irem		
LEGAL REPRESENTATIVE:	Lahive & Cockfield, LLP, DeConti, Jr., Giulio A., Kara, Catherine J.		
NUMBER OF CLAIMS:	51		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 13 Drawing Page(s)		



LINE COUNT: 6645

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Yeast cells are engineered to express both a surrogate of a pheromone system protein (e.g., enzymes involved in maturation of  $\alpha$ -factor, transporters of  $\alpha$ -factor, pheromone receptors, etc.) and a potential **peptide** modulator of the surrogate, in such a manner that the innitiation or activation of the surrogate affects a screenable or selectable trait of the yeast cells. Various additional features improve the signal-to-noise ratio of the screening/selection system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 112 OF 189 USPTFULL

ACCESSION NUMBER: 1999:19118 USPTFULL

TITLE: **Arginine** keto-amide enzyme inhibitors

INVENTOR(S): Webb, Thomas Roy, Encinitas, CA, United States  
Miller, Todd Anthony, Encinitas, CA, United States  
Vlasuk, George Phillip, Carlsbad, CA, United States  
Abelman, Matthew Mark, Solana Beach, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5869454		19990209
APPLICATION INFO.:	US 1995-462899		19950605 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-139300, filed on 18 Oct 1993, now patented, Pat. No. US 5597304 which is a continuation-in-part of Ser. No. US 1992-962301, filed on 16 Oct 1992, now patented, Pat. No. US 5371072		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Weber, Jon P.		
LEGAL REPRESENTATIVE:	Lyon & Lyon LLP		
NUMBER OF CLAIMS:	42		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	3090		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to novel **arginine** alpha-keto-amide derivatives, their pharmaceutically acceptable salts and compositions thereof which are useful as antithrombotic agents in mammals and also the use of these compounds as antithrombotic agents. Also, described are methods of using these inhibitors as inhibitors of coagulation proteases and as therapeutic agents for disease states characterized by abnormal thrombus formation and/or disorders of the blood coagulation process. Further described herein are compounds useful as intermediates in the preparation of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 113 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1999064037 PCTFULL ED 20020515

TITLE (ENGLISH): NOVEL THERAPEUTIC AGENTS THAT MODULATE ENZYMIC PROCESSES

TITLE (FRENCH): NOUVEAUX AGENTS THERAPEUTIQUES MODULANT LES PROCESSUS ENZYMATIQUES

INVENTOR(S): GRIFFIN, John, H.; JUDICE, J., Kevin

PATENT ASSIGNEE(S): ADVANCED MEDICINE, INC.; GRIFFIN, John, H.; JUDICE, J., Kevin

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
-----		

WO 9964037 A1 19991216  
 DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
 EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
 KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
 PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN  
 YU ZA ZW ZH ZM KE LS MW SD SL SZ UG ZW AM AZ BY KG  
 KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT  
 LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN  
 TD TG

APPLICATION INFO.: WO 1999-0512620 A 19991203  
 PRIORITY INFO.: US 1998-001098,448 19981608  
 US 1998-001093,072 19980716

ABEN Novel multi-binding compounds are disclosed that modulate enzymatic processes. The compounds of the invention comprise from 2-10 ligands covalently connected, each of said ligands being capable of binding to an enzyme, enzyme substrate or enzyme cofactor thereby modulating the biological processes/functions thereof.

ABFR L'invention porte sur de nouveaux composés multi-liants modulant les processus enzymatiques. Lesdits composés comportent de 2-10 ligands unis par covalence dont chacun peut se fixer à une enzyme, à un substrat d'enzyme ou à un cofacteur d'enzyme et modifier par là leurs processus et fonctions biologiques.

L104 ANSWER 114 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1999063090 PCTFULL ED 20020515

TITLE (ENGLISH): PROTEASE INHIBITOR **PEPTIDES**

TITLE (FRENCH): **PEPTIDES** INHIBITEURS DE PROTEASE

INVENTOR(S): WHITE, R., Tyler; DAMM, Deborah; LESIKAR, David, D.; McFADDEN, Kathleen; GARRICK, Brett, L.; LUCAS, Anne, Bergstrom; POLLITT, N., Stephen; LAM, Andrew, O.  
 PATENT ASSIGNEE(S): SCIOS, INC.; WHITE, R., Tyler; DAMM, Deborah; LESIKAR, David, D.; McFADDEN, Kathleen; GARRICK, Brett, L.; LUCAS, Anne, Bergstrom; POLLITT, N., Stephen; LAM, Andrew, O.

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 9963090 A2 19991209

DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
 EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
 KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
 PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN  
 YU ZA ZW ZH ZM KE LS MW SD SL SZ UG ZW AM AZ BY KG KZ  
 MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU  
 MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD  
 TG

APPLICATION INFO.: WO 1999-0512276 A 19990603

PRIORITY INFO.: US 1998-001097,885 19980603

ABEN **Analogues** of the Kunitz Protease **Inhibitor** (KPI) domain of amyloid precursor protein bind to an inhibit activity of serine proteases, including kallikrein, plasmin and coagulation factors such as factors VIIa, IXa, **Xa**, XIa, and XIIa. Pharmaceutical compositions containing the KPI analogs, along with methods for using such compositions, are useful for ameliorating and treating clinical conditions associated with increased serine protease activity, such as blood loss related to cardiopulmonary bypass surgery. Nucleic acid sequences encoding these

analogs and systems for expression of the **peptides** of the invention are provided.

ABFR L'invention concerne des **analogues** du domaine inhibiteur de protéase de Kunitz (KPI) de la protéine précurseur amyloïde qui se lient aux protéases sérines et inhibent l'activité de ces protéases, y compris la kallikréine, la plasmine et les facteurs de coagulation du type VIIa, IXa, Xa, XIa et XIIa. L'invention concerne en outre des compositions pharmaceutiques renfermant des **analogues** de l'inhibiteur KPI, ainsi que des procédés relatifs à l'utilisation desdites compositions, utiles pour améliorer et traiter les états cliniques liés à une augmentation de l'activité de la protéase sérine, comme par exemple dans le cas des pertes sanguines inhérentes à une intervention chirurgicale avec circulation extra-corporelle. L'invention concerne enfin des séquences d'acides nucléiques codant les **analogues** considérés, et des systèmes permettant d'exprimer les **peptides** décrits dans l'invention.

L104 ANSWER 115 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1999041276 PCTFULL ED 20020515

TITLE (ENGLISH): &beta;-SHEET MIMETICS AND METHODS RELATING TO THE USE THEREOF

TITLE (FRENCH): IMITATEURS DE BETA FEUILLETS ET PROCÉDES LIÉS À LEUR UTILISATION

INVENTOR(S): QABER, Maher, N.; McMILLIAN, Michael, K.; KAHN, Michael, S.; TULINSKY, John, E.; MATHEW, Jessymol

PATENT ASSIGNEE(S): MOLECUMETICS LTD.; QABER, Maher, N.; McMILLIAN, Michael, K.; KAHN, Michael, S.; TULINSKY, John, E.; MATHEW, Jessymol

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 9941276	A1	19990819

DESIGNATED STATES

AL	AM	AT	AU	BA	BB	BG	BE	BY	CA	CH	CN	CU	CZ	DE	DK	EE	ES	FI	GB	GE	GH	GM	GW	HU	ID	IL	IS	JP	KE	KG	KR	KZ	LC	LK	LR	LS	LT	LJ	LV	MD	MG	MK	MM	MW	MX	NO	NZ	PL	PT	RO	RU	SD	SE	SG	SI	SK	SL	TJ	TM	TR	TT	UA	UG	US	UZ	VN	YU	ZW	GH	GM	KE	LS	MW	SD	SZ	UG	ZW	AM	AZ	BY	KG	KZ	MD	RU	TJ	TM	AT	BE	CH	DE	DK	ES	FI	FR	GB	GR	IE	IT	LJ	MC	NL	PT	SE	BE	BJ	CF	CG	CI	CM	GA	GN	ML	MR	NE	SN	TD	TG
----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----

APPLICATION INFO.: WO 1998-US2891 A 19920212

ABEN &beta;-sheet mimetics and methods relating to the same are disclosed.

The &beta;-sheet mimetics have utility as protease and kinase inhibitors, as well as inhibitors of transcription factors and protein-protein binding interactions. Methods of the invention include administration of a &beta;-sheet mimetic, or use of the same for the manufacture of a medicament for treatment of a variety of conditions associated with the targeted protease, kinase, transcription factor and/or protein-protein binding interaction.

ABFR L'invention concerne des imitateurs de &beta;-feuillets et de procédés associés. Les imitateurs de &beta;-feuillets sont utiles en tant qu'inhibiteurs de protéase et de kinase, ainsi que comme inhibiteurs de facteurs de transcription et d'interaction de liaison protéine-protéine. Les procédés consistent à administrer un imitateur de &beta;-feuillets ou à utiliser

celui-ci pour fabriquer un  
 medicament pour le traitement d'une grande variete de pathologies  
 associees a la protease, a la  
 kinase, au facteur de transcription et/ou a l'interaction de liaison  
 proteine-proteine cibles.

1104 ANSWER 116 OF 189 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 19990.6920 POTFULL ED 20020515  
 TITLE (ENGLISH): SUBSTITUTED 3-AMINO-2-HYDROXYPHENYLACETAMIDE  
 DERIVATIVES AS ENZYME INHIBITORS (II)  
 TITLE (FRENCH): DERIVES DE 3-AMINO-2-HYDROXYPHENYLACETAMIDE SUBSTITUE  
 UTILISES EN TANT QU'INHIBITEURS (II) D'ENZYME  
 INVENTOR(S): SEMPLE, Joseph, Edward; LIM-WILBY, Marguerita, S.;  
 BRUNCK, Terence, K.  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC.; SEMPLE, Joseph, Edward;  
 LIM-WILBY, Marguerita, S.; BRUNCK, Terence, K.  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 99/6920	A1	19990613

# DESIGNATED STATES

AL	AM	AT	AU	AZ	BA	BB	BG	BR	BY	CA	CH	CN	CU	CC	DE	DK	EE
ES	FI	GB	GD	GE	GH	GM	HR	HU	ID	IL	IS	JP	KE	KG	KP	KR	KZ
LC	LK	LR	LS	LT	LU	LV	MD	MG	MK	MN	MW	MX	NO	NE	PL	PT	RO
RU	SD	SE	SG	SI	SK	SL	TJ	TM	TR	TT	UA	UG	US	UZ	VN	YU	ZW
GH	GM	KE	LS	MW	SD	SZ	UG	ZW	AM	AZ	BY	KG	KZ	MD	RU	TJ	TM
AT	BE	CH	CY	DE	DK	ES	FI	FR	GB	GR	IE	IT	LU	MC	NL	PT	SE
BF	BJ	CF	CG	CI	CM	GA	GN	GW	ML	MR	NE	SN	TD	TG			

APPLICATION INFO.: WO 1998-US25167 A 19981103  
 PRIORITY INFO.: US 1997-08/980,114 19971126  
 US 1997-08/979,440 19971126

ABEN The present invention provides **peptide** aldehydes having an  
 3-amino-2-hydroxyphenyl acetamide  
 group as part of the **peptide** backbone and an **arginine**  
 group or analog at P1. These compounds are  
 potent and specific or inhibitors of thrombin. Their pharmaceutically  
 acceptable salts,  
 pharmaceutically acceptable compositions thereof, and methods of using  
 them as therapeutic agents  
 for disease states in mammals characterized by abnormal thrombosis are  
 also described. Also  
 described are 3-amino-2-hydroxyphenyl-acetamide derivatives having in  
 history activity towards  
 proteases of the trypsin/chymotrypsin class.

ABFR La presente invention concerne des aldehydes peptidiques comprenant un  
 groupe  
 3-amino-2-hydroxyphenyle acetamide faisant partie du squelette  
 peptidique et un groupe **arginine** ou  
**analogue** sur P1. Ces composés sont des inhibiteurs puissants  
 et spécifiques de la thrombine.  
 L'invention concerne également leurs sels pharmaceutiquement  
 acceptables, leurs compositions  
 pharmaceutiquement acceptables, et leurs procédés d'utilisation en tant  
 qu'agents thérapeutiques  
 destinés à des états pathologiques chez des mammifères caractérisés par  
 une thrombose anormale.  
 L'invention concerne, en outre, des dérivés de 3-amino-2-hydroxyphenyl-  
 acetamide présentant une  
 activité cirnle vis-à-vis de proteases de la catégorie  
 trypsine/chymotripsine.

1104 ANSWER 117 OF 189 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1999024010 POTFULL ED 20020115  
 TITLE (ENGLISH): HIGH THROUGHPUT METHOD FOR FUNCTIONALLY CLASSIFYING

TITLE (FRENCH): PROTEINS IDENTIFIED USING A GENOMICS APPROACH  
 PROCEDE A HAUT RENDEMENT PERMETTANT DE CLASSER  
 FONCTIONNELLEMENT DES PROTEINES IDENTIFIEES PAR UNE  
 METHODE DES GENOMES  
 INVENTOR(S): PANTOLIANO, Michael, W.; SALEMME, Francis, R.;  
 PETRELLA, Eugenio, C.; CARVER, Theodore, E., Jr.;  
 RHIND, Alexander, W.  
 PATENT ASSIGNEE(S): 3-DIMENSIONAL PHARMACEUTICALS, INC.  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9924050	A1	19990520

DESIGNATED STATES

AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE  
 ES FI GB GD GE GH GM HR HU ID IL IS JP KE KG KP KR KZ  
 LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO  
 RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU ZW GH  
 GM KE LS MW SD SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT  
 BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF  
 BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 1998-US24035 A 19981112  
 PRIORITY INFO.: US 1997-60/065,129 19971112

ABEN The present invention provides a method for functionally classifying a protein that is capable of unfolding due to a thermal change. The method comprises screening one or more of a multiplicity of different molecules for their ability to shift the thermal unfolding curve of the protein, wherein a shift in the thermal unfolding curve indicates that the molecule binds to the protein or affects the stability in a measurable way; generating an activity spectrum for the protein wherein the activity spectrum reflects a set of molecules, from the multiplicity of molecules, that shift the thermal unfolding curve, of the protein and therefore are ligands that bind to the protein, comparing the activity spectrum for the protein to one or more functional reference spectrum lists; and classifying the protein according to the set of molecules in the multiplicity of different molecules that shift the thermal unfolding curve of the protein.

ABFR Cette invention a trait a un procede a haut rendement permettant de classer fonctionnellement une proteine capable de se deplier sous l'effet d'un changement d'ordre thermique. Ce procede, qui consiste a cribler l'une, sinon plusieurs, des multiplicites de molecules differentes aux fins de la determination de leur aptitude a decaler la courbe thermique de depliage de la proteine, un decalage de cette courbe indiquant que la molecule se fixe a la proteine ou influe sur sa stabilite de facon mesurable, consiste egalement a generer un spectre d'activite de la proteine, spectre qui correspond a un ensemble de molecules de la proteine, ces molecules etant issues de la multiplicité susmentionnée decalant la courbe thermique de depliage et etant, de ce fait, des ligands qui se fixent a la proteine. On compare ensuite, dans le cadre de ce procede, le spectre d'activite relatif a la proteine a une liste de spectres de reference fonctionnels, sinon a plusieurs, et l'on classe la proteine d'apres l'ensemble de molecules de la multiplicité de molecules differentes qui decalent la courbe thermique de depliage de la proteine.

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 915154 EUROPATEFULL EW 199919 FS OS  
 TITLE: Yeast cells engineered to produce pheromone system  
 protein surrogates, and uses therefor.  
 Hefe Zellen so konstruiert, dass sie Proteinsurrogate  
 des Pheromonsystems produzieren und Anwendungen dafuer.  
 Cellules de levure traitees pour produire des substituts  
 de proteines du systeme de pheromones, et leurs emplois.  
 INVENTOR(3): Fowlkes, Dana Merriman, 20 Green Street, Apartment 2,  
 New York, NY 10012, US;  
 Broach, Jim, 360 East 38th Street, Apartment 2A, New  
 York, NY 10129, US;  
 Manfredi, John, 666 Greenwich Street, Apartment 556, New  
 York, NY 10014, US;  
 Klein, Christine, 666 Greenwich Street, Apartment 556,  
 New York, NY 10014, US;  
 Murphy, Andrew J., 17 Windsor Place, Montclair, NJ  
 07042, US;  
 Paul, Jeremy, 197 Route 9W, Palisades, NY 10964, US;  
 Trueheart, Joshua, 212 South Broadway, South Nyack, NY  
 10960, US  
 PATENT ASSIGNEE(3): Cadus Pharmaceuticals, Inc., 7th floor, 180 Varick  
 Street, New York, NY 10014, US  
 PATENT ASSIGNEE NO: 1860561  
 AGENT: Price, Vincent Andrew et al, FRY HEATH & SPENCE The Old  
 College 53 High Street, Horley Surrey RH6 7BN, GB  
 AGENT NUMBER: 79513  
 OTHER SOURCE: ESP1999034 EP 0915154 AI 990512  
 SOURCE: Wila-EP2-1999-H19-T1a  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPAL EUROPAEISCHE PATENTANMELDUNG  
 PATENT INFORMATION:

	PATENT NO	KIND	DATE
'OFFENLEGUNGS' DATE:	EP 915154	A1	19990512
APPLICATION INFO.:	EP 1998-202997		19990512
PRIORITY APPLN. INFO.:	US 1993-41431		19940323
	US 1994-190328		19930331
	US 1994-190328		19940131
RELATED DOC. INFO.:	EP 692025	DIV	

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 765339 EUROPATEFULL EW 199904 FS PS  
 TITLE: 3-AMINO-L-2-OXO-1-PIPERIDINEACETIC DERIVATIVES CONTAINING  
 AN **ARGININE** MIMIC AS ENZYME INHIBITORS.  
 3-AMINO-L-2-OXO-PIPERIDINESSIGSAEUREDERIVATE, DIE EINE  
 ARGININNAEHAERENDE VERBINDUNG, MIT ENZYMINHIBITORISCHEN  
 WIRKUNG ENTHALTEN.  
 DERIVES D'ACIDE 3-AMINO-2-OXO-PIPERIDINEACETIQUE  
 CONTENANT UN **ANALOGUE** DE L'**ARGININE**  
 UTILISES EN TANT QU'INHIBITEURS D'ENZYME.  
 INVENTOR(3): SEMPLE, Joseph E., 9711 Caminito Pudregal, San Diego, CA  
 92131, US;  
 LEVY, Odile E., 9278 Avenida Navidad 3, San Diego, CA  
 92122, US;

NUTT, Ruth F., 4 Colibir Tierra, Santa Fe, New Mexico  
 87501, US;  
 RIBKA, William C., 10819 Red Rock Drive, San Diego, CA  
 92131, US  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San  
 Diego CA 92121-1102, US  
 PATENT ASSIGNEE NO: 1501190  
 AGENT: VEXHUELL & STOLBERG, Patentanwalte Beselerstrasse 4,  
 22607 Hamburg, DE  
 AGENT NUMBER: 100011  
 OTHER SOURCE: EPB1999006 EP 0765339 B1 990127  
 SOURCE: Wila-EPS-1999-H04-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)

PATENT INFORMATION:  

PATENT NO	KIND	DATE
EP 765339	B1	19940127
		19970402
APPLICATION INFO.:	EP 1995-924623	19950619
PRIORITY APPLN. INFO.:	US 1994-261498	19940617
	US 1994-356831	19941213
	US 1995-482117	19950607
RELATED DOC. INFO.:	WO 95-US7832	950619 INTAKZ
	WO 9535313	951028 INTENR
REFERENCE PAT. INFO.:	EP 506877 A	FR 2490632 A
REF. NON-PATENT-LIT.:	PHARMACIE, vol.39, no.5, May 1984, BERLIN DD pages 315 - 317 G WAGNER ET AL. 'Synthese von N-alpha-(Tosyl-beta- alanyl)- und N-alpha- (Tosyl-epsilon-aminocapronyl) amidinophenylalanylamiden als stark wirksame thrombininhibitoren' PHARMACIE, vol.42, no.4, April 1987, BERLIN DD page 268 H VIEWEG ET AL. 'Synthese von N-alpha-(arylsulphonylglycyl)-3-amidinophenylalaninester n als aktive und relativ spezifische Inhibitoren von Faktor Xa'	

L104 ANSWER 120 OF 189 EUROPATFULL COPYRIGHT 2000 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 765338 EUROPATFULL EW 199916 FS PS  
 TITLE: **ARGININE** MIMIC DERIVATIVES AS ENZYME  
 INHIBITORS.  
 ARGININ AHNliche DERIVATE ALS ENZYM-INHIBITOREN.  
 DERIVES D'**ANALOGUES** DE L'**ARGININE**  
 UTILISES COMME INHIBITEURS D'ENZYME.  
 INVENTOR(S): LEVY, Odile, Esther, 8079 Avenida Navidad 3, San Diego,  
 CA 92102, US;  
 TAMURA, Susan, Y., 8997 Gainsborough Avenue, San Diego,  
 CA 92139, US;  
 NUTT, Ruth, F., 4 Colibir Tierra, Santa Fe, New Mexico  
 87501, US;  
 RIBKA, William, C., 10819 Red Rock Drive, San Diego, CA  
 92131, US  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San  
 Diego CA 92121-1102, US  
 PATENT ASSIGNEE NO: 1501190  
 AGENT: VEXHUELL & STOLBERG, Patentanwalte Beselerstrasse 4,  
 22607 Hamburg, DE  
 AGENT NUMBER: 100011  
 OTHER SOURCE: EPB1999004 EP 0765338 B1 990421

SOURCE: Wila-EPS-1999-H16-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPBI EUROPAEISCHE PATENTSCHRIFT Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 765289	B1 19940421
'OFFENLEGUNGS' DATE:		19940402
APPLICATION INFO.:	EP 1994-924618	19940619
PRIORITY APPLN. INFO.:	US 1994-261478	19940617
	US 1994-487611	19940607
RELATED DOC. INFO.:	WD 95-US7799	950619 INTAKS
	WD 9539312	951229 INTENR
REFERENCE PAT. INFO.:	EP 526877 A	FR 2430632 A
REF. NON-PATENT-LIT.:	PHARMACIE, vol.39, no.5, May 1984, BERLIN DD pages 315 - 317 G WAGNER ET AL. 'Synthese von N-alpha-(Tosyl-beta-alanyl)- und N-alpha-(Tosyl-epsilon-aminocapronyl) amidinophenylalanylamiliden als stark wirksame thrombininhibitoren' PHARMACIE vol. 42, no. 4, April 1987, BERLIN DD, page 268 H VIEWEG ET AL. 'Synthese von N alpha-(arylsulphonylglycyl)-3- amidinophenylalaninestern als aktive und relativ spezifische Inhibitoren von Faktor Xa'	

L104 ANSWER 121 OF 189 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 684830 EUROPATFULL EW 199424 FS PS  
TITLE: INHIBITORS OF THROMBOSIS.  
INHIBITOREN GEGEN THROMBOSE.  
INHIBITEURS DE LA THROMBOSE.  
INVENTOR(S): VLASUK, George Phillip, 3014 Garboso Street, Carlsbad, CA 92009, US;  
WEBB, Thomas Roy, 2250 Colony Terrace, Encinitas, CA 92024, US;  
PEARSON, Daniel Andrew, 149 Beals Road, Bedford, NH 03110, US;  
ABELMAN, Matthew Mark, 873 Stevens Avenue, 3312, Solana Beach, CA 92075, US  
PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San Diego CA 92121-1102, US  
PATENT ASSIGNEE NO: 1501296  
AGENT: Irvine, Conquill Claire et al, J.A. KEMP & CO. 14 South Square Gray's Inn, London WC1R 5LX, GB  
AGENT NUMBER: 74182  
OTHER SOURCE: EPB1994035 EP 0684830 B1 990616  
SOURCE: Wila-EPS-1999-H24-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPBI EUROPAEISCHE PATENTSCHRIFT Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 684830	B1 19940616
'OFFENLEGUNGS' DATE:		19941206
APPLICATION INFO.:	EP 1994-909429	19940314
PRIORITY APPLN. INFO.:	US 1994-17125	19940212



US 1994-195995 19940011  
 RELATED DOC. INFO.: WO 94-US1611 940014 INTAK2  
 WO 9417817 940-18 INTPNR  
 REFERENCE PAT. INFO.: WO 93-14739 A WO 93-19756 A  
 US 4799065 A

1104 ANSWER 122 OF 199 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT BREVET DELIVRE

ACCESSION NUMBER: 675899 EUROPATFULL EW 149911 FS PS  
 TITLE: NOVEL INHIBITORS OF FACTOR  
 XA.  
 NEUE INHIBITOREN VON FAKTOR XA.  
 NOUVEAUX INHIBITEURS DU FACTEUR XA.  
 INVENTOR(S): BRUNCK, Terence Kevin, 4949 Quincy, San Diego, CA 92109,  
 US;  
 WEBB, Thomas Roy, 2250 Colony Terrace, Encinitas, CA  
 92024, US;  
 RIFKA, William Charles, 10919 Red Rock Drive, San Diego,  
 CA 92024, US  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3930 Science Park Road, San  
 Diego CA 92121-1102, US  
 PATENT ASSIGNEE NO: 1501290  
 AGENT: Viering, Jentschura & Partner, Postfach 22 14 43, 80504  
 Muenchen, DE  
 AGENT NUMBER: 100045  
 OTHER SOURCE: EPB1999015 EP 0675899 B1 990317  
 SOURCE: Wila EFS-1999-H11-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veröffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPÄISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)  
 PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 675899	B1	19990317
'OFFENLEGUNGS' DATE:		19951011
APPLICATION INFO.:	EP 1994-904466	19931215
PRIORITY APPLN. INFO.:	US 1992-991204	19921215
RELATED DOC. INFO.:	WO 93-US12295	931215 INTAK2
	WO 9413633	940623 INTPNR
REFERENCE PAT. INFO.:	EP 093881 A	EP 295645 A
	WO 93-08211 A	WO 93-12076 A
	US 4983863 A	US 5153176 A
REF. NON-PATENT-LIT.:	JOURNAL OF MEDICINAL CHEMISTRY, vol.33, no.1, January 1990, WASHINGTON US pages 36 - 93 R M MCCONNELL ET AL. 'New leupeptin analogues; synthesis and inhibition data' JOURNAL OF THE AMERICAN CHEMICAL SOCIETY., vol.114, no.9, 3 April 1992, GASTON, PA US pages 3156 - 3157 A M MURPHY ET AL. 'Automated synthesis of peptide C-terminal aldehydes' JOURNAL OF MEDICINAL CHEMISTRY, vol.36, no.3, 16 April 1993, WASHINGTON US pages 1034 - 1089 R M MCCONNELL ET AL. 'Inhibition studies on some serine and thiol proteinases by new leupeptin analogues' Helvetica Chimica Acta, Volume 51, No. 5, issued 1968, VON St. GUTTMANN et al., "Synthese des Thyreocalcitonins", pages 1150-1159	

1104 ANSWER 123 OF 199 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 664786 EUROPEAN FULL EW 199912 FS PS  
 TITLE: **ARGININE KETO-AMIDE ENZYME INHIBITORS.**  
 INHIBITOREN DES ARGININ-KET-AMID-ENZYMS.  
 KETO-AMIDE D'ARGININE COMME INHIBITEURS  
 ENZYMATIQUES.  
 INVENTOR(S): WEBB, Thomas, Roy, 2250 Colony Terrace, Encinitas, CA  
 92024, US;  
 MILLER, Todd, Anthony, 1710 South El Camino Real, E-208,  
 Encinitas, CA 92024, US;  
 VLAHIE, George, Phillip, 3014 Garboso Street, Carlsbad,  
 CA 92008, US;  
 ABELMAN, Matthew, Mark, 373 Stevens Avenue, 3312, Solana  
 Beach, CA 92075, US  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San  
 Diego CA 92121-1102, US  
 PATENT ASSIGNEE NO: 1991290  
 AGENT: Viering, Gentschura & Partner, Postfach 22 14 43, 80504  
 Muenchen, DE  
 AGENT NUMBER: 100645  
 OTHER SOURCE: EPB1999017 EP 0664786 B1 990324  
 SOURCE: Wild-EP3-1999-H12-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veröffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPEISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)  
 PATENT INFORMATION:  

PATENT NO	KIND	DATE
EP 664786	B1	19990324
'OFFENLEGUNGS' DATE:		19950802
APPLICATION INFO.:	EP 1993-924369	19931013
PRIORITY APPLN. INFO.:	US 1993-962301	19931013
RELATED DOC. INFO.:	WO 93-US10015	931313 INTAKS
	WO 9403941	940428 INTERN
REFERENCE PAT. INFO.:	EP 195212 A	WO 92-11850 A
	WO 92-12140 A	US 3966701 A
	US 4161522 A	US 4171299 A
	US 4478745 A	US 5221752 A
REF. NON-PATENT-LIT.:	J. AM. CHEM. SOC., vol.112, 1990 pages 7053 - 7054 N. FUSETANI, S. MATSUNAGA 'Cyclotheonamides, Potent Thrombin Inhibitors from a Marine Sponge'	

L104 ANSWER 124 OF 189 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.  
 ACCESSION NUMBER: 2000:433589 BIOSIS  
 DOCUMENT NUMBER: PREV200000433589  
 TITLE: Incorporation of noncoded amino acids into the N-terminal  
 domain 1-47 of hirudin yields a highly potent and selective  
 thrombin inhibitor.  
 AUTHOR(S): De Filippis, Vincenzo; Russo, Maria; Vindigni, Alessandro;  
 Di Cera, Enrico; Salmaso, Stefano; Fontana, Angelo (1)  
 CORPORATE SOURCE: (1) Department of Pharmaceutical Sciences, CRIBI  
 Biotechnology Center, Viale G. Colombo 3, 35121, Padua  
 Italy  
 SOURCE: Protein Science, (Oct., 1999) Vol. 8, No. 10, pp.  
 2213-2217, print.  
 ISSN: 0961-3368.  
 DOCUMENT TYPE: Article  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English  
 AB Hirudin is an anticoagulant polypeptide isolated from a medicinal leech  
 that inhibits thrombin with extraordinary potency (Kd = 0.2-1.0 pM) and  
**selectivity**. Hirudin is composed of a compact N-terminal region  
 (residues 1-47, cross-linked by three disulfide bridges) that binds to the

active site of thrombin, and a flexible C-terminal tail (residues 48-64) that interacts with the exosite I of the enzyme. To minimize the sequence of hirudin able to bind thrombin and also to improve its therapeutic profile, several N-terminal fragments have been prepared as potential anti-coagulants. However, the practical use of these fragments has been impaired by their relatively poor affinity for the enzyme, as given by the increased value of the dissociation constant ( $K_d$ ) of the corresponding thrombin complexes ( $K_d = 30-400$  nM). The aim of the present study is to obtain a derivative of the N-terminal domain 1-47 of hirudin displaying enhanced inhibitory potency for thrombin compared to the natural product. In this view, we have synthesized an **analogue** of fragment 1-47 of hirudin HM2 in which Val1 has been replaced by tert-butylglycine, Ser2 by Arg, and Tyr3 by beta-naphthylalanine, to give the BugArgNal **analogue**. The results of chemical and conformational characterization indicate that the synthetic **peptide** is able to fold efficiently with the correct disulfide topology (Cys6-Cys14, Cys16-Cys29, Cys22-Cys37), while retaining the conformational properties of the natural fragment. Thrombin **inhibition** data indicate that the effects of amino acid replacements are perfectly additive if compared to the singly substituted **analogues** (De Filippis V, Quarzago D, Vindigni A, Di Cera E, Fontana A, 1998, Biochemistry 37:13507-13515), yielding a molecule that inhibits the fast or slow form of thrombin by 2,670- and 6,813-fold more effectively than the natural fragment, and that binds exclusively at the active site of the enzyme with an affinity ( $K_d$ , fast = 15.4 pM,  $K_d$ , slow = 220 pM) comparable to that of full-length hirudin ( $K_d$ , fast = 0.2 pM,  $K_d$ , slow = 5.5 pM). Moreover, BugArgNal displays absolute **selectivity** for thrombin over the other physiologically important serine proteases trypsin, plasmin, **factor Xa**, and tissue plasminogen activator, up to the highest concentration of **inhibitor** tested (10  $\mu$ M).

L104 ANSWER 125 OF 189 USPATEFULL

ACCESSION NUMBER: 1998:157127 USPATEFULL

TITLE: **Factor Xa inhibitors**

INVENTOR(S): Al-Obeidi, Fahad, Tucson, AZ, United States  
 Lebl, Michal, Tucson, AZ, United States  
 Ostrem, James A., Tucson, AZ, United States  
 Safar, Pavel, Tucson, AZ, United States  
 Stierandova, Alena, Tucson, AZ, United States  
 Strop, Peter, Tucson, AZ, United States  
 Walser, Armin, Tucson, AZ, United States

PATENT ASSIGNEE(S): Selectide Corporation, Tucson, AZ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5349510		19981215
APPLICATION INFO.:	US 1997-347794		19971008 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1995-428404, filed on 25 Apr 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-233054, filed on 26 Apr 1994, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Leary, Louise N.		
LEGAL REPRESENTATIVE:	Campbell & Flores LLP		
NUMBER OF CLAIMS:	43		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	5323		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds which specifically inhibit **factor Xa** activity. The compounds consist of the structure X.sub.1-YIR-X.sub.2, wherein X.sub.1 is H, acyl, alkyl, acylalkyl, arylalkyl or one or more amino acids, and X.sub.2 is a

modified C-terminal group, one or more carboxy-protecting groups or one or more amino acids or other substituent, and Y, I and R are tyrosine, isoleucine and **arginine**, respectively, or peptidomimetic or organic structures that possess the same functional activity as Y, I and R, respectively. In addition, the present invention provides a compound having the structure A1-A2-(A3)<sub>m</sub>-B, where m is 0 or 1. A compound of the invention can be linear or cyclic and can be about 2 and 43 residues in length. A compound of the invention is characterized, in part, in that it exhibits a specific **inhibition** of **factor Xa** activity with a K<sub>i</sub> of 1.0E-10 to 1.0E-11 M, preferably 1.0E-11 M, and does not substantially inhibit the activity of other proteases involved in the coagulation cascade. The invention further provides methods of specifically inhibiting the activity of **factor Xa** and of inhibiting blood clotting in vitro and in an individual and methods of detecting **factor Xa** levels or activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 126 OF 189 USPATEFULL  
 ACCESSION NUMBER: 1993:144233 USPATEFULL  
 TITLE: Vascular anticoagulant proteins DNA which codes them, processer for preparing them and their use  
 INVENTOR(S): Hauptmann, Rudolf, Ebereichsdorf, Austria  
 Maurer-Fogy, Ingrid, Vienna, Austria  
 Bodo, Gerhard, Vienna, Austria  
 Swetly, Peter, Vienna, Austria  
 Stratowa, Christian, Vienna, Austria  
 Falkner, Edgar, Kritzendorf, Austria  
 Adolf, Gunther, Vienna, Austria  
 Reutelingsperger, Christiaan Maria Peter, Maastricht, Netherlands  
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Ingelheim am Rhein, Germany, Federal Republic of (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5837842		19981117
APPLICATION INFO.:	US 1995-376050		19950123 (3)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-230875, filed on 20 Apr 1994, now abandoned which is a continuation of Ser. No. US 1992-868337, filed on 7 Apr 1992, now abandoned which is a division of Ser. No. US 1989-294602, filed on 30 Jan 1989, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1987-3710364	19870328
	DE 1987-3710369	19870328
	DE 1987-3710430	19870328
	DE 1987-3737367	19871104
	WO 1988-EP266	19880326
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Prouty, Rebecca E.	
ASSISTANT EXAMINER:	Longton, Enrique D.	
LEGAL REPRESENTATIVE:	Sterne, Kessler, Goldstein & Fox P.L.L.C.	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	70 Drawing Figure(s); 65 Drawing Page(s)	
LINE COUNT:	3331	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to biologically active proteins, the DNA molecules coding for them, processes for preparing them and their use.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 127 OF 189 USPATEFULL  
ACCESSION NUMBER: 1996:144079 USPATEFULL  
TITLE: Agents affecting thrombosis and hemostasis  
INVENTOR(S): Wolf, David L., Palo Alto, CA, United States  
Sinha, Uma, San Francisco, CA, United States  
PATENT ASSIGNEE(S): GOR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5837679		19981117
APPLICATION INFO.:	US 1996-469301		19950606 (?)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-268003, filed on 29 Jun 1994, now patented, Pat. No. US 5589107 which is a continuation-in-part of Ser. No. US 1994-249777, filed on 26 May 1994, now patented, Pat. No. US 5597799 which is a continuation of Ser. No. US 808329 which is a continuation-in-part of Ser. No. US 1990-578646, filed on 4 Sep 1990, now patented, Pat. No. US 5278144		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Fleisher, Mindy		
ASSISTANT EXAMINER:	Degen, Nancy J.		
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP		
NUMBER OF CLAIMS:	46		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	23 Drawing Figure(s); 15 Drawing Page(s)		
LINE COUNT:	2092		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Analogs of blood factors which are transiently inactive are useful in treatment of diseases characterized by thrombosis. In addition, modified forms of activated blood factors that generate the active blood factor in serum but have extended half-lives are useful in treating hemophilic conditions. These modified forms of the blood factor may be acylated forms which are slowly deacylated in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 128 OF 189 USPATEFULL  
ACCESSION NUMBER: 1998:131542 USPATEFULL  
TITLE: Methods for detecting genetic mutations resulting in protease inhibitor insufficiencies  
INVENTOR(S): Rubin, Harvey, Philadelphia, PA, United States  
Cooperman, Barry, Penn Valley, PA, United States  
Schecter, Norman, Philadelphia, PA, United States  
Plotnick, Michael, Havertown, PA, United States  
Wang, Zhi Mei, Philadelphia, PA, United States  
PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5827662		19981027
APPLICATION INFO.:	US 1996-721268		19961218 (?)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-276936, filed on 19 Jul 1994, now patented, Pat. No. US 5812194 which is a continuation-in-part of Ser. No. US 1994-229286, filed on 18 Apr 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-221171, filed on 31 Mar 1994, now patented, Pat. No. US 5723316 And Ser. No. US 1994-221078, filed on 31 Mar 1994, now patented, Pat. No. US 5674703, each Ser. No. US which		

is a continuation-in-part of Ser. No. US 1993-5908,  
filed on 15 Jan 1993, now patented, Pat. No. US 5367064  
76 Ser. No. US 1991-735335, filed on 24 Jul 1991, now  
patented, Pat. No. US 5252725 which is a division of  
Ser. No. US 1989-370704, filed on 23 Jun 1989, now  
patented, Pat. No. US 5079336

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Wax, Robert A.  
ASSISTANT EXAMINER: Moore, William W.  
LEGAL REPRESENTATIVE: Law Offices of Jane Massey Licata  
NUMBER OF CLAIMS: 6  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1026

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of producing a recombinant serine protease inhibitor capable of  
effectively modulating serine protease activity is provided.  
Compositions capable of modulating serine protease activity and use of  
such compositions to regulate inflammatory processes in cells are also  
provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 129 OF 189 USPTFULL  
ACCESSION NUMBER: 1998:112054 USPTFULL  
TITLE: Bovine pancreatic trypsin inhibitor derived inhibitors  
of factor VIIa-tissue factor complex  
INVENTOR(S): Lasters, Ignace, Antwerp, Belgium  
De Maeyer, Marc, Groot-Bijgaarden, Belgium  
Ripka, William Charles, San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United  
States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5907930		19980915
APPLICATION INFO.:	US 1993-36328		19930701 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-952801, filed on 25 Sep 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-913232, filed on 13 Jul 1992, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Wax, Robert A.  
ASSISTANT EXAMINER: Lau, Kawai  
LEGAL REPRESENTATIVE: Lyon & Lyon LLP  
NUMBER OF CLAIMS: 4  
EXEMPLARY CLAIM: 3  
NUMBER OF DRAWINGS: 10 Drawing Figure(s); 8 Drawing Page(s)  
LINE COUNT: 3750

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds derived from BPTI which inhibit factor VIIa-TF complex with an  
inhibition constant of less than 500 nM, their pharmaceutical  
compositions, and methods of use. Also disclosed are isolated nucleic  
acid segments encoding for the compounds, vectors comprising the nucleic  
acid segment and promoter, transformed host cells, and a method for  
preparing the compounds using transformed host cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 130 OF 189 USPTFULL  
ACCESSION NUMBER: 1998:91815 USPTFULL  
TITLE: Yeast cells engineered to produce pheromone system  
protein surrogates, and uses therefor  
INVENTOR(S): Fowlkes, Dana M., Chapel Hill, NC, United States

Broach, Jim, Princeton, NJ, United States  
 Manfredi, John, Ossining, NY, United States  
 Klein, Christine, Ossining, NY, United States  
 Murphy, Andrew J., Montclair, NJ, United States  
 Paul, Jeremy, South Nyack, NY, United States  
 Trueheart, Joshua, South Nyack, NY, United States  
 Cadus Pharmaceutical Corporation, Tarrytown, NY, United States (U.S. corporation)

PATENT ASSIGNEE S :

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5719184		19980804
APPLICATION INFO.:	US 1995-464531		19950605 (3)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-322137, filed on 13 Oct 1994 which is a continuation-in-part of Ser. No. US 1994-309313, filed on 20 Sep 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-190328, filed on 31 Jan 1994, now abandoned which is a continuation-in-part of Ser. No. US 1993-41431, filed on 31 Mar 1993, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ketter, James		
ASSISTANT EXAMINER:	Yucel, Irem		
LEGAL REPRESENTATIVE:	Lahive & Cockfield, LLP, DeConti, Jr., Giulio A., Kara, Catherine J.		
NUMBER OF CLAIMS:	48		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 13 Drawing Page(s)		
LINE COUNT:	6731		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Yeast cells are engineered to express both a surrogate of a pheromone system protein (e.g., enzymes involved in maturation of  $\alpha$ -factor, transporters of  $\alpha$ -factor, pheromone receptors, etc.) and a potential **peptide** modulator of the surrogate, in such a manner that the inhibition or activation of the surrogate affects a screenable or selectable trait of the yeast cells. Various additional features improve the signal-to-noise ratio of the screening/selection system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L194 ANSWER 131 OF 189 USPTFLL  
 ACCESSION NUMBER: 1998:72722 USPTFLL  
 TITLE: Process for production of inhibited forms of activated blood factors  
 INVENTOR(S): King, Robert, Fremont, CA, United States  
 PATENT ASSIGNEE(S): COR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5770699		19980623
APPLICATION INFO.:	US 1996-774592		19961230 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1994-330978, filed on 28 Oct 1994, now patented, Pat. No. US 5589571		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Degen, Nancy		
LEGAL REPRESENTATIVE:	Morgan, Lewis & Bockius LLP		
NUMBER OF CLAIMS:	31		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1471		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for producing a highly purified preparation of an inhibited

form of an activated blood factor entails providing a partially purified preparation containing the blood factor of interest, treating the partially purified preparation to convert the blood factor to an inhibited activated form in a single step, and then purifying the resulting inhibited activated blood factor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LIB4 ANSWER 132 OF 139 USPTAFULL  
ACCESSION NUMBER: 1993:49367 USPTAFULL  
TITLE: Bovine pancreatic trypsin inhibitor derived  
inhibitors of factor XA  
INVENTOR(S): Lasters, Ignace, Antwerpen, Belgium  
De Maeyer, Marc, Groot-Bijgaarden, Belgium  
Fipka, William Charles, San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United  
States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5747449		19930505
APPLICATION INFO.:	US 1993-86630		19930701 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-913232, filed on 13 Jul 1992		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Patterson, Jr., Charles L.		
ASSISTANT EXAMINER:	Lau, Kawai		
LEGAL REPRESENTATIVE:	Lyon & Lyon LLP		
NUMBER OF CLAIMS:	3		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	9 Drawing Figure(s); 7 Drawing Page(s)		
LINE COUNT:	2111		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound derived from BPTI which inhibits **Factor Xa**  
with an **inhibition** constant less than 50 nM.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LIB4 ANSWER 133 OF 139 USPTAFULL  
ACCESSION NUMBER: 1993:39504 USPTAFULL  
TITLE: **Inhibitors of factor Xa**  
INVENTOR(S): Brunck, Terence Kevin, San Diego, CA, United States  
Wekb, Thomas Roy, Encinitas, CA, United States  
Fipka, William Charles, San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United  
States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739112		19980414
APPLICATION INFO.:	US 1993-465115		19950605 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-168964, filed on 15 Dec 1993 which is a continuation-in-part of Ser. No. US 1992-991204, filed on 15 Dec 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Lyon & Lyon LLP		
NUMBER OF CLAIMS:	10		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1496		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.



AB Novel compounds, their salts and compositions related thereto having activity against mammalian **factor Xa** are disclosed. The novel compounds include **peptide aldehyde analogues** having substantial potency and **specificity as inhibitors** of mammalian **factor Xa** are further disclosed. The compounds are thought useful as **inhibitors of factor Xa** in vitro or as a therapeutic agent for the prevention and treatment of conditions characterized by abnormal thrombosis in mammals. Intermediates useful for the preparation of the novel compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 134 OF 189 USPTAFULL  
 ACCESSION NUMBER: 1999:39499 USPTAFULL  
 TITLE: Tissue factor mutants useful for the treatment of myocardial infarction and coagulopathic disorders  
 INVENTOR(S): Roy, Soumitra, San Francisco, CA, United States  
 Vekar, Gordon A., San Carlos, CA, United States  
 PATENT ASSIGNEE(S): Genentech, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739101		19980414
APPLICATION INFO.:	US 1995-440314		19950515 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1994-246978, filed on 20 May 1994, now patented, Pat. No. US 5589363 which is a division of Ser. No. US 1991-714819, filed on 13 Jun 1991, now patented, Pat. No. US 5346991		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jacobson, Dian C.		
LEGAL REPRESENTATIVE:	Kubines, Jeffrey S.		
NUMBER OF CLAIMS:	7		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	12 Drawing Figure(s); 7 Drawing Page(s)		
LINE COUNT:	2492		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A tissue factor protein mutant capable of neutralizing the ability of endogenous tissue factor to induce coagulation is provided. A representative tissue factor mutant designated K165A, K166A TF is useful in a method for inhibiting thrombin-induced platelet aggregation in a mammal, either separately or in combination with a thrombolytic agent, an anticoagulant, or a GPII.sub.b III.sub.a inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 135 OF 189 USPTAFULL  
 ACCESSION NUMBER: 1998:12120 USPTAFULL  
 TITLE: Trypsin Inhibitors  
 INVENTOR(S): Brunck, Terence E., San Diego, CA, United States  
 Pepe, Michael G., San Diego, CA, United States  
 Pearson, Daniel A., Solana Beach, CA, United States  
 Webb, Thomas R., Encinitas, CA, United States  
 PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5714683		19980223
APPLICATION INFO.:	US 1995-465974		19950631 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-11666, filed on 29 Jan 1993, now patented, Pat. No. US 5534499, issued on 4 Jul 1996 which is a continuation-in-part of Ser. No. US		

1992-828388, filed on 30 Jan 1992, now abandoned

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Scheiner, Toni R.  
 ASSISTANT EXAMINER: Huff, Sheela J.  
 LEGAL REPRESENTATIVE: Lyon & Lyon LLP  
 NUMBER OF CLAIMS: 6  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)  
 LINE COUNT: 1321

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds having activity against trypsin are disclosed. Specifically, novel **peptide** aldehyde **analogues** that have substantial potency and **specificity** as inhibitors of mammalian pancreatic trypsin are presented. The compounds are useful in the prevention and treatment of the tissue damage or destruction associated with pancreatitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 136 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1998051453 PCTFULL ED 20020514  
 TITLE (ENGLISH): CONJUGATES OF DITHIOCARBAMATES WITH PHARMACOLOGICALLY ACTIVE AGENTS AND USES THEREFOR  
 TITLE (FRENCH): CONJUGUES DE DITHIOCARBAMATES COMPRENANT DES AGENTS PHARMACOLOGIQUEMENT ACTIFS ET UTILISATIONS DESDITS CONJUGUES  
 INVENTOR(S): LAI, Ching-San  
 PATENT ASSIGNEE(S): MEDINOX, INC.; LAI, Ching-San  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9859453	A1	19981210
DESIGNATED STATES	AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NC NE NL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY EG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1998-US10295	A	19980519
PRIORITY INFO.:	US 1997-3/369,158		19970604

ABEN In accordance with the present invention, there are provided conjugates of nitric oxide scavengers (e.g., dithiocarbamates, or DC) and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein. In addition, invention conjugates are more effective than unmodified pharmacologically active agents because cells and tissues contacted by the pharmacologically active agent(s) are protected from the potentially damaging effects of nitric oxide overproduction induced thereby as a result of the co-production of nitric oxide scavenger (e.g., dithiocarbamate), in addition to free pharmacologically active agent, when invention conjugate is cleaved.

ABFR L'invention concerne des conjugués d'accepteurs de monoxyde d'azote (dithiocarbamates ou DC,

par exemple, et des agents pharmacologiquement actifs AINS, par exemple. Les conjugués de l'invention donnent une nouvelle catégorie d'agents pharmacologiquement actifs (agents anti-inflammatoires, par exemple) qui ont une incidence d'effets secondaires beaucoup moindre en raison de l'effet de protection produit par la modification de ces agents pharmacologiquement actifs. En outre, les conjugués de l'invention sont plus efficaces que les agents pharmacologiquement actifs puisse que des cellules ou des tissus placés au contact desdits agents sont protégés contre les effets potentiellement détériorants de la surproduction de monoxyde d'azote ainsi induite par la coproduction d'accepteurs de monoxyde d'azote (des dithiocarbamates, par exemple), à laquelle s'ajoute celle d'un agent libre pharmacologiquement actif lorsque le conjugué est olive.

L104 ANSWER 137 OF 139 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1993031394 PCTFULL ED 20020514

TITLE (ENGLISH): TISSUE FACTOR METHODS AND COMPOSITIONS FOR COAGULATION AND TUMOR TREATMENT

TITLE (FRENCH): METHODES ET COMPOSITIONS DE THROMBOPLASTINE TISSULAIRE POUR LE TRAITEMENT DE LA COAGULATION ET DES TUMEURS

INVENTOR(S): THORPE, Philip, E.; KING, Steven, W.; GAO, Boring

PATENT ASSIGNEE(S): BOARD OF REGENTS, THE UNIVERSITY OF TEXAS SYSTEM; THORPE, Philip, E.; KING, Steven, W.; GAO, Boring

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9831394	A2	19980723

DESIGNATED STATES

AL	AM	AT	AU	AC	BA	BB	BG	BE	BY	CA	CH	CN	CJ	CZ	DE	DK	EE	ES	FI	GB	GE	GH	GM	GW	HU	ID	IL	IS	JP	KE	KG	KP	KR	KZ	LC	LK	LR	LS	LT	LU	LV	MD	MG	MK	MN	MW	MX	NO	NZ	PL	PT	RO	RU	SD	SE	SG	SI	SK	SL	TJ	TM	TR	TT	UA	UG	US	US	US	UZ	VN	YU	ZW	GH	GM	KE	LS	MW	SD	SZ	UG	ZW	AM	AZ	BY	KG	KZ	MD	RU	TJ	TM	AT	BE	CH	DE	DK	ES	FI	FR	GB	GR	IE	IT	LU	MC	NL	PT	SE	BF	BJ	CF	CG	CI	CM	GA	GN	ML	MR	NE	SN	TD	TG
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APPLICATION INFO.: WO 1998-031012 A 19980120

PRIORITY INFO.: US 1997-60/035,920 19970122

US 1997-60/036,205 19970127

US 1997-60/042,427 19970327

ABEN The invention embodies the surprising discovery that Tissue Factor (TF) compositions and variants thereof specifically localize to the blood vessels within a vascularized tumor following systemic administration. The invention therefore provides methods and compositions comprising coagulant-deficient Tissue Factor for use in effecting specific coagulation and for use in tumor treatment. The TF compositions and methods of present invention may be used alone, as TF conjugates with improved half-life, or in combination with other agents, such as conventional chemotherapeutic drugs, targeted immunotoxins, targeted coagulogens, and/or in combination with Factor VIIa (FVIIa) or FVIIa activators.

ABFR La présente invention a trait à la découverte intéressante de la localisation spécifique de compositions de thromboplastine tissulaire (TF) et de variantes de cette dernière dans les vaisseaux

sanguins, a l'interieur d'une tumeur vascularisee, a la suite d'une administration systemique.  
 L'invention concerne donc des methodes et compositions comprenant une thromboplastine tissulaire deficiente en coagulants destinee a etre utilisee pour effectuer une coagulation specifique et pour traiter des tumeurs. Les compositions et methodes de TF de la presente invention peuvent etre utilisees seules, comme conjugués de TF presentant une demi-vie ameliorée; ou en combinaison avec d'autres agents, tels que des médicaments chimiotherapeutiques, des immunotoxines ciblées, des coagulogènes ciblés; et/ou en combinaison avec un Facteur VIIa(FVIIa) ou des activateurs de FVIIa.

L104 ANSWER 139 OF 199 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1998099987 PCTFULL ED 20020514

TITLE (ENGLISH): LACTAM INHIBITORS OF THROMBIN

TITLE (FRENCH): INHIBITEURS LACTAME DE LA THROMBINE

INVENTOR(S): ST-DENIS, Yves; SIDDIQUI, M., Arshad; CODY, Wayne, Livingston; EDMUNDS, Jeremy, John; PLUMMER, Janet, Samartino

PATENT ASSIGNEE(S): BIOCHEM PHARMA, INC.; ST-DENIS, Yves; SIDDIQUI, M., Arshad; CODY, Wayne, Livingston; EDMUNDS, Jeremy, John; PLUMMER, Janet, Samartino

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9809987	A1	19980312

DESIGNATED STATES

AL	AM	AT	AU	AZ	BA	BB	BG	BR	BY	CA	CH	CN	CU	CZ	DE	DK	EE	ES	FI	GB	GE	GH	HU	ID	IL	IS	JP	KE	KG	KP	KR	KZ	LC	LK	LR	LS	LT	LU	LV	MD	MG	MR	MN	MW	MX	NO	NZ	PL	PT	RO	RU	SD	SE	SG	SI	SK	SL	TJ	TM	TR	TT	UA	UG	US	UZ	VN	YU	ZW	GH	KE	LS	MW	SD	SZ	UG	ZW	AM	AZ	BY	KG	KZ	MD	RU	TJ	TM	AT	BE	CH	DE	DK	ES	FI	FR	GB	GR	IE	IT	LU	MC	NL	PT	SE	BF	BJ	CF	CG	CI	CM	GA	GN	ML	MR	NE	SN	TD	TG
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APPLICATION INFO.:

WO 1997-US15312	A	19970905
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PRIORITY INFO.:

US 1996-60/025,599	19960906
GB 1996-9613687.9	19960906

ABEN This invention relates to heterocyclic inhibitors of the enzyme thrombin, their preparation, and pharmaceutical compositions thereof having general formula (I), wherein W, X, Y R1 to R3 are as defined herein. Also, the invention relates to the use of such compounds and compositions as anticoagulants and as agents for the treatment and prophylaxis of thrombotic disorders such as venous thrombosis, pulmonary embolism and arterial thrombosis resulting in acute ischemic events such as myocardial infarction or cerebral infarction.

ABFR L'invention concerne des inhibiteurs heterocycliques de l'enzyme thrombine, la preparation de ceux-ci ainsi que des compositions pharmaceutiques contenant ces inhibiteurs possedant la formule generale (I), dans laquelle W, X, Y, R1 a R3 possedent les notations donnees dans la description. De meme, l'invention se rapporte a l'utilisation de tels composees et compositions, en tant qu'anticoagulants et agents destines au traitement et a la prophylaxie de troubles thrombotiques, tels que la thrombose veineuse, l'embolie pulmonaire et la thrombose arterielle, lesquels surviennent lors d'accidents ischémiques aigus comme l'infarctus du

myocarde ou l'infarctus  
cerebral.

L104 ANSWER 139 OF 199 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1999015333 PCTFULL ED 20020514  
TITLE (ENGLISH): USE OF BETA-SHEET MIMETICS AS PROTEASE AND KINASE  
INHIBITORS AND AS INHIBITORS OF TRANSCRIPTION FACTORS  
TITLE (FRENCH): UTILISATION DE MIMETIQUES DE FEUILLETS BETA COMME  
INHIBITEURS DE PROTEASE ET DE KINASE OU COMME  
INHIBITEURS DE FACTEURS DE TRANSCRIPTION  
INVENTOR(S): KAHN, Michael; QABAR, Maher, Nicola; McMILLAN, Michael,  
Kim; OGBU, Cyprian, Okwara; EGUCHI, Masakatsu; KIM,  
Hwa-Ok; BOATMAN, Patrick, Douglas, Jr.; URBAN, Jan;  
MEARA, Joseph, Patrick; BABU, Suresh; FERGUSON, Mark,  
D.; LUM, Christopher, Todd  
PATENT ASSIGNEE(S): MILESCOMETICS LTD.; KAHN, Michael; QABAR, Maher, Nicola;  
McMILLAN, Michael, Kim; OGBU, Cyprian, Okwara; EGUCHI,  
Masakatsu; KIM, Hwa-Ok; BOATMAN, Patrick, Douglas, Jr.;  
URBAN, Jan; MEARA, Joseph, Patrick; BABU, Suresh;  
FERGUSON, Mark, D.; LUM, Christopher, Todd  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 9805333	A1	19980212
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DESIGNATED STATES AL AM AT AU BA B5 BG BR BY CA CH CN CU CZ DE DK EE ES  
FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS LT  
LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI  
SK TJ TM TR TT UA US UZ VN YU ZW AM AZ BY BG BZ CA CH CN CU CZ DE DK EE ES FI FR  
GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML  
MR NE SN TD TG

APPLICATION INFO.:	WO 1997-US13622	A	19970905
PRIORITY INFO.:	US 1996-8/692,420		19960805
	US 1996-8/725,073		19961002
	US 1997-8/797,915		19970210
	US 1997-60/347,067		19970519

ABEN 'beta'-sheet mimetics and methods relating to the same are disclosed.  
The 'beta'-sheet mimetics  
have utility as protease and kinase inhibitors, as well as inhibitors of  
transcription factors.  
Methods of the invention include administration of a 'beta'-sheet  
mimetic, or use of the same for  
the manufacture of a medicament for treatment of a variety of conditions  
associated with the  
targeted protease, kinase and/or transcription factor.

ABFR L'invention concerne des mimetiques de feuillets beta et des procedes  
les concernant. Les  
mimetiques de feuillets beta sont utiles comme inhibiteurs de protease  
et de kinase ainsi que comme  
inhibiteurs de facteurs de transcription. Des procedes de l'invention  
comprennent l'administration  
d'un mimetique de feuillets beta ou l'utilisation dudit mimetique pour  
fabriquer un medicament  
destine au traitement d'une variete d'etats pathologiques associes a la  
protease, a la kinase et/ou  
au facteur de transcription cibles.

L104 ANSWER 140 OF 199 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1999100442 PCTFULL ED 20020514  
TITLE (ENGLISH): SERINE PROTEASE INHIBITORS  
TITLE (FRENCH): INHIBITEURS DE LA SERINE PROTEASE  
INVENTOR(S): DEADMAN, John, Joseph; ELGENDY, Said; GREEN, Donovan;  
SKORDALAKES, Emmanuel; SCULLY, Michael, Finkarr;

PATENT ASSIGNEE S : GODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir  
 THROMBOSIS RESEARCH INSTITUTE; DEADMAN, John, Joseph;  
 ELSENDY, Said; GREEN, Donovan; SKORDALAKES, Emmanuel;  
 SCULLY, Michael, Finbarr; GODWIN, Christopher, Andrew;  
 KAKKAR, Vijay, Vir  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WI 9810442 A1 19980106  
 AL AM AT AU AC BA BB BG BR BY CA CH CN CU CZ DE DK EE  
 ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS  
 LT LU LV MD MG ME MN MW MX NO NZ PL PT RO RU SD SE SG  
 SI SK TJ TM TR TT UA UG US UZ VN YU ZW ZH ZS ZT ZU  
 SZ UG ZW AM AC BY KG KZ MD RU TJ TM AT BE CH DE DK ES  
 FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA  
 GN ML MR NE SN TD TG

APPLICATION INFO.: WD 1997-GB1574 A 19970611  
 PRIORITY INFO.: GB 1996-9613719.5 19960629

ABEN Bifunctional serine protease inhibitors and methods of preparing  
 boron-containing **peptides** are  
 provided. The serine protease inhibitors comprise a catalytic  
 site-directed moiety, which binds to  
 and inhibits the active site of a serine protease, and an exosite  
 associating moiety, which are  
 joined by a connector moiety. The catalytic site directed moiety and the  
 exosite associating moiety  
 are capable of binding simultaneously to a molecule of the serine  
 protease.

ABFR L'invention concerne des inhibiteurs bifonctionnels de la serine  
 protease et des procedes de  
 preparation de **peptides** contenant du bore. Ces inhibiteurs  
 comprennent une fraction catalytique  
 dirigee qui se lie au site actif d'une serine protease et l'inhibe, et  
 une fraction se liant a un  
 exosite, ces deux fractions etant reunies par une fraction de couplage.  
 La fraction dirigee  
 catalytique et la fraction se liant a un exosite sont capables de se  
 lier simultanement a une  
 molecule de la serine protease.

L104 ANSWER 141 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 918744 EUROPATEFULL EW 199803 FS OS  
 TITLE: Process for selecting candidate drug compounds.  
 Verfahren zur Auswahl von Kandidat-Drogenverbindungen.  
 Procede de selection des compositions medicamenteuses  
 potentielles.  
 INVENTOR(S): Young, Stephen Clinton, 8 Crankourne Road, Heaton Moor,  
 Stockport, Cheshire SK4 4DL, GB;  
 Murray, Christopher, 1 Wheatfield Close, Titherington,  
 Macclesfield, Cheshire SK10 2TT, GB  
 PATENT ASSIGNEE(S): Proteus Molecular Design Limited, Beechfield House, Lyme  
 Green Business Park, Macclesfield, Cheshire SK11 0JL, GB  
 PATENT ASSIGNEE NO: 906234  
 AGENT: Cockkain, Julian, Dr., Frank B. Dehn & Co., European  
 Patent Attorneys, 179 Queen Victoria Street, London EC4V  
 4EL, GB  
 AGENT NUMBER: 52641  
 OTHER SOURCE: ESP1999004 EP 9918744 A2 990114  
 SOURCE: Wila-EPZ-1999-H03-T2a  
 DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R  
 GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EP02 EUROPÄISCHE PATENTANMELDUNG  
 PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 8.8744	A2	19940114
'OFFENLEGUNGS' DATE:		19940114
APPLICATION INFO.:	EP 1-97-304412	19970624
PRIORITY APPLN. INFO.:	GB 1-96-14302	19960708
	GB 1-96-16562	19960807

L104 ANSWER 142 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ESTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 703923 EUROPATEFULL EW 199841 FS PS  
 TITLE: FACTOR VII-DERIVED **PEPTIDES**.  
 FACTOR VII-**PEPTIDE**.  
**PEPTIDES** DERIVES DU FACTEUR VII.  
 INVENTOR(S): STEPHENS, Ross Wentworth, Silurveien 19, N-0380 Oslo,  
 NO;  
 ORNING, Lars, Thomas Heftyes gate 47B, N-0267 Oslo, NO;  
 SAKARIASSEN, Kjell Steinar, Kygd Alle 33B, N-0262 Oslo,  
 NO  
 PATENT ASSIGNEE(S): NYCOMED IMAGING AS, Nycoveien 1-2, 0401 Oslo 4, NO  
 PATENT ASSIGNEE NO: 1564564  
 AGENT: Matthews, Derek Peter et al, Frank B. Dehn & Co.,  
 European Patent Attorneys, 179 Queen Victoria Street,  
 London EC4V 4EL, GB  
 AGENT NUMBER: 60131  
 OTHER SOURCE: EPB1998055 EP 0703923 B1 981007  
 SOURCE: Wila-EP3-1998-H41-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPÄISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)  
 PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 703923	B1	19981007
'OFFENLEGUNGS' DATE:		19960403
APPLICATION INFO.:	EP 1994 918437	19940617
PRIORITY APPLN. INFO.:	GB 1993-12601	19930618
	GB 1994-9335	19940510
RELATED DOC. INFO.:	WO 94-GB1315	940617 INTAKZ
	WO 9500541	950105 INTPNR
REFERENCE PAT. INFO.:	EP 446747 A	WO 90-03390 A
	WO 93-34804 A	

L104 ANSWER 143 OF 189 MEDLINE DUPLICATE 2

ACCESSION NUMBER: 199813583 MEDLINE  
 DOCUMENT NUMBER: 98128533 PubMed ID: 9454596  
 TITLE: Discovery of a novel, potent, and specific family of  
**factor Xa inhibitors** via  
 combinatorial chemistry.  
 AUTHOR: Ostrem J A; al-Oreidi F; Safar P; Safarova A; Stringer S K;  
 Patel M; Cross M T; Spoonamore C; LoCascio J C; Kasireddy  
 P; Thurne D S; Segetov N; Lehl M; Wildgoose P; Strop P  
 CORPORATE SOURCE: Selectide Corporation, Tucson, Arizona 85737, USA..  
 jim.ostrem@hmrug.com  
 SOURCE: BIOCHEMISTRY, 1998 Jan 27; 37(4): 1053-9.

Journal code: 0370623. ISSN: 0006-2960.  
PUB. COUNTRY: United States  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
LANGUAGE: English  
FILE SEGMENT: Priority; Journals  
ENTRY MONTH: 199803  
ENTRY DATE: Entered STN: 19980319  
Last Updated in STN: 20001303  
Entered Medline: 19980306

AB A series of low molecular weight **peptide inhibitors** of **factor Xa**, unrelated to any previously described, was identified by screening a combinatorial **peptide** library composed of L-amino acids. The minimal inhibitory sequence is a tripeptide, D-tyrosinyl-L-isoleucyl-L-arginyl, which competitively inhibits the hydrolysis of small chromogenic substrates by **factor Xa** but binds in an orientation which prevents a productive nucleophilic attack by serine 195 of the catalytic triad on the carbonyl carbon of the carboxyterminal **arginine**. The initial leads identified in an octamer combinatorial **peptide** library ranged in potency from 4 to 15 microM. These **peptides** were modified into **peptide** mimetics with a greater than 1000-fold increase in potency while retaining unusual **selectivity** for **factor Xa** over the related serine proteases thrombin, factor VIIa/tissue factor, plasmin, activated protein C, kallikrein, and trypsin. One of the most potent **analogues**, SEL 2711, with a  $K_i$  of 0.003 microM for **factor Xa** and 40 microM for thrombin, is active in in vitro and ex vivo coagulation assays, suggesting the potential application of these **inhibitors** in anticoagulant therapy.

L104 ANSWER 144 OF 189 USPATEFULL  
ACCESSION NUMBER: 97:109871 USPATEFULL  
TITLE: Methods for coating invasive devices with inhibitors of thrombin  
INVENTOR(S): Maraganore, John M., Concord, MA, United States  
Fenton, II, John W., Malden Bridge, NY, United States  
Kline, Toni, Cambridge, MA, United States  
PATENT ASSIGNEE(S): Biogen, Inc., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5691311		19971125
APPLICATION INFO.:	US 1995-439297		19950511 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-834259, filed on 10 Feb 1992, now patented, Pat. No. US 5433940, issued on 18 Jul 1995 which is a continuation-in-part of Ser. No. US 1990-549388, filed on 6 Jul 1990, now patented, Pat. No. US 5196404, issued on 23 Mar 1993 which is a continuation-in-part of Ser. No. US 1989-395482, filed on 13 Aug 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Schain, Howard E.		
LEGAL REPRESENTATIVE:	Fish & Neave, Haley, Jr., James E., Marks, Andrew S.		
NUMBER OF CLAIMS:	15		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	22 Drawing Figure(s); 13 Drawing Page(s)		
LINE COUNT:	2600		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel biologically active molecules which bind to and inhibit thrombin. Specifically, these molecules are characterized by a thrombin anion-binding exosite association moiety (ABEAM); a linker portion of at least 18 .ANG. in length; and a thrombin catalytic site-directed moiety (CSDM). This invention also relates to compositions, combinations and methods which employ these molecules for



therapeutic, prophylactic and diagnostic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 145 OF 189 USPATEFULL  
ACCESSION NUMBER: 97:114449 USPATEFULL  
TITLE: Basic .alpha.-aminoalkylphosphonate derivatives  
INVENTOR(S): Powers, James C., Atlanta, GA, United States  
Beduszek, Bogdan, Wroclaw, Poland  
Oleksyszyn, Jozef, Arlington, MA, United States  
PATENT ASSIGNEE(S): Georgia Tech Research Corp., Atlanta, GA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5686419		19971111
APPLICATION INFO.:	US 1994-184286		19940121 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Deveau, Colton & Marquis		
NUMBER OF CLAIMS:	8		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1669		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Peptidyl derivatives of diesters of .alpha.-aminoalkylphosphonic acids with basic substituents, their use in inhibiting serine proteases with trypsin-like **specificity** and their roles as anti-inflammatory agents, anticoagulants, and anti-tumor agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 146 OF 189 USPATEFULL  
ACCESSION NUMBER: 97:58898 USPATEFULL  
TITLE: Inhibitor resistant serine proteases  
INVENTOR(S): Dawson, Keith Martyn, Cowley, United Kingdom  
Gilbert, Richard James, Cowley, United Kingdom  
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, Oxford, United Kingdom (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5645833		19970708
	WO 9403614		19940217
APPLICATION INFO.:	US 1995-379621		19950203 (8)
	WO 1993-GB1632		19930803
			19950203 PCT 371 date
			19950203 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1992-16593	19920804
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Jacobson, Dian C.	
LEGAL REPRESENTATIVE:	Hale And Dorr	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	19 Drawing Figure(s); 19 Drawing Page(s)	
LINE COUNT:	1079	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Serine proteases of the chymotrypsin superfamily are modified so that they exhibit resistance to serine protease inhibitors. If such modified serine proteases have fibrinolytic, thrombolytic, antithrombotic or

prothrombotic properties, they are useful in the treatment of blood clotting diseases or conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 147 OF 189 USPATFULL  
ACCESSION NUMBER: 97:01973 USPATFULL  
TITLE: **Peptide** mediated enhancement of thrombolysis  
methods and compositions  
INVENTOR(S): Lawrence, Daniel A., Ann Arbor, MI, United States  
Binskiurg, David, Ann Arbor, MI, United States  
Shore, Joseph D., Grosse Point Farms, MI, United States  
Ray, William P., Ann Arbor, MI, United States  
Olson, Steven T., Chicago, IL, United States  
Francis-Chmura, Ann Marie, Warren, MI, United States  
Eitzman, Daniel T., Ypsilanti, MI, United States  
Paielli, Dell, Wyandotte, MI, United States  
PATENT ASSIGNEE(S): The Regents of the University of Michigan, Ann Arbor,  
MI, United States (U.S. corporation)  
Henry Ford Health System, Detroit, MI, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5639726		19970617
APPLICATION INFO.:	US 1994-315461		19940930 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Davenport, Avis M.		
LEGAL REPRESENTATIVE:	Arnold, White & Durkee		
NUMBER OF CLAIMS:	59		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 10 Drawing Page(s)		
LINE COUNT:	4817		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates generally to **peptides** which decrease the half-life of active plasminogen activator inhibitor-1. This invention further relates to methods and compositions for using **peptides** which decrease the half-life of active plasminogen activator inhibitor-1. Further, the invention includes methods and compositions useful in clot lysis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 148 OF 189 USPATFULL  
ACCESSION NUMBER: 97:42542 USPATFULL  
TITLE: Activatable fibrinolytic and anti-thrombotic proteins  
INVENTOR(S): Dawson, Keith, Marlow, United Kingdom  
Edwards, Richard M., Thame, United Kingdom  
Forman, Joan M., Oxford, United Kingdom  
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals, England (non-U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5637490		19970610
	WO 9109119		19910627
APPLICATION INFO.:	US 1992-814603		19920604 (7)
	WO 1990-GB1912		19901207
			19920604 PCT 371 date
			19920604 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1989-27722	19891207

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Jacobsen, Dian C.  
LEGAL REPRESENTATIVE: Banner & Witcoff, Ltd.  
NUMBER OF CLAIMS: 14  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 15 Drawing Figure s.; 15 Drawing Page(s)  
LINE COUNT: 1909

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Proteinaceous compounds are activatable by enzymes of the clotting cascade to have fibrinolytic or clot formation **inhibition** activity. For example, a plasminogen **analogue** is activatable to plasmin by thrombin or **Factor Xa**. Fibrinolytic or clot formation **inhibition** activity is therefore directed to the site of clot formation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 149 OF 189 USPTAFULL  
ACCESSION NUMBER: 97:33706 USPTAFULL  
TITLE: Human tissue factor related DNA segments, polypeptides and antibodies  
INVENTOR(S): Edgington, Thomas S., La Jolla, CA, United States  
Morrissey, James H., Oklahoma City, OK, United States  
PATENT ASSIGNEE(S): The Scripps Research Institute, La Jolla, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5622931		19970422
APPLICATION INFO.:	US 1992-880079		19920429 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1988-165939, filed on 9 Mar 1988, now patented, Pat. No. US 5223427 which is a continuation-in-part of Ser. No. US 1987-67103, filed on 25 Jun 1987, now patented, Pat. No. US 5110730 which is a continuation-in-part of Ser. No. US 1987-33047, filed on 31 Mar 1987, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Wax, Robert A.  
ASSISTANT EXAMINER: Carlson, K. Cochrane  
LEGAL REPRESENTATIVE: Fitting, Thomas  
NUMBER OF CLAIMS: 3  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 22 Drawing Figure(s); 15 Drawing Page(s)  
LINE COUNT: 3119

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB DNA segments that include DNA sequences defining a structural gene coding for a human tissue factor heavy chain protein and a precursor form of that protein are disclosed. Recombinant DNA molecules capable of expressing a human tissue factor heavy chain protein are also disclosed. Further disclosed are human tissue factor heavy chain binding site polypeptide analogs as well as methods for their use.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 150 OF 189 USPTAFULL  
ACCESSION NUMBER: 97:31793 USPTAFULL  
TITLE: Aprotinin analogs  
INVENTOR(S): Bj.ø slashed.in, Søren E., Lyngby, Denmark  
Morris, Kjeld, Hellerup, Denmark  
Diness, Viggo, Charlottenlund, Denmark  
N.ø slashed.rskov-Lauritsen, Leif, K.ø slashed.ge, Denmark  
Christensen, Niels D., K.ø slashed.vernhamn, Denmark

PATENT ASSIGNEES : Bregengaard, Claus, Hellerup, Denmark  
 Morris, Fanny, Hellerup, Denmark  
 Petersen, Lars C., H.o slashed.rsholm, Denmark  
 Novo Nordisk A/S, Bagsvaerd, Denmark non-U.S.  
 corporation

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5621074		19970415
APPLICATION INFO.:	US 1991-443977		19950518 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-84718, filed on 23 Jun 1993 which is a continuation-in-part of Ser. No. US 1993-24931, filed on 26 Feb 1993, now abandoned which is a continuation of Ser. No. US 1990-466408, filed on 21 Jun 1990, now abandoned, said Ser. No. US 1995-443977, filed on 18 May 1995 which is a continuation-in-part of Ser. No. US 1990-598737, filed on 12 Nov 1990, now patented, Pat. No. US 5373090 And a continuation-in-part of Ser. No. US 1992-827687, filed on 23 Jan 1992, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1987-4501	19870328
	DK 1988-1254	19880426
	DK 1990-2361	19901001
	DK 1991-1118	19910612
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Wax, Robert A.	
ASSISTANT EXAMINER:	Hobbs, Lisa J.	
LEGAL REPRESENTATIVE:	Solson, Esq., Steve T., Agris, Esq., Cheryl H.	
NUMBER OF CLAIMS:	3	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	16 Drawing Figure(s); 17 Drawing Page(s)	
LINE COUNT:	2401	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods for producing aprotinin and analogs thereof in yeast, synthetic genes encoding such products, expression vectors and transformed yeast cells. The invention further relates to aprotinin analogs, particularly analogs with increased specific inhibitory activity and/or reduced nephrotoxicity compared to native aprotinin, as well as compositions comprising such analogs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 151 OF 189 USPATEFULL  
 ACCESSION NUMBER: 97:29570 USPATEFULL  
 TITLE: Aprotinin analogs  
 INVENTOR(S.): B.o slashed.rn, Soren E., Lyngby, Denmark  
 Morris, Kjeld, Hellerup, Denmark  
 Liness, Viggo, Charlottenlund, Denmark  
 N.o slashed.rskov-Lauritsen, Leif, K.o slashed.ge, Denmark  
 Christensen, Niels D., K.o slashed.venhavn, Denmark  
 Bregengaard, Claus, Hellerup, Denmark  
 Morris, Fanny, Hellerup, Denmark  
 Petersen, Lars C., H.o slashed.rsholm, Denmark  
 PATENT ASSIGNEE(S): Novo Nordisk, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5619915		19970408
APPLICATION INFO.:	US 1991-443976		19950518 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1993-84718, filed on 23 Jun		

1993 which is a continuation-in-part of Ser. No. US 1993-24905, filed on 16 Feb 1993, now abandoned which is a continuation of Ser. No. US 1990-466498, filed on 21 Jun 1990, now abandoned, said Ser. No. US 1990-466498 which is a continuation-in-part of Ser. No. US 1991-598737, filed on 19 Nov 1991, now patented, Pat. No. US 5373080 And a continuation-in-part of Ser. No. US 1991-347697, filed on 29 Jan 1992, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1987-4501	19870829
	DK 1989-2154	19890426
	DK 1990-2361	19901001
	DK 1991-1118	19910621
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Wax, Robert A.	
ASSISTANT EXAMINER:	Hobbs, Lisa J.	
LEGAL REPRESENTATIVE:	Celson, Esq., Steve T., Agnis, Esq., Cheryl H.	
NUMBER OF CLAIMS:	18	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	13 Drawing Figure(s); 17 Drawing Page(s)	
LINE COUNT:	2547	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB The present invention relates to methods for producing aprotinin and analogs thereof in yeast, synthetic genes encoding such products, expression vectors and transformed yeast cells. The invention further relates to aprotinin analogs, particularly analogs with increased specific inhibitory activity and/or reduced nephrotoxicity compared to native aprotinin, as well as compositions comprising such analogs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 152 OF 189 USPATFULL  
 ACCESSION NUMBER: 97:22638 USPATFULL  
 TITLE: Methods of producing effective recombinant serine protease inhibitors and uses of these inhibitors  
 INVENTOR(S): Rubin, Harvey, Philadelphia, PA, United States  
 Cooperman, Barry, Penn Valley, PA, United States  
 Schechter, Norman, Philadelphia, PA, United States  
 Flotnick, Michael, Havertown, PA, United States  
 Wang, Zhi M., Philadelphia, PA, United States  
 PATENT ASSIGNEE(S): Trustees of the University of Pennsylvania,  
 Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5611194		19970318
APPLICATION INFO.:	US 1994-276936		19940719 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-229286, filed on 13 Apr 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-221073, filed on 31 Mar 1994 And Ser. No. US 1994-221171, filed on 31 Mar 1994, said Ser. No. US 1994-221073 And Ser. No. US 1994-221171, each Ser. No. US 1994-221073 - which is a continuation-in-part of Ser. No. US 1993-3499, filed on 15 Jan 1993, now abandoned And Ser. No. US 1993-5909, filed on 15 Jan 1993, now patented, Pat. No. US 5367064, each Ser. No. US 1993-3499 - which is a division of Ser. No. US 1991-731335, filed on 24 Jul 1991, now patented, Pat. No. US 5252725 which is a division of Ser. No. US 1989-370704, filed on 23 Jun 1989, now patented, Pat. No. US 5079336		
DOCUMENT TYPE:	Utility		

FILE SEGMENT: Granted  
PRIMARY EXAMINER: Jackson, Dian C.  
LEGAL REPRESENTATIVE: Law Offices of Jane Massey Licata  
NUMBER OF CLAIMS: 5  
EXEMPLARY CLAIM: 1  
LINE COUNT: 416

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of producing a recombinant serine protease inhibitor capable of effectively modulating serine protease activity is provided. Compositions capable of modulating serine protease activity and use of such compositions to regulate inflammatory processes in cells are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 153 OF 189 USPTAFULL  
ACCESSION NUMBER: 97:12570 USPTAFULL  
TITLE: Process for production of inhibited forms of activated blood factors  
INVENTOR(S): King, Robert, Fremont, CA, United States  
PATENT ASSIGNEE(S): COR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5602233		19970211
APPLICATION INFO.:	US 1995-484558		19950607 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1994-330978, filed on 28 Oct 1994		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Fleisher, Mindy		
ASSISTANT EXAMINER:	Degen, Nancy J.		
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1403		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for producing a highly purified preparation of an inhibited form of an activated blood factor entails providing a partially purified preparation containing the blood factor of interest, treating the partially purified preparation to convert the blood factor to an inhibited activated form in a single step, and then purifying the resulting inhibited activated blood factor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 154 OF 189 USPTAFULL  
ACCESSION NUMBER: 97:7908 USPTAFULL  
TITLE: N-sulfonylarginine keto-amide compounds  
INVENTOR(S): Webb, Thomas R., Encinitas, CA, United States  
Miller, Todd A., Encinitas, CA, United States  
Vlasuk, George P., Carlsbad, CA, United States  
Abelman, Matthew M., Solana Beach, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5597804		19970129
APPLICATION INFO.:	US 1993-139300		19931018 (9)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1991-962351, filed on 16 Oct 1992, now patented, Pat. No. US 5371072		
DOCUMENT TYPE:	Utility		

FILE SEGMENT: Granted  
PRIMARY EXAMINER: Wityshyn, Michael G.  
ASSISTANT EXAMINER: Weber, Jim P.  
LEGAL REPRESENTATIVE: Lyon & Lyon  
NUMBER OF CLAIMS: 73  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)  
LINE COUNT: 3290

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to N-sulfonyl **arginine** alpha-keto-amide derivatives, their pharmaceutically acceptable salts and compositions thereof which are useful as antithrombotic agents in mammals and also the use of these compounds as antithrombotic agents. Also, disclosed are methods of using these inhibitors in their various embodiments as therapeutic agents for disease states characterized by disorders of the blood coagulation process. Further disclosed are compounds useful as intermediates in the preparation of these compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 155 OF 189 USPTAFULL  
ACCESSION NUMBER: 47:1332 USPTAFULL  
TITLE: Process for preparing aprotinin and aprotinin analogs in yeast cells  
INVENTOR(S): Bjo slashed.rn, Soren E., Lyngby, Denmark  
Norris, Kjeld, Hellerup, Denmark  
Diness, Viggo, Charlottenlund, Denmark  
M.o slashed.rskov-Lauritsen, Leif, K.o slashed.ge, Denmark  
Christensen, Niels D., K.o slashed.vernhamn, Denmark  
Bregengaard, Claus, Hellerup, Denmark  
Norris, Fanny, Hellerup, Denmark  
Petersen, Lars C., H.o slashed.rsholm, Denmark  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5591603		19970107
APPLICATION INFO.:	US 1993-34718		19930623 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1993-24925, filed on 26 Feb 1993, now abandoned which is a continuation of Ser. No. US 1990-466408, filed on 21 Jun 1990, now abandoned And a continuation-in-part of Ser. No. US 1990-598737, filed on 19 Nov 1990, now patented, Pat. No. US 5373090 And a continuation-in-part of Ser. No. US 1992-327687, filed on 29 Jan 1992, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1987-4501	19870828
	DK 1988-3254	19880426
	DK 1990-2361	19901001
	DK 1991-1118	19910612

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Draper, Garnette D.  
ASSISTANT EXAMINER: Teng, Sally P.  
LEGAL REPRESENTATIVE: Nelson, Esq., Steve T., Ayris, Esq., Cheryl H.  
NUMBER OF CLAIMS: 13  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 16 Drawing Figure(s); 17 Drawing Page(s)  
LINE COUNT: 2391

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods for producing aprotinin and

analogs thereof in yeast, synthetic genes encoding such products, expression vectors and transformed yeast cells. The invention further relates to aprotinin analogs, particularly analogs with increased specific inhibitory activity and/or reduced nephrotoxicity compared to native aprotinin, as well as compositions comprising such analogs.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 156 OF 189 EUROPATEFULL COPYRIGHT 1991 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 502968 EUROPATEFULL EW 124730 FS PS  
TITLE: ACTIVATABLE FIBRINOLYTIC AND ANTI-THROMBOTIC PROTEINS.  
AKTIVIERBARE FIBRINOLYTISCHE UND ANTITHROMBOTISCHE  
PROTEINE.  
PROTEINES FIBRINOLYTIQUES ET ANTITHROMBOTIQUES  
ACTIVABLES.  
INVENTOR(S): DAWSON, Keith Martyn, 80 Barnards Hill, Marlow, Bucks  
SL7 2NS, GB;  
EDWARDS, Richard Mark, 7 Ludlow Drive, Thame, Oxon OX9  
3XS, GB;  
FORMAN, Joan Mabel, 6 Margaret Road, Oxford OX3 3NG, GB  
PATENT ASSIGNEE(S): BRITISH BIOTECH PHARMACEUTICALS LIMITED, Watlington  
Road, Cowley Oxford, OX4 5LY, GB  
PATENT ASSIGNEE NO: 970612  
AGENT: Walls, Alan James et al, British Biotech Pharmaceuticals  
Ltd., Watlington Road, Oxford OX4 5LY, GB  
AGENT NUMBER: 37314  
OTHER SOURCE: EPB1227047 EP 0502968 B1 970723  
SOURCE: Wila-EPS-1997-H30-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: F AT; F BE; F CH; F DE; F DK; F ES; F FR; F GB; F GR; F  
IT; F LI; F LU; F NL; F SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale  
Anmeldung)  
PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 502968	B1	19970723
'OFFENLEGUNGS' DATE:			19920910
APPLICATION INFO.:	EP 1991-900851		19901297
PRIORITY APPLN. INFO.:	GB 1989-27722		19891297
RELATED DOC. INFO.:	WO 90-GB1912	901207	INTAKZ
	WO 9109118	910627	INTENE
REFERENCE PAT. INFO.:	EP 211293 A	EP 227933 A	
	EP 242009 A	EP 297331 A	
	EP 334013 A	EP 319444 A	
	EP 333149 A	EP 330709 A	
	EP 339341 A	WO 89-01036 A	
	WO 89-06239 A	WO 90-12081 A	
	WO 90-13640 A	WO 91-13297 A	
REF. NON-PATENT-LIT.:	Biochemistry, vol. 29, 1990, American Chemical Society, D.L. Davidson et al.: "plasminogen activator activities of equimolar complexes of streptokinase with variant recombinant plasminogens", pages 3535-3540 Chemical Abstracts, vol. 103, 1985, Columbus, Ohio, US); J.Y. Chang: "Thrombin specificity. Requirement for apolar amino acids adjacent to the thrombin cleavage site of polypeptide substrate", see page 412 Archives of Biochemistry and Biophysics, vol. 271, no. 2, June 1989, Academic Press, Inc.; J. Whitefllet-Smith et al.: "Expression of human plasminogen cDNA in a baculovirus vector-infected insect cell system", pages 390-399 Proc.		



Natl. Acad. Sci. USA, vol. 79, October 1982; T. Miyata et al.: "Plasminogen Tornigi: inactive plasmin resulting from replacement of alanine- 613 by threonine in the active site", pages 6132-6136

L104 ANSWER 157 OF 189 USPATEFULL  
ACCESSION NUMBER: 96:120879 USPATEFULL  
TITLE: Process for production of inhibited forms of activated blood factors  
INVENTOR(S): King, Robert, Fremont, CA, United States  
PATENT ASSIGNEE(S): COR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5582572		19961231
APPLICATION INFO.:	US 1995-474042		19950607 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1994-330978, filed on 28 Oct 1994		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Fleisher, Mindy		
ASSISTANT EXAMINER:	Degen, Nancy J.		
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1399		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for producing a highly purified preparation of an inhibited form of an activated blood factor entails providing a partially purified preparation containing the blood factor of interest, treating the partially purified preparation to convert the blood factor to an inhibited activated form in a single step, and then purifying the resulting inhibited activated blood factor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 158 OF 189 USPATEFULL  
ACCESSION NUMBER: 96:120878 USPATEFULL  
TITLE: Process for production of inhibited forms of activated blood factors  
INVENTOR(S): King, Robert, Fremont, CA, United States  
PATENT ASSIGNEE(S): COR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5582571		19961231
APPLICATION INFO.:	US 1994-330978		19941028 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Fleisher, Mindy		
ASSISTANT EXAMINER:	Degen, Nancy J.		
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	4 Drawing Figure(s); 4 Drawing Page(s)		
LINE COUNT:	1395		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for producing a highly purified preparation of an inhibited form of an activated blood factor entails providing a partially purified preparation containing the blood factor of interest, treating the partially purified preparation to convert the blood factor to an inhibited activated form in a single step, and then purifying the

resulting inhibited activated blood factor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 159 OF 189 USPTFULL  
ACCESSION NUMBER: 96:123775 USPTFULL  
TITLE: DNA encoding tissue factor mutants useful for the treatment of myocardial infarction and coagulopathic disorders  
INVENTOR(S): Roy, Sumittra, San Francisco, CA, United States  
Vehar, Gordon A., San Carlos, CA, United States  
PATENT ASSIGNEE(S): Genentech, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5582363		19961231
APPLICATION INFO.:	US 1994-246978		19940520 (8)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-714819, filed on 13 Jun 1991, now patented, Pat. No. US 5346991		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Jacobson, Dian C.		
LEGAL REPRESENTATIVE:	Kubinec, Jeffrey S., Winter, Daryl B.		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	17 Drawing Figure(s); 8 Drawing Page(s)		
LINE COUNT:	2528		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB DNA enclosing a tissue factor protein mutant capable of neutralizing the ability of endogenous tissue factor to induce coagulation is provided. A representative tissue factor mutant designated K165A, K166A TF is useful in a method for inhibiting thrombin-induced platelet aggregation in a mammal, either separately or in combination with a thrombolytic agent, an anticoagulant, or a GPII.sub.bIII.sub.a inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1104 ANSWER 160 OF 189 USPTFULL  
ACCESSION NUMBER: 96:113902 USPTFULL  
TITLE: Agents affecting thrombosis and hemostasis  
INVENTOR(S): Wolf, David L., Palo Alto, CA, United States  
Sinha, Uma, San Francisco, CA, United States  
PATENT ASSIGNEE(S): COR Therapeutics, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5583197		19961210
APPLICATION INFO.:	US 1994-269003		19940629 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1994-249777, filed on 26 May 1994 which is a continuation of Ser. No. US 1991-308329, filed on 16 Dec 1991, now abandoned which is a continuation-in-part of Ser. No. US 1990-578646, filed on 4 Sep 1990, now patented, Pat. No. US 5278144		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Elliott, George C.		
ASSISTANT EXAMINER:	Degen, Nancy J.		
LEGAL REPRESENTATIVE:	Morrison & Foerster LLP		
NUMBER OF CLAIMS:	14		
EXEMPLARY CLAIM:	.		
NUMBER OF DRAWINGS:	23 Drawing Figure(s); 15 Drawing Page(s)		
LINE COUNT:	1955		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB      Analogs of blood factors which are transiently inactive are useful in treatment of diseases characterized by thrombosis. In addition, modified forms of activated blood factors that generate the active blood factor in serum but have extended half-lives are useful in treating hemophilic conditions. These modified forms of the blood factor may be acylated forms which are slowly deacylated in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 101 OF 189    USPATEFULL  
ACCESSION NUMBER:        96:131466    USPATEFULL  
TITLE:                  Directed evolution of novel binding proteins  
INVENTOR(S) :            Ladner, Robert C., Ijamsville, MD, United States  
                          Guterman, Sonia K., Belmont, MA, United States  
                          Roberts, Bruce L., Milford, MA, United States  
                          Markland, William, Milford, MA, United States  
                          Ley, Arthur C., Newton, MA, United States  
                          Kent, Rachel B., Boxborough, MA, United States  
PATENT ASSIGNEE(S):      Protein Engineering Corporation, Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5571698		19961105
APPLICATION INFO.:	US 1993-57667		19930618 (8)
DISCLAIMER DATE:	20100629		
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1991-664989, filed on 1 Mar 1991, now patented, Pat. No. US 5223409 which is a continuation-in-part of Ser. No. US 1990-487063, filed on 2 Mar 1990, now abandoned which is a continuation-in-part of Ser. No. US 1988-240160, filed on 2 Sep 1988, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ulm, John		
LEGAL REPRESENTATIVE:	Cooper, Iver P.		
NUMBER OF CLAIMS:	33		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	16 Drawing Figure(s); 16 Drawing Page(s)		
LINE COUNT:	15323		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB      In order to obtain a novel binding protein against a chosen target, DNA molecules, each encoding a protein comprising one of a family of similar potential binding domains and a structural signal calling for the display of the protein on the outer surface of a chosen bacterial cell, bacterial spore or phage (genetic package) are introduced into a genetic package. The protein is expressed and the potential binding domain is displayed on the outer surface of the package. The cells or viruses bearing the binding domains which recognize the target molecule are isolated and amplified. The successful binding domains are then characterized. One or more of these successful binding domains is used as a model for the design of a new family of potential binding domains, and the process is repeated until a novel binding domain having a desired affinity for the target molecule is obtained. In one embodiment, the first family of potential binding domains is related to bovine pancreatic trypsin inhibitor, the genetic package is M13 phage, and the protein includes the outer surface transport signal of the M13 gene III protein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 102 OF 189    USPATEFULL  
ACCESSION NUMBER:        96:06684    USPATEFULL  
TITLE:                  Trypsin inhibitors  
INVENTOR(S):            Brunck, Terence K., San Diego, CA, United States

PATENT ASSIGNEE(S):

Pepe, Michael G., San Diego, CA, United States  
 Pearson, Daniel A., Solana Beach, CA, United States  
 Webb, Thomas R., Encinitas, CA, United States  
 Corvas International, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5514498		19960709
APPLICATION INFO.:	US 1992-11666		19930129 (S)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-928388, filed on 23 Jan 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Chan, Christina Y.		
ASSISTANT EXAMINER:	Marshall, S. G.		
LEGAL REPRESENTATIVE:	Lyon & Lyon		
NUMBER OF CLAIMS:	41		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1563		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds having activity against trypsin are disclosed. Specifically, novel **peptide aldehyde analogues** that have substantial potency and **specificity** as inhibitors of mammalian pancreatic trypsin are presented. The compounds are useful in the prevention and treatment of the tissue damage or destruction associated with pancreatitis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 163 OF 189 USPATFULL  
 ACCESSION NUMBER: 96:38638 USPATFULL  
 TITLE: Methods for coating invasive devices with inhibitors of thrombin  
 INVENTOR(S): Maraganore, John M., Concord, MA, United States  
 Bourdon, Paul R., Sommerville, MA, United States  
 Jablonski, Jo-Ann M., Middleborough, MA, United States  
 PATENT ASSIGNEE(S): Biogen, Inc., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5514409		19960507
APPLICATION INFO.:	US 1995-431678		19950502 (S)
RELATED APPLN. INFO.:	Division of Ser. No. US 1992-924549, filed on 31 Jul 1992, now patented, Pat. No. US 5425936, issued on 20 Jun 1995 which is a division of Ser. No. US 1991-652929, filed on 8 Feb 1991, now patented, Pat. No. US 5240913, issued on 31 Aug 1993 which is a continuation-in-part of Ser. No. US 1990-549388, filed on 6 Jul 1990, now patented, Pat. No. US 5196404, issued on 23 Mar 1993 which is a continuation-in-part of Ser. No. US 1989-395482, filed on 18 Aug 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lusignan, Michael		
LEGAL REPRESENTATIVE:	Fish & Neave, Haley, Jr., James F., Marks, Andrew S.		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1146		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to coating invasive devies with novel

biologically active molecules which bind to and inhibit thrombin. These molecules comprise a catalytic site directed moiety (CSDM) of the formula: ##STR1## wherein X is hydrogen or is characterized by a backbone chain consisting of from 1 to 100 atoms; R.sub.1 is selected from the group consisting of unsubstituted, mono-substituted, di-substituted and tri-substituted saturated ring structures; R.sub.2 is a bond or is characterized by a backbone chain consisting of from 1 to 5 atoms; R.sub.3 is a bond or is characterized by a backbone chain consisting of from 1 to 3 atoms; R.sub.4 is any amino acid; R.sub.5 is any L-amino acid which comprises a guanidinium- or amino-containing side chain group; R.sub.6 is a non-amide bond; and Y is characterized by a backbone chain consisting of from 1 to 9 atoms; or the formula: ##STR2## wherein R.sub.1 ' is selected from the group consisting of unsubstituted, mono-substituted, di-substituted and tri-substituted ring structures; R.sub.4 ' is any amino acid comprising a side chain group characterized by the capacity to accept a hydrogen bond at a pH of between about 5.5 and 9.5; and X, R.sub.2, R.sub.3, R.sub.5, R.sub.6 and Y are defined as above. Preferred thrombin inhibitors are further characterized by a anion binding exosite associating domain (ABEAM) and a linker portion of between 13 .ANG. and 42 .ANG. in length which connects the Y to the ABEAM.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 164 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1996038470 PCTFULL ED 20020514

TITLE (ENGLISH): IMIDAZO[1,5a]PYRIDINE DERIVED SERINE PROTEASE INHIBITORS

TITLE (FRENCH): INHIBITEURS DE SERINE PROTEASE DERIVES DE IMIDAZO[1,5A]PYRIDINE

INVENTOR(S): OTTENHEYM, Henricus, Carl, Joseph; ADANG, Anton, Egbert, Peter; PETERS, Jacobus, Albertus, Maria

PATENT ASSIGNEE(S): AKZO NOBEL N.V.; OTTENHEYM, Henricus, Carl, Joseph; ADANG, Anton, Egbert, Peter; PETERS, Jacobus, Albertus, Maria

LANGUAGE OF PUBL.: German

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9638470	A1	19961205

DESIGNATED STATES

AU BE CA CN CZ HU JP KR MX NO NZ PL RU SG TR US AT BE  
 CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 1996-EP1298 A 19960529

PRIORITY INFO.: AT 1995-95201448.4 19950602

ABEN The invention relates to an imidazo[1,5a]pyridine derived serine protease inhibitor comprising a unit having general formula (I) wherein R1 is hydrogen, lower alkyl or an acyl group; R2 is hydrogen or lower alkyl; R3 and R4 are independently hydrogen, lower alkyl or together form =CH-NR5R6, R5 and R6 being lower alkyl. The compounds are serine protease inhibitors and can be used for the treatment and prophylaxis of thrombosis and thrombin-associated diseases.

ABFR Cette invention concerne un inhibiteur de serine protease derive de imidazo[1,5a]pyridine, lequel inhibiteur comprend une unite correspondant a la formule generale (I) ou R1 represente hydrogene, alkyle inferieur ou un groupe acyle; R2 represente hydrogene ou alkyle inferieur; R3 et R4 representent independamment hydrogene, alkyle inferieur ou forment ensemble =CH-NR5R6, R5 et R6 representant un alkyle inferieur. Ces composees sont des inhibiteurs de serine protease et peuvent

etre utilises dans le traitement et la prevention de thromboses et de  
maladies associees a la  
thrombine.

L104 ANSWER 165 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1996030035 PCTFULL ED 20020514  
TITLE (ENGLISH): 'beta'-SHEET MIMETICS AND USE THEREOF AS INHIBITORS OF  
BIOLOGICALLY ACTIVE **PEPTIDES** OR PROTEINS  
TITLE (FRENCH): IMITATEURS DE FEUILLETS 'beta' ET LEUR EMPLOI COMME  
INHIBITEURS DE **PEPTIDES** OU DE PROTEINES  
BIOLOGIQUEMENT ACTIFS  
INVENTOR(S): KAHN, Michael  
PATENT ASSIGNEE(S): MOLETCOMETICS LTD.; KAHN, Michael  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 96030035	A1	19961003
DESIGNATED STATES	AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN KE LS MW SD SZ US AM AZ BY KG KZ MD EU TJ TM AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1996-US4044	A	19960305
PRIORITY INFO.:	US 1995-8/410,518		19950304
	US 1995-8/549,006		19951027
ABEN	There are disclosed 'beta'-sheet mimetics and methods relating to the same for imparting or stabilizing the 'beta'-sheet structure of a <b>peptide</b> , protein or molecule. In one aspect, the 'beta'-sheet mimetics are covalently attached at the end or within the length of the <b>peptide</b> or protein. The 'beta'-sheet mimetics have utility as inhibitors of one or more of proteases, kinases, CAAX, <b>peptides</b> binding to SH2 domains and MHC-I and/or MHC-II presentation of <b>peptides</b> to T cell receptors in warm-blooded animals.		
ABFR	La presente invention concerne des mimetiques de feuillets 'beta' et des procedes s'y rapportant permettant de communiquer ou stabiliser la structure en feuillets 'beta' d'un <b>peptide</b> , d'une proteine ou d'une molecule. Dans l'une des variantes, les mimetiques de feuillets 'beta' sont fixes par covalence a l'extremite du <b>peptide</b> ou de la proteine, ou entre ses extremités. Ces imitateurs de feuillets 'beta' conviennent comme inhibiteurs d'une ou plusieurs proteases, kinases, CAAX, de <b>peptides</b> se liant a des domaines SH2 et de la presentation MHC-I et/ou MHC-II de <b>peptides</b> a des recepteurs de cellules T chez les animaux a sang chaud.		

L104 ANSWER 166 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1996025427 PCTFULL ED 20020514  
TITLE (ENGLISH): SERINE PROTEASE INHIBITORS  
TITLE (FRENCH): INHIBITEURS DE SERINES PROTEASES  
INVENTOR(S): GREEN, Donovan, St. Clair; ELGENDY, Said, Mohammed,  
Anwr, Ahmed; PATEL, Geeta; SCULLY, Michael, Finbarr;  
GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir;  
DEADMAN, John, Joseph  
PATENT ASSIGNEE(S): ELLERMAN PHARMACEUTICALS LIMITED; GREEN, Donovan, St.  
Clair; ELGENDY, Said, Mohammed, Anwr, Ahmed; PATEL,  
Geeta; SCULLY, Michael, Finbarr; GOODWIN, Christopher,  
Andrew; KAKKAR, Vijay, Vir; DEADMAN, John, Joseph

LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

WD 9625427 A1 19960822

DESIGNATED STATES AU CA JP NZ US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WD 1996-35353 A 19960215

PRIORITY INFO.: GB 1995-9502985.6 19950216

ABEN **Peptide** inhibitors of serine proteases, especially thrombin, in which the P1-P2 natural amide linkage is replaced by another bond. Exemplary thrombin inhibitors are of the formula:  
X-(aa3)-(aa2)-'psi'-(aa1)-Z wherein X is H or a substituent on the N-terminal amino group, aa3 is a hydrophobic amino acid such as Phe, aa2 is Pro, aa1 is Arg or an Arg **analogue** such as methoxypropylglycyl, Z is -COOH or a heteroatom acid group, such as boronate, or a derivative of either, and 'PSI' is a non-amide linkage, typically containing up to 5 in-chain atoms, such as -CO2-, -CH2O-, NHCO- or -CH2-CH2-.

ABFR On decrit des inhibiteurs peptidiques de serines proteases, notamment la thrombine, dans lesquels la liaison amide naturelle P1-P2 est remplacee par une autre liaison. A titre d'exemple, on decrit des inhibiteurs de thrombine possedant la formule X-(aa3)-(aa2)-'PSI'-(aa1)-Z dans laquelle X represente H ou un substituant sur le groupe amino N-terminal, aa3 represente un acide amine hydrophobe tel que Phe, aa2 represente Pro, aa1 represente Arg ou un **analogue** de Arg tel que methoxypropylglycyle, Z represente -COOH ou un groupe acide d'heteroatomes, tel qu'un boronate, ou un derive de l'un ou de l'autre, et 'PSI' represente une liaison non amide, contenant typiquement jusqu'a 5 atomes en chaine, tels que -CO2-, -CH2O-, NHCO- ou -CH2-CH2-.

L104 ANSWER 167 OF 189 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1996013274 PCTFULL ED 20020514

TITLE (ENGLISH): PROCESS FOR PRODUCTION OF INHIBITED FORMS OF ACTIVATED BLOOD FACTORS

TITLE (FRENCH): PROCEDE DE PRODUCTION DE FORMES INHIBEES DE FACTEURS SANGUINS ACTIVES

INVENTOR(S): KING, Robert, S.

PATENT ASSIGNEE(S): COP THERAPEUTICS, INC.

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WD 9613274 A1 19960509

DESIGNATED STATES CA JP MX AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WD 1995-US13949 A 19951027

PRIORITY INFO.: US 1994-9/330,973 19941028

ABEN A process, as shown in the figure, for producing a highly purified preparation of an inhibited form of an activated blood factor entails providing a partially purified preparation containing the blood factor of interest, treating the partially purified preparation to convert the blood factor to an inhibited activated form in a single step, and then purifying the resulting inhibited activated

blood factor.

ABFR L'invention concerne un procede, comme illustre dans la figure, qui permet d'obtenir une preparation des plus pures d'une forme inhibee d'un facteur de coagulation sanguine, consistant a produire une preparation partiellement purifiee contenant le facteur en question, a traiter cette preparation partiellement purifiee pour transformer en une seule etape le facteur de coagulation en une forme inhibee et, ensuite, a purifier le facteur active inhibe qui en resulte.

L104 ANSWER 168 OF 199 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1996064373 PCTFULL ED 20020514

TITLE (ENGLISH): RECOMBINANT PRODUCTION OF BIOLOGICALLY ACTIVE  
**PEPTIDES** AND PROTEINS

TITLE (FRENCH): PRODUCTION PAR RECOMBINAISON DE **PEPTIDES** ET  
PROTEINES BIOLOGIQUEMENT ACTIVES

INVENTOR(S): WILLIAMS, Jon, I.; PIERCE, James, C.; ANDERSON, G.,  
Mark; KARI, Prasad

PATENT ASSIGNEE(S): MAGAININ PHARMACEUTICALS, INC.

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 9604373	A2	19960215
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DESIGNATED STATES AU CA JP KR AT BE CH DE DK ES FR GB GR IE IT LU MC NL  
PT SE

APPLICATION INFO.: WO 1995-US10219 A 19950726

PRIORITY INFO.: US 1994-8/282,030 19940729

ABEN The present invention relates to the recombinant production of amphiphilic **peptides** with biologically and therapeutically significant activities. In one embodiment, this invention relates to recombinantly producing an amphiphilic **peptide** by providing a protease-deficient microbial host transformed with an expression vector containing DNA that encodes the amphiphilic **peptide** under the control of a regulatory sequence operable in the microbial host and expressing the amphiphilic **peptide** in the transformed microbial host. In another embodiment, this invention relates to providing an E. coli protease-deficient K-12 cell transformed with a vector that expresses a cleavable fusion protein comprising at least part of a carbohydrate binding protein and the amphiphilic **peptide** in the cell, expressing the fusion protein in the cell, and cleaving the fusion protein to obtain the amphiphilic **peptide** substantially free of carbohydrate binding protein residues. The biologically active amphiphilic **peptide** so produced can be further treated chemically or enzymatically to obtain a chemically distinct amphiphilic **peptide** with improved biological and therapeutic properties.

ABFR L'invention concerne la production par recombinaison de **peptides** amphiphiles qui presentent des activites biologiques et therapeutiques significatives. Une variante de cette invention concerne la production par recombinaison d'un **peptide** amphiphile, qui consiste a produire un hote microbien presentant une deficiencie en protease, transforme a l'aide d'un vecteur d'expression contenant un ADN codant de **peptide** amphiphile sur commande d'une sequence regulatrice qu'on peut activer dans



l'hôte microbien, et a exprimer ce **peptide** amphiphile dans l'hôte microbien transformé. Une autre variante de l'invention consiste à prendre une cellule K-12 d'E. coli, présentant une déficience en protéase, transformée à l'aide d'un vecteur qui exprime une protéine de fusion qu'on peut couper et qui comprend au moins une partie d'une protéine se liant à un glucide et le **peptide** amphiphile présent dans la cellule, à exprimer cette protéine de fusion dans la cellule et à la couper pour donner un **peptide** amphiphile pratiquement dépourvu de résidu de la protéine se liant à un glucide. Le **peptide** amphiphile biologiquement actif ainsi obtenu peut alors être traité par voie chimique ou enzymatique, ce qui permet d'obtenir un **peptide** amphiphile chimiquement différent doté de propriétés biologiques et thérapeutiques améliorées.

L104 ANSWER 169 OF 189 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 199600541 PCTFULL ED 20020614  
 TITLE (ENGLISH): AN EXTERNAL URINARY CATHETER AND A HOSE CONNECTOR FOR CONNECTION THEREWITH  
 TITLE (FRENCH): CATHETER EXTERNE POUR LES URINES ET RACCORD DE CONDUITE DESTINE A CE CATHETER  
 INVENTOR(S): JENSEN, Thomas, Dam  
 PATENT ASSIGNEE(S): COLOPLAST A/S; JENSEN, Thomas, Dam  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9600541	A1	19960111

#### DESIGNATED STATES

AM	AT	AU	BB	BG	BR	BY	CA	CH	CN	CZ	DE	DK	EE	ES	FI	FR	GB	GE	HU	IS	JP	KE	KG	KP	KR	KZ	LK	LR	LT	LU	LV	MD	MG	MN	MW	MX	NO	NZ	PL	PT	RO	RU	SD	SE	SG	SI	SK	TJ	TM	TT	UA	US	UZ	VN	KE	MW	SD	SZ	UG	AT	BE	CH	DE	DK	ES	FR	GB	GR	IE	IT	LU	MC	NL	PT	SE	BF	BJ	CF	CG	CI	CM	GA	GN	ML	MR	NE	SN	TD	TG
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APPLICATION INFO.: WO 1995-DK234 A 19950612  
 PRIORITY INFO.: DK 1994-774/94 19940629

ABEN An external catheter comprises a sheath essentially formed as a shaft and a constricted drainage tube part (1) integrated therewith for connection with a hose connector (5) which is connected to a draining hose. In order to facilitate the mounting of the drainage tube part (1) on the hose connector (5), an end portion of the drainage tube part at the orifice thereof is divided into at least two sections (2-3).

ABFR Un catheter externe pour les urines comprend une gaine venue d'une piece avec une partie resserree (1) formant un tube de drainage, ce systeme etant raccorde par un raccord (5) a une conduite de drainage. Pour faciliter le montage de la partie resserree (1) formant un tube de drainage sur le raccord (5), une portion terminale du tube de drainage au niveau de son orifice est divisee en deux sections (2-3) au moins.

L104 ANSWER 170 OF 189 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 499670 EUROPATEFULL EW 199617 F3 P3  
 TITLE: NOVEL INHIBITORS OF THROMBIN.

NEUE THROMBININHIBITOREN.  
 NOUVEAUX INHIBITEURS DE THROMBINE.

INVENTOR(S): MARAGANORE, John, M., 84 Patrick Road, Tewksbury, MA 01876, US;  
 FENTON, John, W., II, P.O. Box 37 Route 66, Maiden Bridge, NY 12115, US;  
 KLINE, Timi, 47 Hayes Street, Cambridge, MA 02139, US

PATENT ASSIGNEE S: BIOGEN, INC., 14 Cambridge Center, Cambridge Massachusetts 02142, US;  
 HEALTH RESEARCH INCORPORATED, 66 Haskett Boulevard, 3rd Floor, Albany NY 12219, US

PATENT ASSIGNEE NO: 1149451; 522424

AGENT: VOSSMUS & PARTNER, Postfach 46 17 67, D-81634 Muenchen, DE

AGENT NUMBER: 100311

OTHER SOURCE: EPB1996002 EP 0489970 B1 260424

SOURCE: Wila-EPS-1996-H17-T1

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES: F AT; F BE; F CH; F DE; F DK; F ES; F FR; F GB; F IT; F LI; F LU; F NL; F SE

PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 439070	B1	19960414
		19920610
APPLICATION INFO.: EP 1990-912754		19900917
PRIORITY APPLN. INFO.: US 1989-395482		19890318
US 1990-549389		19900706
RELATED DOC. INFO.: WO 90-US4642	900917	INTAKZ
WO 9102750	910307	INTENR
REFERENCE PAT. INFO.: EP 276014 A	EP 291781	A
EP 291931 A	EP 333356	A
EP 341607 A	EP 357042	A
WO 79-00638 A		

REF. NON-PATENT-LIT.: Chemical Abstracts, volume 107, no. 11, 14 September 1987, (Columbus, Ohio, US), Krstenansky, John L. et al.: "Anticoagulant peptides. Nature of the interaction of the C-terminal region of hirudin with a noncatalytic binding site on thrombin", see page 733, abstract 97113c, & J. Med. Chem. 1987, 30( 9), 1688-169 Chemical Abstracts, volume 108, no. 19, 9 May 1988, (Columbus, Ohio, US), Owen, Thomas J. et al.: "N-Terminal requirements of small peptide anticoagulants based on hirudin", see page 701, abstract 167961z, & J. Med. Chem. 1988, 31( 5), 1009-101 The Journal of Biological Chemistry, Vol. 264, No. 15, May 1989 John M. Maraganore et al.: "Anticoagulant Activity of Synthetic Hirudin Peptides" Thrombosis and Haemostasis, Vol. 63, No. 2, 1990 John L. Krstenansky et al.: "Development of MDL 28,051, a Small Stable Antithrombin AgentBased on a Functional Domain of the Leech Protein, Hirudin" Blood, Vol. 75, No. 2, January 1990 Joseph A. Jakubowski et al.: "Inhibition of Coagulation and Thrombin-Induced Platelet Activities by a Synthetic Dodecapeptide Modeled on the Carboxy-Terminus of Hirudin"

LI94 ANSWER 171 OF 199 USPATFULL

ACCESSION NUMBER: 95:71950 USPATFULL

TITLE: Method of treatment of neurodegeneration with calpain inhibitors

INVENTOR(S): Bartus, Raymond T., Laguna Hills, CA, United States  
 Eveleth, David D., Irvine, CA, United States

PATENT ASSIGNEE(S): Power, James C., Atlanta, GA, United States  
Cortex Pharmaceuticals, Irvine, CA, United States (U.S. corporation)  
Georgia Tech Research Corporation (GTRC), Atlanta, GA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6444042		19950622
APPLICATION INFO.:	US 1994-207861		19940307 (3)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1991-816129, filed on 27 Dec 1991, now abandoned which is a continuation-in-part of Ser. No. US 1991-681925, filed on 9 Apr 1991, now abandoned which is a continuation of Ser. No. US 1990-635952, filed on 28 Dec 1990		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Beisner, William H.  
ASSISTANT EXAMINER: Gitomer, Ralph  
LEGAL REPRESENTATIVE: Knobke Martens Olson & Bear  
NUMBER OF CLAIMS: 35  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 9 Drawing Figure(s); 8 Drawing Page(s)  
LINE COUNT: 4963

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method of treating a neurodegenerative pathology in a human patient. This method includes selecting a patient for monitoring for the presence of a neurodegenerative pathology associated with enhanced Calpain activity and monitoring the patient for indicia of the onset or existence of such a pathology. In response to the detection of any such indicium of the presence or onset of the pathology, a therapeutically efficacious amount of a **Peptide** Ketoamide compound, or a pharmaceutically acceptable salt or derivative thereof, together with a pharmaceutically acceptable carrier is administered. The invention also provides additional methods of treatment and pharmaceutical compositions using **Peptide** Ketoamides, **Peptide** Ketoacids and **Peptide** Ketobesters.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 172 OF 189 USPATFULL  
ACCESSION NUMBER: 95:69093 USPATFULL  
TITLE: Method of inhibiting blood coagulation in extracorporeal circulation by inhibiting human tissue factor  
INVENTOR(S): Edgington, Thomas S., La Jolla, CA, United States  
Colman, Robert W., Moylan, PA, United States  
Kappelmayer, Janos, Debrecen, Hungary  
Edmunds, Jr., L. Henry, Bryn Mawr, PA, United States  
Bernabei, Alvis, Philadelphia, PA, United States  
PATENT ASSIGNEE(S): The Scripps Research Institute, La Jolla, CA, United States (U.S. corporation)  
Trustees of the University of Pennsylvania, Philadelphia, PA, United States (U.S. corporation)  
Temple University - Of the Commonwealth Systems of Higher Education, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5137864		19950801
APPLICATION INFO.:	US 1992-277281		19921116 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1988-165939, filed on 9 Mar 1988, now patented, Pat. No. US 5223427 which		

is a continuation-in-part of Ser. No. US 1987-67103,  
filed on 25 Jun 1987, now patented, Pat. No. US 5119730  
which is a continuation-in-part of Ser. No. US  
1987-31147, filed on 31 Mar 1987, now abandoned

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Mucker, Christine M.  
ASSISTANT EXAMINER: Cunningham, T.  
LEGAL REPRESENTATIVE: Spensley Horn Jubas & Lubitz  
NUMBER OF CLAIMS: 2  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 31 Drawing Figure(s); 23 Drawing Page(s)  
LINE COUNT: 3505

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention provides a method of inhibiting coagulation in extracorporeal circulation in a subject, comprising administration of a therapeutically effective amount of a monoclonal antibody which inhibits the ability of tissue factor to bind to factor VII/VIIa. The method prevents complex formation between tissue factor and factor VII/VIIa and thus inhibits coagulation of blood in extracorporeal procedures such as cardiopulmonary bypass and other shunt procedures. Anti-tissue factor monoclonal antibodies produced by hybridoma cell lines TFS-5G9 or TFS-6B4 may be used in the claimed methods.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 173 OF 189 USPATEFULL  
ACCESSION NUMBER: 95:64843 USPATEFULL  
TITLE: Fibrinolytic and anti-thrombotic cleavable dimers  
INVENTOR(S): Dawson, Keith, Marlow, United Kingdom  
Hunter, Michael G., Aylesbury, United Kingdom  
Czaplewski, Lloyd G., Didcot, United Kingdom  
PATENT ASSIGNEE(S): British Bio-Technology Limited, Oxford, England  
(non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5434073		19950718
	WO 9109125		19910627
APPLICATION INFO.:	US 1992-354596		19920603 (7)
	WO 1990-GB1911		19901207
			19920603 PCT 371 date
			19920603 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1989-27722	19891207
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Walsh, Stephen G.	
LEGAL REPRESENTATIVE:	Allegretti & Witcoff, Ltd.	
NUMBER OF CLAIMS:	1	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	7 Drawing Figure(s); 7 Drawing Page(s)	
LINE COUNT:	2191	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Relatively inactive fusion proteins are activatable by enzymes of the clotting cascade to have fibrinolytic and/or clot formation **inhibition** activity. For example, a fusion protein comprising two hirudin or streptokinase molecules, linked by a cleavable linkage sequence, may be cleaved to yield anti-thrombotic hirudin or fibrinolytic streptokinase by thrombin or **Factor Xa**. Fibrinolytic or clot formation **inhibition** activity is therefore directed to the site of clot formation. Cleavable streptokinase/hirudin heterodimers are claimed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 174 OF 189 USPATEFULL  
ACCESSION NUMBER: 95:64711 USPATEFULL  
TITLE: Inhibitors of thrombin  
INVENTOR(S): Maraganore, John M., Concord, MA, United States  
Fertin, II, John W., Malden Bridge, NY, United States  
Kline, Toni, Cambridge, MA, United States  
PATENT ASSIGNEE(S): Biogen, Inc., Cambridge, MA, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5433940		19950713
	WO 9102750		19910307
APPLICATION INFO.:	US 1992-834259		19920210 (7)
	WO 1990-US4642		19900817
			19920210 PCT 371 date
			19920210 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1990-549388, filed on 6 Jul 1990, now patented, Pat. No. US 5196404, issued on 23 Mar 1993 which is a continuation-in-part of Ser. No. US 1989-395482, filed on 18 Aug 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Warden, Jill		
ASSISTANT EXAMINER:	Prickril, Benet		
LEGAL REPRESENTATIVE:	Fish & Neave, Haley, Jr., James F., Marks, Andrew S.		
NUMBER OF CLAIMS:	17		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	22 Drawing Figure(s); 13 Drawing Page(s)		
LINE COUNT:	2609		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel biologically active molecules which bind to and inhibit thrombin. Specifically, these molecules are characterized by a thrombin anion-binding exosite association moiety (ABEAM); a linker portion of at least 18.ANG. in length; and a thrombin catalytic site-directed moiety (CSDM). This invention also relates to compositions, combinations and methods which employ these molecules for therapeutic, prophylactic and diagnostic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 175 OF 189 USPATEFULL  
ACCESSION NUMBER: 95:54297 USPATEFULL  
TITLE: Inhibitors of thrombin  
INVENTOR(S): Maraganore, John M., Tewksbury, MA, United States  
Jablonski, Jo-Ann M., Middleborough, MA, United States  
Bourdon, Paul R., Somerville, MA, United States  
PATENT ASSIGNEE(S): Biogen, Inc., Cambridge, MA, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5425934		19950429
APPLICATION INFO.:	US 1992-924549		19920731 (7)
RELATED APPLN. INFO.:	Division of Ser. No. US 1991-652929, filed on 9 Feb 1991, now patented, Pat. No. US 5241913, issued on 31 Aug 1993 which is a continuation-in-part of Ser. No. US 1990-549388, filed on 6 Jul 1990, now patented, Pat. No. US 5196404, issued on 23 Mar 1993 which is a continuation-in-part of Ser. No. US 1989-395482, filed on 18 Aug 1989, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Warden, Jill  
ASSISTANT EXAMINER: Salata, Carol A.  
LEGAL REPRESENTATIVE: Fish & Neave, Haley, Jr., James F., Marks, Andrew S.  
NUMBER OF CLAIMS: 1  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 3 Drawing Figures; 3 Drawing Pages;  
LINE COUNT: 1132

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel biologically active molecules which bind to and inhibit thrombin. These molecules comprise a catalytic site directed moiety (CSDM) of the formula: ##STR1## wherein X is hydrogen or is characterized by a backbone chain consisting of from 1 to 100 atoms; R.sub.1 is selected from the group consisting of unsubstituted, mono-substituted, di-substituted and tri-substituted saturated ring structures; R.sub.2 is a bond or is characterized by a backbone chain consisting of from 1 to 5 atoms; R.sub.3 is a bond or is characterized by a backbone chain consisting of from 1 to 3 atoms; R.sub.4 is any amino acid; R.sub.5 is any L-amino acid which comprises a guanidinium- or amino-containing side chain group; R.sub.6 is a non-amide bond; and Y is characterized by a backbone chain consisting of from 1 to 9 atoms; or the formula: ##STR2## wherein R'.sub.1 is selected from the group consisting of unsubstituted, mono-substituted, di-substituted and tri-substituted ring structures; R'.sub.4 is any amino acid comprising a side chain group characterized by the capacity to accept a hydrogen bond at a pH of between about 5.5 and 9.5; and X, R.sub.2, R.sub.3, R.sub.5, R.sub.6 and Y are defined as above. Preferred thrombin inhibitors are further characterized by a anion binding exosite associating domain (ABEAM) and a linker portion of between 18.ANG. and 42.ANG. in length which connects the Y to the ABEAM. This invention also relates to compositions, combinations and methods which employ these molecules for therapeutic, prophylactic and diagnostic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 176 OF 189 SCISEARCH COPYRIGHT 2002 ISI (R)

ACCESSION NUMBER: 95:598554 SCISEARCH

THE GENUINE ARTICLE: RT259

TITLE: **PEPTIDE-DERIVED TRANSITION-STATE ANALOG  
INHIBITORS OF THROMBIN - SYNTHESIS, ACTIVITY AND  
SELECTIVITY**

AUTHOR: JETTEN M (Reprint); PETERS C A M; VISSER A; GROOTENHUIS P D J; VANNISSEN J W; OTTENHEIJM H C J

CORPORATE SOURCE: NV ORGANOON, 5340 BH OSS, NETHERLANDS

COUNTRY OF AUTHOR: NETHERLANDS

SOURCE: BIOORGANIC & MEDICINAL CHEMISTRY, (AUG 1995) Vol. 3, No. 3, pp. 1099-1114.  
ISSN: 0968-0896.

DOCUMENT TYPE: Article; Journal

LANGUAGE: ENGLISH

REFERENCE COUNT: 48

\*ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS\*

AB In a study to combine the transition state **analogue** concept with the principle of catalytic site spanning, a series of **peptide**-derived transition state **analogue** (TSA) **inhibitors** of thrombin has been synthesized and tested. In the sequence H-D-Phe-Pro-Arg-Gly-OH (1) the Arg-Gly amide bond has been replaced by three classes of transition state **analogues**, being the ketomethylene, the hydroxyethylene and the hydroxymethylene amide bond replacements. Compound 12a, in which the amide bond has been replaced by the ketomethylene group, was found to be the most potent thrombin **inhibitor** of the series studied. Subsequently, penta- and hexapeptide sequences with good affinity for thrombin were developed, i.e. H-D-Phe-Pro-Arg-Gly-Phe-OH (16) and H-D-Phe-Pro-Arg-Gly-Phe-Lys-OH (26).

In these sequences the Arg-Gly amide bond was then replaced by the ketomethylene group. The resulting compounds 43a and 47a, respectively, were evaluated in vitro as **inhibitors** of thrombin and **factor Xa**. Compound 47a was found to be the most potent thrombin **inhibitor** of the series studied (K<sub>i</sub> = 19 nM). The combination of the transition state **analogue** concept and the principle of **peptide** elongation (tetrapeptide-hexapeptide) yields thrombin **inhibitors** of high potency and **selectivity**. The effects of these two alterations reinforce each other indicating a synergistic effect. This might be rationalized by entropy factors.

LI04 ANSWER 177 OF 189 USPTAFULL  
 ACCESSION NUMBER: 94:109010 USPTAFULL  
 TITLE: Aprotinin **analogues** and a process for the production thereof  
 INVENTOR(S): Morris, Kjeld, Hellerup, Denmark  
 Petersen, Lars O., Horsholm, Denmark  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5373090		19941213
	WO 8910374		19891102
APPLICATION INFO.:	US 1990-598737		19901119 (7)
	WO 1989-DK96		19890425
			19901119 PCT 371 date
			19901119 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	DK 1988-215488	19880426
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Draper, Garnette D.	
ASSISTANT EXAMINER:	Teng, Sally P.	
LEGAL REPRESENTATIVE:	Zelson, Steve T., Agris, Cheryl H.	
NUMBER OF CLAIMS:	6	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 5 Drawing Page(s)	
LINE COUNT:	758	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel aprotinin **analogues** having a selected inhibition profile against serine proteases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

LI04 ANSWER 178 OF 189 USPTAFULL  
 ACCESSION NUMBER: 94:106771 USPTAFULL  
 TITLE: Asp-Pro-Arg .alpha.-keto-amide enzyme inhibitors  
 INVENTOR(S): Webb, Thomas R., Encinitas, CA, United States  
 Miller, Todd A., Encinitas, CA, United States  
 Vlasuk, George P., Carlsbad, CA, United States  
 PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5371072		19941206
APPLICATION INFO.:	US 1992-962301		19921016 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Robinson, Douglas W.		
ASSISTANT EXAMINER:	Weber, Jon P.		

LEGAL REPRESENTATIVE: Lyon & Lyon  
NUMBER OF CLAIMS: 35  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)  
LINE COUNT: 1823

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Asp-Pro-Arg alpha-keto-amide derivatives, and their pharmaceutically acceptable salts and compositions, for use as antithrombotic agents in mammals are disclosed. The method of use of these inhibitor compounds for treatment or prevention of conditions of abnormal thrombus formation in mammals is also disclosed. Further disclosed are alpha-hydroxy amide compounds used as intermediates in the preparation of the keto-amide compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 179 OF 189 USPATFULL  
ACCESSION NUMBER: 94:93375 USPATFULL  
TITLE: Tissue factor mutants useful for the treatment of myocardial infarction and coagulopathic disorders  
INVENTOR(S): Roy, Soumitra, San Francisco, CA, United States  
Venar, Gordon A., San Carlos, CA, United States  
PATENT ASSIGNEE(S): Genentech, Inc., South San Francisco, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5346991		19940913
APPLICATION INFO.:	US 1991-714812		19910613 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Wax, Robert A.		
ASSISTANT EXAMINER:	Jacobson, Dian C.		
LEGAL REPRESENTATIVE:	Winter, Daryl B.		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	12 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	2407		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A tissue factor protein mutant capable of neutralizing the ability of endogenous tissue factor to induce coagulation is provided. A representative tissue factor mutant designated K165A, K166A TF is useful in a method for inhibiting thrombin-induced platelet aggregation in a mammal, either separately or in combination with a thrombolytic agent, an anticoagulant, or a GPII.sub.b III.sub.a inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 180 OF 189 EUROPATFULL COPYRIGHT 2002 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 333942 EUROPATFULL EW 199944 FS OS STA B  
TITLE: Aprotinin **analogues** and process for the production thereof.  
Aprotinin-Analoge und Verfahren zu ihrer Herstellung.  
**Analogues** d'aprotinin et procede pour leur production.  
INVENTOR(S): Norris, Kjeld, Ahlmanns Alle 34, DK-2900 Hellerup, DK;  
Petersen, Lars Christian, Havrevej 4, DK-2960 Horsholm, DK  
PATENT ASSIGNEE(S): NOVO-NORDISK A/S, Novo Alle, DK-2980 Bagsvaerd, DK  
PATENT ASSIGNEE NO: 1099510  
AGENT: Brown, John David et al, FORRESTER & BOEHMERT  
Widenmayerstrasse 4/I, D-8000 Muenchen 22, DE



AGENT NUMBER: 39911  
 OTHER SOURCE: ESPI989046 EP 0339942 A2 891102  
 SOURCE: Wila-EP2-1984-H44-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: E AT; E BE; E CH; E DE; E ES; E FR; E GB; E GR; E IT; E LI; E LU; E NL; E SE  
 PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG  
 PATENT INFORMATION:

	PATENT NO	KIND	DATE
'OFFENLEGUNGS' DATE:	EP 339942	A2	19891102
APPLICATION INFO.:	EP 1989-304122		19891102
PRIORITY APPLN. INFO.:	DK 1988-2254		19890425
			19890426

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 339942 EUROPATFULL EW 199412 FS PS STA B  
 TITLE: Aprotinin **analogues** and process for the production thereof.  
 Aprotinin-Analoge und Verfahren zu ihrer Herstellung.  
**Analogues** d'aprotinin et procede pour leur production.  
 INVENTOR(S): Norris, Kjeld, Ahlmanns Alle 34, DK-2900 Hellerup, DK;  
 Petersen, Lars Christian, Havrevej 4, DK-2960 Horsholm, DK  
 PATENT ASSIGNEE(S): NOVO NORDISK A/S, Novo Alle, DK-2880 Bagsvaerd, DK  
 PATENT ASSIGNEE NO: 231781  
 AGENT: Thalsoe-Madsen, Kine Birgit et al, c/o Novo Nordisk A/S  
 Novo Alle, DK-2880 Bagsvaerd, DK  
 AGENT NUMBER: 61421  
 OTHER SOURCE: EPB1994021 EP 0339942 B1 940323  
 SOURCE: Wila-EPS-1994-H12-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: E AT; E BE; E CH; E DE; E ES; E FR; E GB; E GR; E IT; E LI; E LU; E NL; E SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT  
 PATENT INFORMATION:

	PATENT NO	KIND	DATE
'OFFENLEGUNGS' DATE:	EP 339942	B1	19940323
APPLICATION INFO.:	EP 1989-304122		19891102
PRIORITY APPLN. INFO.:	DK 1988-2254		19890425
REFERENCE PAT. INFO.:	EP 132732 A	EP 238993	A
	EP 244627 A	EP 307592	A
REF. NON-PATENT-LIT.:	SCIENCE, vol. 235, 13th March 1987, pages 1370-1373; C.B. MARKS et al.: "Mutants of bovine pancreatic trypsin inhibitor lacking cysteines 14 and 38 can fold properly" BIOCHEMISTRY, vol. 16, no. 8, 19th April 1977, pages 1531-1541, The American Chemical Society; N.H.Tan et al.: "Synthesis and characterization of a pancreatic Trypsin inhibitor homologue and a model inhibitor"		

L104 ANSWER 181 OF 189 USPATEFULL  
 ACCESSION NUMBER: 23:72081 USPATEFULL  
 TITLE: Inhibitors of thrombin  
 INVENTOR(S): Maraganire, John M., Tewksbury, MA, United States  
 Calkinski, Jo-Ann M., Middleborough, MA, United States  
 Eourson, Paul R., Scitoville, MA, United States  
 PATENT ASSIGNEE(S): Bioten, Inc., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5240913		19930831
APPLICATION INFO.:	US 1991-652929		19910208 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1990-549389, filed on 6 Jul 1990 which is a continuation-in-part of Ser. No. US 1989-395492, filed on 19 Aug 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lee, Lester L.		
ASSISTANT EXAMINER:	Perkins, Susan M.		
LEGAL REPRESENTATIVE:	Pierri, Margaret A., Marks, Andrew S., Miraglia, Loretta A.		
NUMBER OF CLAIMS:	30		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1154		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel biologically active molecules which bind to and inhibit thrombin. These molecules comprise a catalytic site directed moiety (CDM) of the formula ##STR1## wherein X is hydrogen or is characterized by a backbone chain consisting of from 1 to 100 atoms; R.sub.1 is selected from the group consisting of unsubstituted, monosubstituted, di-substituted and tri-substituted saturated ring structures; R.sub.2 is a bond or is characterized by a backbone chain consisting of from 1 to 5 atoms; R.sub.3 is a bond or is characterized by a backbone chain consisting of from 1 to 3 atoms; R.sub.4 is any amino acid; R.sub.5 is any L-amino acid which comprises a guanidinium- or amino-containing side chain group; R.sub.6 is a non-amide bond; and Y is characterized by a backbone chain consisting of from 1 to 9 atoms; or the formula: ##STR2## wherein R'.sub.1 is selected from the group consisting of unsubstituted, mono-substituted, di-substituted and tri-substituted ring structures; R'.sub.4 is any amino acid comprising a side chain group characterized by the capacity to accept a hydrogen bond at a pH of between about 5.5 and 9.5; and X, R.sub.2, R.sub.3, R.sub.5, R.sub.6 and Y are defined as above. Preferred thrombin inhibitors are further characterized by a anion binding exosite associating domain (ABEAM) and a linker portion of between 18 .ANG. and 42 .ANG. in length which connects the Y to the ABEAM. This invention also relates to compositions, combinations and methods which employ these molecules for therapeutic, prophylactic and diagnostic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 182 OF 189 USPATEFULL  
 ACCESSION NUMBER: 93:52505 USPATEFULL  
 TITLE: Hybridomas producing monoclonal antibodies reactive with human tissue-factor glycoprotein heavy chain  
 INVENTOR(S): Edgington, Thomas S., La Jolla, CA, United States  
 Morrissey, James H., San Diego, CA, United States  
 PATENT ASSIGNEE(S): The Scripps Research Institute, La Jolla, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5222427		19930629
APPLICATION INFO.:	US 1987-165939		19880309 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1987-33047, filed on 31 Mar 1987 And Ser. No. US 1987-67103, filed on 25 Jun 1987		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Nicker, Christine		
ASSISTANT EXAMINER:	Cunningham, T.		
LEGAL REPRESENTATIVE:	Bingham, Douglas A.		

NUMBER OF CLAIMS: 6  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 22 Drawing Figure(s); 19 Drawing Page(s)  
LINE COUNT: 3075

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Murine hybridomas producing monoclonal antibodies capable of immunoreacting with hTTFh and polypeptide analogs are described. Also contemplated are immunologic methods for detecting huTF heavy chain in body fluid, detecting thrombotic events in vivo, isolating coagulation factor, and neutralizing VII/VIIa coagulation factor binding in vivo.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 183 OF 189 USPATFULL  
ACCESSION NUMBER: 93:00487 USPATFULL  
TITLE: Directed evolution of novel binding proteins  
INVENTOR(S): Ladner, Robert C., Ijamsville, MD, United States  
Guterman, Sonia K., Belmont, MA, United States  
Roberts, Bruce L., Milford, MA, United States  
Markland, William, Milford, MA, United States  
Ley, Arthur C., Newton, MA, United States  
Kent, Rachel B., Boxborough, MA, United States  
PATENT ASSIGNEE(S): Protein Engineering Corp., Cambridge, MA, United States  
(U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5023409		19930629
APPLICATION INFO.:	US 1491-664989		19910301 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1990-487063, filed on 2 Mar 1990, now abandoned And a continuation-in-part of Ser. No. US 1988-240160, filed on 2 Sep 1988, now abandoned		

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Hill, Jr., Robert J.  
ASSISTANT EXAMINER: Ulm, John D.  
LEGAL REPRESENTATIVE: Cooper, Iver P.  
NUMBER OF CLAIMS: 66  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 16 Drawing Figure(s); 16 Drawing Page(s)  
LINE COUNT: 19410

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In order to obtain a novel binding protein against a chosen target, DNA molecules, each encoding a protein comprising one of a family of similar potential binding domains and a structural signal calling for the display of the protein on the outer surface of a chosen bacterial cell, bacterial spore or phage (genetic package) are introduced into a genetic package. The protein is expressed and the potential binding domain is displayed on the outer surface of the package. The cells or viruses bearing the binding domains which recognize the target molecule are isolated and amplified. The successful binding domains are then characterized. One or more of these successful binding domains is used as a model for the design of a new family of potential binding domains, and the process is repeated until a novel binding domain having a desired affinity for the target molecule is obtained. In one embodiment, the first family of potential binding domains is related to bovine pancreatic trypsin inhibitor, the genetic package is M13 phage, and the protein includes the outer surface transport signal of the M13 gene III protein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 184 OF 189 USPATFULL  
ACCESSION NUMBER: 93:22699 USPATFULL

TITLE: Inhibitors of thrombin  
INVENTOR(S): Maraganore, John M., Concord, MA, United States  
Fenton, II, John W., Malden Bridge, NY, United States  
Kline, Toni, New York, NY, United States  
PATENT ASSIGNEE(S): Biogen, Inc., Cambridge, MA, United States (U.S.  
corporation)  
Health Research, Inc., Albany, NY, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5196404		19930323
APPLICATION INFO.:	US 1990-549388		19900706 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1989-395482, filed on 12 Aug 1989, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lee, Lester L.		
ASSISTANT EXAMINER:	Perkins, Susan M.		
LEGAL REPRESENTATIVE:	Haley, Jr., James F., Marks, Andrew S., Pierri, Margaret A.		
NUMBER OF CLAIMS:	37		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	22 Drawing Figure(s); 13 Drawing Page(s)		
LINE COUNT:	2541		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to novel biologically active molecules which bind to and inhibit thrombin. Specifically, these molecules are characterized by a thrombin anion-binding exosite association moiety (ABEAM); a linker portion of at least 18 .ANG. in length; and a thrombin catalytic site-directed moiety (CSDM). This invention also relates to compositions, combinations and methods which employ these molecules for therapeutic, prophylactic and diagnostic purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 185 OF 189 ADISALERTS COPYRIGHT 2002 (ADIS)

ACCESSION NUMBER: 1993:57163 ADISALERTS

DOCUMENT NUMBER: 800204109

TITLE: Reocclusion after thrombolytic therapy: strategies for  
inhibiting thrombin-induced platelet aggregation  
ADIS TITLE: Antithrombotics: pharmacodynamics.; Inhibition  
of thrombin-induced platelet aggregation after  
thrombolysis; Review (127 references)

AUTHOR: Furi R M; Colman R W

CORPORATE SOURCE: Temple University School of Medicine, Philadelphia,  
Pennsylvania, USA

SOURCE: Blood Coagulation and Fibrinolysis (Jun 1, 1993), Vol. 4,  
pp. 465-473

DOCUMENT TYPE: General Review

REFERENCE: Ischaemic Heart Disease (Summary): Alert no. 7, 1993;  
Antithrombotics (Summary): Alert no. 7, 1993

FILE SEGMENT: Summary

LANGUAGE: English

WORD COUNT: 534

L104 ANSWER 186 OF 189 USPATEFULL

ACCESSION NUMBER: 92:46449 USPATEFULL

TITLE: Serine protease inhibitors

INVENTOR(S): Glover, George I., Creve Coeur, MO, United States  
Schusteen, Charles S., University City, MO, United  
States

PATENT ASSIGNEE(S): Monsanto Company, St. Louis, MO, United States (U.S.  
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5157019		19921020
APPLICATION INFO.:	US 1991-728002		19910701 (7)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1986-200821, filed on 1 Jun 1986, now abandoned which is a continuation of Ser. No. US 1987-6725, filed on 6 Feb 1987, now abandoned which is a continuation-in-part of Ser. No. US 1986-940810, filed on 15 Mar 1986, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Chan, Y. Christina		
LEGAL REPRESENTATIVE:	Bennett, Dennis A.		
NUMBER OF CLAIMS:	25		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1961		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel **peptides** which exhibit inhibitory activity toward serine proteases and methods for preparing and using same are disclosed. In one aspect, the present invention provides **peptides** comprising a generic inhibitory core having a functional site recognition sequence fused to the N-terminus. The functional site recognition sequence is adapted to provide enhanced **selectivity** and/or potency for a target protease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 187 OF 189 USPATEFULL  
 ACCESSION NUMBER: 91:86794 USPATEFULL  
 TITLE: Affinity matrices of modified polysaccharide supports  
 INVENTOR(S): Hou, Kenneth C., Glastonbury, CT, United States  
 Liao, Tung-Ping D., Missouri City, TX, United States  
 Rohan, Robert, Columbia, CT, United States  
 PATENT ASSIGNEE(S): Cuno Inc., Meriden, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5059654		19911022
APPLICATION INFO.:	US 1989-311498		19890216 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1988-154815, filed on 11 Feb 1988, now abandoned which is a continuation-in-part of Ser. No. US 1987-130186, filed on 3 Dec 1987, now abandoned which is a continuation-in-part of Ser. No. US 1987-13512, filed on 27 Jan 1987, now abandoned which is a continuation-in-part of Ser. No. US 1984-656922, filed on 7 Oct 1984, now patented, Pat. No. US 4639513 which is a continuation-in-part of Ser. No. US 1984-576448, filed on 2 Feb 1984, now patented, Pat. No. US 4663163 which is a continuation-in-part of Ser. No. US 1983-466114, filed on 14 Feb 1983, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Nutter, Nathan M.		
NUMBER OF CLAIMS:	28		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 14 Drawing Page(s)		
LINE COUNT:	3381		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to a modified polysaccharide material which comprises: (1) polysaccharide covalently bonded to a synthetic polymer; (2) the synthetic polymer being made from (a) a polymerizable compound which is capable of being covalently coupled directly or indirectly to

said polysaccharide, and (b) one or more polymerizable compounds containing (i) a chemical group capable of causing the covalent coupling of the compound (b) to an affinity ligand or a biologically active molecule or (ii) a hydrophobic compound.

The invention is also directed to devices for the chromatographic separation of at least two components of a mixture comprising the modified polysaccharine material of the invention, wherein the device is configured for radial or tangential flow.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 188 OF 189 USPATEFULL  
ACCESSION NUMBER: 91:46695 USPATEFULL  
TITLE: Factor VII/VIIA active site inhibitors  
INVENTOR(S): Edgington, T. Scott, La Jolla, CA, United States  
Pepe, Michael G., San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas, Inc., San Diego, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5023236		19910611
APPLICATION INFO.:	US 1989-320559		19890313 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1988-173495, filed on 7 Apr 1988, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Lee, Lester L.		
LEGAL REPRESENTATIVE:	Greenlee and Associates		
NUMBER OF CLAIMS:	58		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1349		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention includes a class of compounds that inhibit the specific proteolytic activity of the bimolecular complex 1[TF:VII/VIIa] that initiates the blood coagulation systems. Both reversible and irreversible inhibitors are disclosed.

The invention encompasses the use of inhibitors of the active site of the factor VII and VIIa component of [TF:VII/VIIa] as diagnostic reagents, as analytical reagents, and as therapeutic drugs.

The invention includes the compounds based on the following general formula for both reversible and irreversible selective inhibition of [TF:VII/VIIa]. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L104 ANSWER 189 OF 189 USPATEFULL  
ACCESSION NUMBER: 92:6372 USPATEFULL  
TITLE: Substrates for the quantitative assay of enzymes and such assay  
INVENTOR(S): Yaron, Arie, Rehovot, Israel  
Carmel, Amos, Rehovot, Israel  
PATENT ASSIGNEE(S): Yeda Research and Development Co., Ltd., Rehovot, Israel (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4314936		19820209
APPLICATION INFO.:	US 1980-211794		19801201 (6)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1979-48260, filed on 13 Jun 1979, now abandoned		

	NUMBER	DATE
PRIORITY INFORMATION:	IL 1978-54940	19780616
	IL 1990-60989	19900821
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Phillips, Delbert R.	
LEGAL REPRESENTATIVE:	Browdy and Neimark	
NUMBER OF CLAIMS:	19	
EXEMPLARY CLAIM:	1	
LINE COUNT:	889	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB According to the present invention there are provided novel substrates for the determination of enzymes, and especially of the **peptide** hydrolases such as angiotensin-converting enzyme, trypsin and similar enzymes, and aminopeptidase-P, and to a process for the determination of these enzymes, which comprises contacting a biological fluid containing said enzyme with said substrate, which is cleaved, resulting in a pronounced fluorescence which is measured, thus giving a quantitative measure of the enzyme.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=>

=> S factor (w) Xa (s) inhibitor or inhibition (p) peptide (s) analogue?

L105 10 FILE USHATFULL  
L106 54 FILE PCTFULL  
L107 17 FILE EUROPEFULL  
L108 0 FILE CARLUS  
L109 10 FILE MEDLINE  
L110 10 FILE EMPASE  
L111 6 FILE SCISEARCH  
L112 7 FILE BIOSIS  
L113 0 FILE DRUGS

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L114 5 FILE BIOTECHNO  
L115 0 FILE USPATC

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L116 3 FILE PASCAL  
L117 0 FILE TOXCENTER

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L118 4 FILE ESIORASE  
L119 3 FILE IFIPAT  
L120 5 FILE WPIDS  
L121 1 FILE LIFESCI

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L122 1 FILE BABS  
L123 3 FILE JICST-EPLUS  
L124 0 FILE CANCERLIT  
L125 1 FILE BIOBUSINESS

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'XA) (S) '

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'PEPTIDE (S) ANALOGUE?'

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L126 0 FILE CASREACT  
L127 0 FILE AGRICOLA  
L128 0 FILE CABA  
L129 0 FILE CEN  
L130 0 FILE INVESTEXT  
L131 1 FILE PROMT  
L132 1 FILE ADISALERTS  
L133 0 FILE PHIN  
L134 0 FILE SYNTHLINE  
L135 0 FILE AQUASCI

PROXIMITY OPERATOR LEVEL NOT CONSISTENT WITH  
FIELD CODE - 'AND' OPERATOR ASSUMED 'HIBITION) (P) '

L136 0 FILE BIOTECHDS  
L137 0 FILE VETU

TOTAL FOR ALL FILES

L138 139 (FACTOR (W) XA) (S) (INHIBITOR OR INHIBITION) (P) (PEPTIDE (S)  
ANALOGUE?)

=> dup rem 1138

DUPLICATE IS NOT AVAILABLE IN 'INVESTEXT, SYNTHLINE'.  
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE  
PROCESSING COMPLETED FOR L138

L139 100 DUP REM L138 (39 DUPLICATES REMOVED)

=> d 1139 1-100 ibib aks

L139 ANSWER 1 OF 100 PCTFULL COPYRIGHT 2002 UniventioDUPLICATE 1  
ACCESSION NUMBER: 2002058734 PCTFULL ED 20020909 EW 200231



TITLE (ENGLISH): COMBINATIONS OF STEROL ABSORPTION INHIBITOR(S) WITH BLOOD MODIFIER(S) FOR TREATING VASCULAR CONDITIONS  
 TITLE (FRENCH): COMBINAISONS D'INHIBITEUR(S) D'ABSORPTION DES STEROLS ET DE MODIFICATEUR(S) SANGUIN(S) POUR LE TRAITEMENT DES TROUBLES VASCULAIRES  
 INVENTOR(S): KOSGLOU, Teddy; REES, Ridyard, Joseph; STRONY, John; VELTRI, Enrico, P.  
 PATENT ASSIGNEE(S): SCHERING CORPORATION  
 AGENT: CANNONI, Ann, Marie  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2002058734	A2	20010801
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CZ DE DK DM DZ EC EE ES FI GB GD GE HR HU ID IL IN IS JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX MZ NO NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA UZ VN YU ZA ZM ZW		
	AM AZ BY BG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2002-US2013 A 20020125  
 PRIORITY INFO.: US 2001-60/264,396 20010126  
 US 2001-60/264,600 20010126  
 US 2001-60/264,275 20010126  
 US 2001-60/324,123 20010921

ABEN The present invention provides compositions, therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor; and (b) at least one blood modifier, which can be useful for treating vascular conditions and lowering plasma levels of sterols.  
 ABFR L'invention concerne des compositions, des combinaisons therapeutiques et des procedes reposant sur l'utilisation: (a) d'au moins un inhibiteur d'absorption des sterols; et (b) d'au moins un modificateur sanguin. Ces compositions, combinaisons therapeutiques et procedes peuvent etre utiles pour le traitement des troubles vasculaires et pour la reduction du degre de concentration plasmique des sterols

L139 ANSWER 2 OF 100 USPATFULL

ACCESSION NUMBER: 2002:273409 USPATFULL  
 TITLE: Combinations of peroxisome proliferator-activated receptor (PPAR) activator(s) and sterol absorption inhibitor(s) and treatments for vascular indications  
 INVENTOR(S): Davis, Harry P., Berkeley Heights, NJ, UNITED STATES  
 Kosoglu, Teddy, Jamison, PA, UNITED STATES  
 Picard, Gilles J., Brussels, BELGIUM  
 PATENT ASSIGNEE(S): Schering Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002151536	A1	20021017
APPLICATION INFO.:	US 2002-57322	A1	20020125 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-264396P	20010126 (6)
	US 2001-323939P	20010921 (6)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1490), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-1530	
NUMBER OF CLAIMS:	101	

EXEMPLARY CLAIM: 1  
LINE COUNT: 5004

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compositions, therapeutic combinations and methods including: (a) at least one peroxisome proliferator-activated receptor activator; and (b) at least one substituted azetidine or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 3 OF 100 USPATFULL

ACCESSION NUMBER: 2002:272861 USPATFULL  
TITLE: Compositions and methods for the therapy and diagnosis of colon cancer  
INVENTOR(S): Stolck, John A., Bothell, WA, UNITED STATES  
Xu, Jiangchun, Bellevue, WA, UNITED STATES  
Chenault, Ruth A., Seattle, WA, UNITED STATES  
Meagher, Madeleine Joy, Seattle, WA, UNITED STATES  
PATENT ASSIGNEE(S): Corixa Corporation, Seattle, WA, UNITED STATES, 98104 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002150922	A1	20021017
APPLICATION INFO.:	US 2001-998598	A1	20011116 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-304037P	20010710 (60)
	US 2001-279670P	20010328 (60)
	US 2001-267011P	20010206 (60)
	US 2000-252222P	20001120 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092  
NUMBER OF CLAIMS: 17  
EXEMPLARY CLAIM: 1  
LINE COUNT: 9233

AB Compositions and methods for the therapy and diagnosis of cancer, particularly colon cancer, are disclosed. Illustrative compositions comprise one or more colon tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly colon cancer.

L139 ANSWER 4 OF 100 USPATFULL

ACCESSION NUMBER: 2002:243051 USPATFULL  
TITLE: Compositions and methods for the therapy and diagnosis of ovarian cancer  
INVENTOR(S): Algate, Paul A., Issaquah, WA, UNITED STATES  
Jones, Robert, Seattle, WA, UNITED STATES  
Harlocker, Susan L., Seattle, WA, UNITED STATES  
PATENT ASSIGNEE(S): Corixa Corporation, Seattle, WA, UNITED STATES, 98104 (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002132237	A1	20020919
APPLICATION INFO.:	US 2001-967791	A1	20010529 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-207484P	20000928 1600
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092	
NUMBER OF CLAIMS:	11	
EXEMPLARY CLAIM:	1	
LINE COUNT:	25713	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compositions and methods for the therapy and diagnosis of cancer, particularly ovarian cancer, are disclosed. Illustrative compositions comprise one or more ovarian tumor polypeptides, immunogenic portions thereof, polynucleotides that encode such polypeptides, antigen presenting cell that expresses such polypeptides, and T cells that are specific for cells expressing such polypeptides. The disclosed compositions are useful, for example, in the diagnosis, prevention and/or treatment of diseases, particularly ovarian cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 5 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2002077155 PCTFULL ED 20021011 EW 200240  
 TITLE (ENGLISH): KERATINOCYTE GROWTH FACTOR-2  
 TITLE (FRENCH): FACTEUR DE CROISSANCE DES KERATINOCYTES-2  
 INVENTOR(S): RUBEN, Steven, M.; JIMENEZ, Pablo; DUAN, D., Roxanne; RAMPY, Mark, A.; MENDRICK, Donna; ZHANG, Jun; NI, Jian; MOORE, Paul, A.; COLEMAN, Timothy, A.; GRUBER, Joachim, R.; DILLON, Patrick, J.; GENTZ, Reiner, L.  
 PATENT ASSIGNEE(S): HUMAN GENOME SCIENCES, INC., for all designates States except US; RUBEN, Steven, M., for US only; JIMENEZ, Pablo, for US only; DUAN, D., Roxanne, for US only; RAMPY, Mark, A., for US only; MENDRICK, Donna, for US only; ZHANG, Jun, for US only; NI, Jian, for US only; MOORE, Paul, A., for US only; COLEMAN, Timothy, A., for US only; GRUBER, Joachim, R., for US only; DILLON, Patrick, J., for US only; GENTZ, Reiner, L., for US only  
 AGENT: STEFFE, Eric, K.  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2002077155	A2	20021003
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR CU CS DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RD RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH GM KE LS MW MZ SD SL SE SZ TZ UG ZM ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GR GE IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2002-US101	A	200209104
PRIORITY INFO.:	US 2001-60/359,853		20010103
	US 2001-60/286,368		20010426
	US 2001-60/331,168		20011109

ABEN This invention relates to newly identified polynucleotides, polypeptides encoded by such polynucleotides, the use of such polynucleotides and polypeptides, as well as the production of such polynucleotides and polypeptides. More particularly, the polypeptide of the present

invention is a Keratinocyte Growth Factor, sometimes hereinafter referred to as KGF-2 also formerly known as Fibroblast Growth Factor 12 (FGF-12). This invention further relates to the therapeutic use of KGF-2 to promote or accelerate wound healing. This invention also relates to novel mutant forms of KGF-2 that show enhanced activity, increased stability, higher yield or better solubility.

ABFR La présente invention concerne des polynucleotides, des polypeptides ainsi que des polynucleotides nouvellement identifiés, l'utilisation de ces polynucleotides et polypeptides, ainsi que la production de ces polynucleotides et polypeptides. Plus précisément, le polypeptide de la présente invention est un facteur de croissance des keratinocytes, parfois signalé ci-dessus sous le nom générique de s#x2064; KGF-2 s#x2064; et également connu sous le nom générique de facteur de croissance des fibroblastes 12 (FGF-12). La présente invention concerne également l'utilisation thérapeutique du KGF-2 pour promouvoir ou accélérer la cicatrisation. La présente invention concerne également de nouvelles formes mutantes du KGF-2 présentant une activité améliorée, une plus grande stabilité, un meilleur rendement ou une meilleure solubilité.

L139 ANSWER 6 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2002063017 PCTFULL ED 20020827 EW 200233  
 TITLE (ENGLISH): INTEGRIN-BINDING CHIMERAS  
 TITLE (FRENCH): CHIMERES POUVANT SE LIER A L'INTEGRINE  
 INVENTOR(S): LU, Xingjie; KAKKAR, Vijay, Vir  
 PATENT ASSIGNEE(S): TRIGEN LIMITED, for all designated States except US;  
 LU, Xingjie, for US only; KAKKAR, Vijay, Vir, for US only  
 AGENT: HARRISON GODDARD FOOTE  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2002063017	A2	20020915

#### DESIGNATED STATES

AE	AG	AL	AM	AT	AU	AZ	BA	BB	BG	BR	BY	BZ	CA	CH	CN	CO	CR	CU	CZ	DE	DK	DM	DO	EE	ES	FI	GB	GD	GE	GH	GM	HR	HU	ID	IL	IN	IS	JP	KE	KG	KR	KZ	LC	LK	LR	LS	LT	LU	LV	MA	MD	MG	MK	ML	MW	MX	MZ	NO	NC	OM	PH	PL	PT	RO	RU	SD	SE	SG	SI	SK	SL	TJ	TM	TN	TR	TT	TZ	UA	UG	US	UZ	VN	VU	ZA	ZM	ZW	GH	GM	KE	LS	MW	MZ	SD	SL	SC	TZ	UG	ZM	ZW	AM	AZ	BY	KG	KZ	MD	RU	TJ	TM	AT	BE	CH	CY	DE	DK	ES	FI	FR	GB	GR	IE	IT	LU	MC	NL	PT	SE	TR	BE	BJ	CF	CG	CI	CM	GA	GN	GQ	GW	ML	MR	NE	SN	TD	TG
----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----	----

APPLICATION INFO.: WO 2002-GB500 A 20020205  
 PRIORITY INFO.: US 2001-60/267,234 20010205

ABEN Products which contain two interlinked functional moieties of which one is an integrin-binding protein (e.g. a snake venom protein) or a homologue thereof. The products comprise a first portion which is an integrin-binding protein, a homologue thereof having a binding activity or a fragment of either which has integrin-binding activity, and, ligated to the first portion, a second portion which has a different function.

ABFR L'invention concerne des produits qui contiennent deux fragments fonctionnels entrelacés dont l'un est une protéine de liaison à l'intégrine (p. ex. une protéine du venin du serpent) ou un homologue de ladite protéine. Ces produits comprennent une première partie qui est une protéine de liaison à l'intégrine, un homologue de ladite protéine ayant une activité de liaison, ou un fragment de l'un ou l'autre ayant une activité de liaison à l'intégrine; et une seconde partie liée à la première partie et ayant une fonction différente.

L139 ANSWER 7 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2002059733 PCTFULL ED 20020809 EW 200231

TITLE (ENGLISH): COMBINATIONS OF BILE ACID SEQUESTERANT(S) AND STEROL ABSORPTION INHIBITOR(S) AND TREATMENTS FOR VASCULAR INDICATIONS

TITLE (FRENCH): COMBINAISONS DE CHELATEUR(S) DES ACIDES BILIAIRES ET D'INHIBITEUR(S) D'ABSORPTION DES STEROLS, ET TRAITEMENTS POUR TROUBLES VASCULAIRES

INVENTOR(S): DAVIS, Harry, R.; KOSIGLOU, Teddy

PATENT ASSIGNEE(S): SCHERING CORPORATION

AGENT: CANNONI, Ann, Marie

LANGUAGE OF FILING: English

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES

WO 2002054733	A2	20020901
AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR		
CU DE DK DM DO EC EE ES FI GB GD GE HR HU ID IL IN IS		
JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX MO NO		
NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA		
UZ VN YU ZA ZM ZH GM KE LS MW MZ SD SI SE TZ UG ZM ZW		
AM A2 BY BG B3 MD RU TJ TM AT BE CH CY DE DK ES FI FR		
GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN		
GQ GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2002-US2010 A 20020125

PRIORITY INFO.: US 2001-60/264,600 20010126

US 2001-60/323,842 20010921

ABEN The present invention provides compositions, therapeutic combinations and methods including: (a) at least one bile acid sequestrant; and (b) at least one substituted acetidinone or substituted  $\beta$ -lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols.

ABFR L'invention concerne des compositions, des combinaisons therapeutiques et des procedes reposant sur l'utilisation: (a) d'au moins un chelateur des acides biliaires; et (b) d'au moins un inhibiteur d'absorption des sterols d'azetidinone ou de beta-lactamine a substitution. Ces compositions, combinaisons therapeutiques et procedes peuvent etre utiles pour le traitement des troubles vasculaires, du diabete ou de l'obesite et pour la reduction du degre de concentration plasmique des sterols.

L139 ANSWER 8 OF 100 POTEULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2002054732 POTEULL ED 20020804 EW 200231

TITLE (ENGLISH): COMBINATIONS OF PEROXISOME PROLIFERATOR-ACTIVATED RECEPTOR (PPAR) ACTIVATOR(S) AND STEROL ABSORPTION INHIBITOR(S) AND TREATMENTS FOR VASCULAR INDICATIONS

TITLE (FRENCH): COMBINAISONS D'ACTIVATEUR(S) DU RECEPTEUR ACTIVE PAR LE PROLIFERATEUR DE PEROXYOSOME ET D'INHIBITEUR(S) D'ABSORPTION DES STEROLS, ET TRAITEMENTS POUR TROUBLES VASCULAIRES

INVENTOR(S): KOSIGLOU, Teddy; DAVIS, Harry, R.; PICARD, Gilles, Jean Bernard

PATENT ASSIGNEE(S): SCHERING CORPORATION

AGENT: CANNONI, Ann, Marie

LANGUAGE OF FILING: English

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES

WO 2002054731	A2	20020801
AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR		
CU DE DK DM DO EC EE ES FI GB GD GE HR HU ID IL IN IS		
JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX MO NO		
NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA		

UZ VN YU ZA ZM ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW  
 AM AZ BY BG BZ BU BV BW BX BY BZ CA CH CI CJ CK CL CM CN CO CP  
 CB CE CF CG CH CI CJ CK CL CM CN CO CP  
 CR CS CT CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK DL DM DN DO DP

APPLICATION INFO.: WO 2002-058731 A 20020125  
 PRIORITY INFO.: US 2001-60/264,396 20010126  
 US 2001-60/264,397 20010126  
 US 2001-60/264,398 20010126

ABEN The present invention provides compositions, therapeutic combinations and methods including: (a) at least one peroxisome proliferator-activated receptor activator; and (b) at least one substituted azetidinone or substituted beta-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols.

ABFR L'invention concerne des compositions, des combinaisons thérapeutiques et des procédés reposant sur l'utilisation: (a) d'au moins un activateur du récepteur active par le proliférateur de peroxyssome; et (b) d'au moins un inhibiteur d'absorption des stérols d'azetidionone ou de beta-lactamine à substitution. Ces compositions, combinaisons thérapeutiques et procédés peuvent être utiles pour le traitement des troubles vasculaires, du diabète ou de l'obésité et pour la réduction du degré de concentration plasmatique des stérols.

L139 ANSWER 9 OF 130 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2002058731 PCTFULL ED 20020809 EW 200231  
 TITLE (ENGLISH): COMBINATIONS OF STEROL ABSORPTION INHIBITOR(S) WITH CARDIOVASCULAR AGENT(S) FOR THE TREATMENT OF VASCULAR CONDITIONS  
 TITLE (FRENCH): COMBINAISONS D'INHIBITEUR(S) DE L'ABSORPTION DE STEROLS ET D'AGENTS CARDIO-VASCULAIRES POUR LE TRAITEMENT D'AFFECTIONS VASCULAIRES  
 INVENTOR(S): KOSOGLOU, Teddy; RESS, Rudyard, Joseph; STRONY, John; VELTRI, Enrico, P.; HAUER, William  
 PATENT ASSIGNEE(S): SCHERING CORPORATION  
 AGENT: CANNONI, Ann, Marie  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2002058731	A2	20020801
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR		
	CZ DE DK DM DZ EC EE ES FI GB GD GE HE HU ID IL IN IS		
	JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX NZ NO		
	NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA		
	UZ VN YU ZA ZM ZH ZI ZJ ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW		
	AM AZ BY BG BZ BU BV BW BX BY BZ CA CH CI CJ CK CL CM CN CO CP		
	CR CS CT CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK DL DM DN DO DP		
	DQ DW MX ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2002-058731	A	20020125
PRIORITY INFO.:	US 2001-60/264,396		20010126
	US 2001-60/264,397		20010126
	US 2001-60/264,398		20010126
	US 2001-60/264,399		20010126

ABEN The present invention provides compositions, therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor and (b) at least one cardiovascular agent different from the sterol absorption inhibitor, which can be useful for treating vascular conditions, obesity, diabetes and lowering plasma levels of sterols.

ABFR L'invention concerne des méthodes, des compositions, et des combinaisons thérapeutiques contenant: (a) au moins un inhibiteur de l'absorption de stérols et (b) au moins un agent cardio-vasculaire différent de l'inhibiteur de l'absorption de stérols, utilisables pour traiter les affections vasculaires, l'obésité, et le diabète, et pour réduire le niveau plasmatique des stérols.

L139 ANSWER 10 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2002058696 PCTFULL ED 20020809 EW 200231  
 TITLE (ENGLISH): THE USE OF SUBSTITUTED ACETIDINONE COMPOUNDS FOR THE  
 TREATMENT OF SITOSTEROLEMIA  
 TITLE (FRENCH): UTILISATION DE COMPOSES D'AZETIDINONE SUBSTITUEE POUR  
 LE TRAITEMENT DE LA SITOSTEROLEMIE  
 INVENTOR(S): DAVIS, Harry, R.  
 PATENT ASSIGNEE(S): SCHERING CORPORATION  
 AGENT: CANNONI, Ann, Marie  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 2002058696	A2	20020801
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR DE DK DM DO EC EE ES FI GB GD GE HR HU ID IL IN IS JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX MO NO NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA UC VN YU ZA ZM ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2002-US1135	A	20020125
PRIORITY INFO.:	US 2001-60/264,645		20010126
ABEN	The present invention is directed to the use of sterol absorption inhibiting compounds, pharmaceutical compositions thereof, therapeutic combinations and their use in combination with other lipid lowering agents to treat or prevent sitosterolemia and/or to lower the concentration of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or preventing vascular disease and coronary events also are provided.		
ABFR	L'invention concerne des composés inhibiteurs de l'absorption de stérols, des compositions pharmaceutiques, des combinaisons thérapeutiques, ainsi que leur utilisation en association à d'autres agents hypolipémiants pour traiter ou prévenir la sitostérolémie et/ou réduire la concentration de stérol(s) autres que le cholestérol dans le plasma ou les tissus d'un mammifère. Par ailleurs, l'invention concerne des méthodes de traitement ou de prévention des maladies vasculaires et des accidents vasculaires.		

L139 ANSWER 11 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2002058685 PCTFULL ED 20020809 EW 200231  
 TITLE (ENGLISH): COMBINATIONS OF NICOTINIC ACID AND DERIVATIVES THEREOF  
 AND STEROL ABSORPTION INHIBITOR(S) AND TREATMENTS FOR  
 VASCULAR INDICATIONS  
 TITLE (FRENCH): COMBINAISONS D'ACIDE NICOTINIQUE ET DE DERIVES DE CE  
 DERNIER, INHIBITEUR(S) D'ABSORPTION DE STEROLS ET  
 TRAITEMENTS DE CONDITIONS VASCULAIRES  
 INVENTOR(S): DAVIS, Harry, R.; KOSOGLOU, Teddy  
 PATENT ASSIGNEE(S): SCHERING CORPORATION  
 AGENT: CANNONI, Ann, Marie  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 2002058685	A2	20020801
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR DE DK DM DO EC EE ES FI GB GD GE HR HU ID IL IN IS JP KG KR KZ LC LK LR LT LU LV MA MD MG MK MN MX MO NO NZ PH PL PT RO RU SE SG SI SK SL TJ TM TN TR TT TZ UA		

UZ VN YU ZA ZM ZH ZJ ZK ZL ZM ZN ZP ZQ ZR ZS ZT ZU ZV ZW ZY  
 AM AZ BY BG BZ BU BV BW BX BY BZ CA CH CN CO CR  
 CU CV CW CX CY CZ DA DB DC DD DE DF DG DH DI DJ DK  
 DL DM DN DO DP DQ DR DS DT DU DV DW DX DY EZ FA FB FC  
 FD FE FF FG FH FI FJ FK FL FM FN FO FP FQ FR FS FT  
 FU FV FW FX FY FZ GA GB GC GD GE GF GG GH GI GJ GK GL  
 GM GN GO GP GQ GR GS GT GU GV GW GX GY GZ HA HB HC HD  
 HE HF HG HH HI HJ HK HL HM HN HO HP HQ HR HS HT HU HV  
 HW HX HY HZ IA IB IC ID IE IF IG IH II IL IM IN IO IP  
 IQ IR IS IT IU IV IW IX IY IZ JA JB JC JD JE JF JG JH  
 JI JJ JK JL JM JN JO JP JQ JR JS JT JU JV JW JX JY JZ  
 KA KB KC KD KE KF KG KH KI KJ KK KL KM KN KO KP KQ KR  
 KS KT KU KV KW KX KY KZ LA LB LC LD LE LF LG LH LI LJ  
 LK LM LN LO LP LQ LR LS LT LU LV LW LX LY LZ MA MB MC  
 MD ME MF MG MH MI MJ MK ML MN MO MP MQ MR MS MT MU MV  
 MW MX MY MZ NA NB NC ND NE NF NG NH NI NJ NK NL NM NO  
 NP NQ NR NS NT NU NV NW NX NY NZ OA OB OC OD OE OF OG  
 OH OI OJ OK OL OM ON OO OP OQ OR OS OT OU OV OW OX OY  
 OZ PA PB PC PD PE PF PG PH PI PJ PK PL PM PN PO PP PQ  
 PR PS PT PU PV PW PX PY PZ QA QB QC QD QE QF QG QH QI  
 QJ QK QL QM QN QO QQ QR QS QT QU QV QW QX QY QZ RA RB  
 RC RD RE RF RG RH RI RJ RK RL RM RN RO RP RQ RR RS RT  
 RU RV RW RX RY RZ SA SB SC SD SE SF SG SH SI SJ SK SL  
 SM SN SO SP SQ SR SS ST SU SV SW SX SY SZ TA TB TC TD  
 TE TF TG TH TI TJ TK TL TM TN TO TP TQ TR TS TT TU TV  
 TW TX TY TZ UA UB UC UD UE UF UG UH UI UJ UK UL UM UN  
 UO UP UQ UR US UT UV UW UX UY UZ VA VB VC VD VE VF VG  
 VH VI VJ VK VL VM VN VO VP VQ VR VS VT VU VV VW VX VY  
 VZ WA WB WC WD WE WF WG WH WI WJ WK WL WM WN WO WP WQ  
 WR WS WT WU WV WW WX WY WZ XA XB XC XD XE XF XG XH XI  
 XJ XK XL XM XN XO XP XQ XR XS XT XU XV XW XX XY XZ YA  
 YB YC YD YE YF YG YH YI YJ YK YL YM YN YO YP YQ YR  
 YS YT YU YV YW YX YZ ZA ZB ZC ZD ZE ZF ZG ZH ZI ZJ  
 ZK ZL ZM ZN ZO ZP ZQ ZR ZS ZT ZU ZV ZW ZX ZY ZZ

APPLICATION INFO.: WO 2002-01-01 US1014 A 20020115  
 PRIORITY INFO.: US 2001-01-01 264,275 20010116  
 US 2001-01-01 323,842 20010901

ABEN The present invention provides compositions, therapeutic combinations and methods including: (a) at least one of nicotinic acid or derivatives thereof; and (b) at least one substituted azetidinone or substituted  $\beta$ -lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols.

ABFR L'invention concerne des procedes, compositions et combinaisons therapeutiques renfermant a. au moins un element parmi l'acide nicotinique et des derives de ce dernier et b) au moins un inhibiteur d'absorption de sterols azetidinone substitue ou  $\beta$ -lactame substitue pouvant etre utile pour traiter des conditions vasculaires, le diabete, l'obesite et pour abaisser la concentration plasmiqne de sterols.

L139 ANSWER 12 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2002057273 PCTFULL ED 20020801 EW 200230  
 TITLE (ENGLISH): SERINE PROTEASE INHIBITORS COMPRISING A HYDROGEN-BOND ACCEPTOR  
 TITLE (FRENCH): INHIBITEURS DE LA SERINE PROTEASE COMPRENANT UN ACCEPTEUR DE LIAISON HYDROGENE  
 INVENTOR(S): DEADMAN, John, Joseph; SPENCER, John; GREENIDGE, Paulette, Angela; GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir; SCULLY, Michael, Finbarr  
 PATENT ASSIGNEE(S): TRIGEN LIMITED, for all designates States except US; DEADMAN, John, Joseph, for US only; SPENCER, John, for US only; GREENIDGE, Paulette, Angela, for US only; GOODWIN, Christopher, Andrew, for US only; KAKKAR, Vijay, Vir, for US only; SCULLY, Michael, Finbarr, for US only  
 AGENT: HARRISON GODDARD FOOTE  
 LANGUAGE OF FILING: English  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2002057273	A1	20020725

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT PO PU SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UC VN YU ZA ZM ZW ZH ZJ ZK ZL ZM ZN ZP ZQ ZR ZS ZT ZU ZV ZW ZY ZZ

APPLICATION INFO.: WO 2002-01-01 US1014 A 20020115  
 PRIORITY INFO.: GB 2001-01-01 1537.9 20010116  
 US 2001-01-01 267,172 20010206

ABEN Compounds, useful as protease inhibitors, of the formula (I): where: Ar is a ring or ring system, for example a benzene ring, and may be substituted by one or more moieties in addition to X and L; X is a functional group which is a hydrogen-bond acceptor, e.g. a nitro or boronate group:  $BY\text{-}sp^2\text{-}R\text{-}sp^2\text{-}Y\text{-}sp^2\text{-}L\text{-}sp^2\text{-}J$ ; L is a linker, most preferably  $-(CH_2)_5\text{-}R\text{-}(CH_2)_6\text{-}S-$ ; J is a moiety containing a



basic  
 nitrogen atom but not containing an amino acid residue, preferably  
 amidino, guanidino,  
 amino, carboxamido, hydroxylamino, or imidazolyl, or an N-substituted  
 analogue  
 thereof.

ABFR L'invention concerne des composés utilisés comme inhibiteurs de  
 protéase représentés par la formule (I). Dans cette formule, Ar  
 représente un noyau ou un système cyclique, par exemple, un noyau  
 benzénique,  
 et peut être substitué par au moins une fraction en plus de X et LJ; X  
 représente un groupe fonctionnel accepteur de liaison hydrogène,  
 par exemple, un groupe nitro ou boronate  $BY(sp^3)Y(sp^2)$ ; L  
 représente  
 un liant, de préférence  $(CR(sp^3)R(sp^3))_3$ ; J représente  
 une fraction contenant un atome d'azote basique mais ne contenant pas de  
 résidu d'acide amine, et contenant de préférence amidino,  
 guanidino, amino, carboxamido, hydroxylamino, ou imidazolyl, ou un  
 analogue  
 de ceux-ci substitué par un N.

L139 ANSWER 13 OF 100 POTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001047582 POTFULL ED 20000739 EW 200205

TITLE (ENGLISH): EXPANDABLE STENT WITH SLIDING AND LOCKING RADIAL  
 ELEMENTS

TITLE (FRENCH): EXTENSEUR DILATABLE COMPORTANT DES ELEMENTS DE  
 COULISSEMENT ET DE VERROUILLAGE RADIAL

INVENTOR(S): STEINKE, Thomas, A.; KOENIG, Donald, H.

PATENT ASSIGNEE(S): MD3, INC., for all designates States except US;  
 STEINKE, Thomas, A., for US only; KOENIG, Donald, H.,  
 for US only

AGENT: ALTMAN, Daniel, E.

LANGUAGE OF FILING: English

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 2002047582	A2	20020610
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DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR  
 CU CZ DE DK DM DZ EC EE EF ES FI FL FR GB GD GE  
 GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS  
 LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH PL PT RO RU  
 SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU  
 ZA ZW GH GM KE LS MW MZ SD SL SE TZ UG ZM ZW AM AZ BY  
 KG KZ MD PU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE  
 IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GQ GW ML  
 MF NE SN TD TG

APPLICATION INFO.: WO 2001-0348316 A 20011112

PRIORITY INFO.: US 2000-09/739,552 20001214

ABEN The present invention provides a lumen support stent with a clear  
 through-lumen  
 for use in a body lumen. The stent is formed from at least one series of  
 sliding and  
 locking radial elements and at least one ratcheting mechanism comprising  
 an  
 articulating element and a plurality of stops. The ratcheting mechanism  
 permits  
 one-way sliding of the radial elements from a collapsed diameter to an  
 expanded  
 diameter, but inhibits radial recoil from the expanded diameter.

ABFR L'invention concerne un extenseur de support conçu pour être  
 mis en application dans une lumière anatomique et comportant un passage  
 dépourvu d'obstacle. Cet extenseur est constitué par au moins  
 une série d'éléments de coulissement et de verrouillage

radial et par au moins un mecanisme d'engrènement comprenant un element d'articulation et une pluralite de butees.  
Ce mecanisme d'engrènement permet a des elements de coulisser dans un sens radial unique depuis un diametre d'affaissement a un diametre de dilatation, mais empeche le retrecissement radial depuis le diametre de dilatation.

LI39 ANSWER 14 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2000 44736 PCTFULL ED 20020624 EW 200223  
TITLE (ENGLISH): DIAGNOSIS AND TREATMENT OF DISEASE  
TITLE (FRENCH): DIAGNOSTIC ET TRAITEMENT DE MALADIE  
INVENTOR(S): TAZI-AHNINI, Rachid; BAVIK, Claes; WARD, Simon; DUFF, Gordon; GORK, Michael  
PATENT ASSIGNEE(S): MOLECULAR SKINCARE LIMITED, for all designates States except US; TAZI-AHNINI, Rachid, for US only; BAVIK, Claes, for US only; WARD, Simon, for US only; DUFF, Gordon, for US only; GORK, Michael, for US only  
AGENT: KHOO, Chong-Yee  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2002044736	A2 20020606
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR	
	CU CE DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID	
	IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD	
	MG MK MN MW MX NZ NO NZ OM PH PL PT RO RU SD SE SG SI	
	SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZM ZW GH GM	
	KE LS MW MZ SD SL SZ TZ UG ZM ZW AM AZ BY KG KZ MD RU	
	TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL	
	PT SE TR BF BG CF CG CI CM GA GN GQ GW ML MR NE SN TD	
	TS	
APPLICATION INFO.:	WO 2001-GB5303	A 20011130
PRIORITY INFO.:	GB 2000-0029225.0	20001130
	GB 2000-0029879.4	20001207

ABEN We disclose a method of diagnosis of a disease, or susceptibility to a disease associated with abnormal cell-cell adhesion between epithelial cells, the method comprising detection of a mutation in a nucleic acid encoding an adhesion protein, a protease, or a protease inhibitor of an individual.

ABFR L'invention concerne un methode de diagnostic d'une maladie, ou de susceptibilite a une maladie, associee a une adhesion cellule-cellule anormale entre des cellules epitheliales, la methode consistant a detecter, chez un individu, une mutation dans un acide nucleique codant pour une proteine d'adhesion, une protease, ou un inhibiteur de protease.

LI39 ANSWER 15 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2000039927 PCTFULL ED 20020610 EW 200221  
TITLE (ENGLISH): ACE-1 MODULATING COMPOUNDS AND METHODS OF USE THEREOF  
TITLE (FRENCH): COMPOSES MODULANT ACE-1 ET PROCEDES D'UTILISATION ASSOCIES  
INVENTOR(S): ACTON, Susan, L.; CCAIN, Timothy, D.; GOULD, Alexandra, E.; DALES, Natalie, A.; GUAN, Bing; BROWN, James, A.; PATANE, Michael; KADAMBI, Vivek, J.; SOLOMON, Michael; STRICKER-KRONGRAD, Alain  
PATENT ASSIGNEE(S): MILLENNIUM PHARMACEUTICALS, INC., for all designates States except US; ACTON, Susan, L., for US only; CCAIN, Timothy, D., for US only; GOULD, Alexandra, E., for US only; DALES, Natalie, A., for US only; GUAN, Bing, for US only; BROWN, James, A., for US only; PATANE, Michael, for US only; KADAMBI, Vivek, J., for US only;

SOLOMON, Michael, for US only; STRICKER-KRONGRAD,  
Alain, for US only

AGENT: HANLEY, Elizabeth, A.  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2002034997 A2 20020528  
AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR  
CU CZ DE DK DM DG EG EH EI ES FI GB GD GE GH GM HN HU ID  
IL IN IS JP KE KG KH KI LC LK LR LS LT LU LV MA MD  
MG MK MN MW MX ME NO NE OH PH PL PT RO RU SD SE SG SI  
SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE  
LS MW MZ SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM  
AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE  
TR BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-US45793 A 20011031  
PRIORITY INFO.: US 2000-08/794,216 20011101  
US 2001-08/870,382 20010529  
US 2001-08/871,741 20011019

ABEN ACE 2 modulating compounds for the treatment of body disorders are disclosed. Methods of using the compounds and pharmaceutical composition containing the compounds are also claimed.  
ABFR L'invention concerne des composés modulant ACE-2, destinés au traitement de problèmes de poids. L'invention concerne également des procédés d'utilisation de ces composés et des compositions pharmaceutiques contenant lesdits composés.

L139 ANSWER 16 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2002029062 PCTFULL ED 20020627 EW 200215  
TITLE (ENGLISH): CYTOKINE PROTEINS  
TITLE (FRENCH): PROTEINES CYTOKINE  
INVENTOR(S): FAGANI, Richard, Joseph; PHELPS, Christopher, Benjamin;  
GUTTERIDGE, Alex  
PATENT ASSIGNEE(S): IMPHARMATICA LIMITED, for all designates States except  
US; FAGANI, Richard, Joseph, for US only; PHELPS,  
Christopher, Benjamin, for US only; GUTTERIDGE, Alex,  
for US only  
AGENT: MERCEP, Christopher, Paul  
LANGUAGE OF FILING: English  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2002029062 A2 20020411  
AE AG AL AM AT AU AZ BA BB BG BR BY BE CA CH CN CO CR  
CU CZ DE DK DM DG EG EH EI ES FI GB GD GE GH GM HN HU ID  
IL IN IS JP KE KG KH KI LC LK LR LS LT LU LV MA MD  
MG MK MN MW MX ME NO NE OH PH PL PT RO RU SD SE SG SI SK  
SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS  
MW MZ SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT  
BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR  
BF BJ CF CG CI CM GA GN GQ GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2001-GB4412 A 20011004  
PRIORITY INFO.: GB 2000-08/4283.4 20001004

ABEN This invention relates to novel proteins, termed the CCl and CC2 polypeptides, herein identified as cytokines and to the use of these proteins and nucleic acid sequences from the encoding genes in the diagnosis, prevention and treatment of disease.  
ABFR La présente invention concerne de nouvelles protéines, dénommées polypeptides CCl et CC2, identifiées comme étant des cytokines, ainsi que l'utilisation de ces protéines et de séquences d'acide nucléique de

gènes codants dans le diagnostic, la prévention et le traitement de maladie.

1139 ANSWER 17 OF 100 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 994893 EUROPATEFULL EW 200201 FS PS  
TITLE: SELECTIVE FACTOR Xa INHIBITORS CONTAINING A FUSED AZEPINONE STRUCTURE.  
SELEKTIVE INHIBITOREN DES FAKTORS X, EINE AZEPINONSTRUKTUR ENTHALTEND.  
INHIBITEURS SELECTIFS DU FACTEUR Xa CONTENANT UNE STRUCTURE D'AZEPINONE CONDENSEE.  
INVENTOR(S): SCARBOROUGH, Robert, M., 2644 Belmont Canyon Road, Belmont, CA 94002, US  
PATENT ASSIGNEE(S): COR THERAPEUTICS, INC., 156 East Grand Avenue, Suite 80, South San Francisco, CA 94080, US  
PATENT ASSIGNEE NO: 119300  
AGENT: Doireau, Marc et al., Cabinet Ores 6, avenue de Messine, 75008 Paris, FR  
AGENT NUMBER: 44325  
OTHER SOURCE: BEPBL2002001 EP 9994893 B1 0062  
SOURCE: Wila-EP3-2002-H01-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 994893	B1 20020102
'OFFENLEGUNGS' DATE:		20000426
APPLICATION INFO.:	EP 1999-939911	19990911
PRIORITY APPLN. INFO.:	US 1997-82316	19970911
	US 1997-907779	19970911
RELATED DOC. INFO.:	WO 98 US16704	980911 INTAKZ
	WO 9907730	990218 INTPNR
REFERENCE PAT. INFO.:	WO 97-05160 A	
REF. NON-PATENT-LIT.:	J A FOBL ET AL.: "Dual metalloprotease inhibitors. II. Effect of substitution and stereochemistry on benzazepinone based mercaptoacetyls" BIOORGANIC AND MEDICINAL CHEMISTRY LETTERS, vol. 4, no. 15, 1994, pages 1795-1800, XP000196070 Amsterdam J A FOBL ET AL.: "Dual metalloprotease inhibitors. 6. Incorporation of bicyclic and substituted monocyclic azepinones as dipeptide surrogates in angiotensin-converting enzyme/neutral endopeptidase inhibitors" JOURNAL OF MEDICINAL AND PHARMACEUTICAL CHEMISTRY., vol. 39, 1996, pages 494-502, XP000749701 EASTON US	

1139 ANSWER 18 OF 100 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 222004 EUROPATEFULL EW 200233 FS PS  
TITLE: ANTITHROMBOLAN PEPTIDYL-ARGININE ALDEHYDE DERIVATIVES.  
ANTICOAGULIEREND WIRKENDE PEPTIDYL-ARGININ ALDEHYD DERIVATE.  
DERIVES DE PEPTIDYL-ARGININE ALDEHYDE ANTICOAGULANTS.  
INVENTOR(S): BAJUND, Sandor, Derek u. 16/a, H-1016 Budapest, HU;  
JUHANS, Attila, Petnehazy u. 23, H-1139 Budapest, HU;  
BARABAS, Eva, Pusztaszeri ut 6, H-1025 Budapest, HU;

FEHER, Andras, Tuzko u. 6, H-1118 Budapest, HU;  
 SZABO, Gabriella, Vaci ut 8, H-1132 Budapest, HU;  
 SZELL, Erzsébet, Heves u. 64, H-1106 Budapest, HU;  
 VEGHLYI, Iren, Labanc u. 6/b, H-1021 Budapest, HU;  
 LAVICH, Emilia, Frankovics M. u. 33, H-1155 Budapest, HU;  
 KASZAS, Eva, Nyar u. 69, H-1045 Budapest, HU;  
 LANYI, József, Amfiteatrum u. 11, H-1031 Budapest, HU;  
 MORAVCSIK, Imre, Mester u. 38, H-1095 Budapest, HU;  
 SZEKER, Agnes, Deak F. u. 91, H-1041 Budapest, HU;  
 TASHLER, Zsuzsanna, Amfiteatrum u. 27, H-1031 Budapest, HU;  
 TOTH, Gabor, Veres P. u. 74, H-1163 Budapest, HU;  
 MOHAI, Zsuzsanna, Barátka u. 48, H-1173 Budapest, HU;  
 SZALKAY, Anna Maria, Szodliget u. 10, H-1151 Budapest, HU;  
 MAKK, Klara, Liget u. 26, H-2623 Kismaros, HU  
 PATENT ASSIGNEE(S): GYOGYSZERKUTATO INTEZET KFT., Berlini u. 47-49, H-1045 Budapest, HU  
 PATENT ASSIGNEE NO: 1668100  
 AGENT: Beszedes, Stephan G., Dr., Patentanwalt, Muenchener Strasse 80a, 85221 Dachau, DE  
 AGENT NUMBER: 1931  
 OTHER SOURCE: BEPB0002057 EP 0922054 B1 0025  
 SOURCE: Wila-EPS-2002-H33-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GE; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAISCHE PATENTSCHRIFT (Internationale Anmeldung)  
 PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 922054	B1	20020814
'OFFENLEGUNGS' DATE:			19990616
APPLICATION INFO.:	EP 1997-925210		19970605
PRIORITY APPLN. INFO.:	HU 1996-9601526		19960605
RELATED DOC. INFO.:	WO 97-HU28	970605	INTAKE
	WO 9746576	971211	INTPNR
REFERENCE PAT. INFO.:	EP 19539 A	EP 479489	A
	US 4703036 A		

L139 ANSWER 19 OF 100 IFIPAT COPYRIGHT 2002 IFI  
 AN 10203477 IFIPAT;IFIUDB;IFICDB  
 TITLE: COMBINATIONS OF STEROL ABSORPTION INHIBITOR(S) WITH BLOOD MODIFIER(S) FOR TREATING VASCULAR CONDITIONS  
 INVENTOR(S): Keszegh; Teddy, Jamison, PA, US  
 Brass; Eudyard J., Flemington, NJ, US  
 Strong; John T., Lebanon, NJ, US  
 Veltri; Enrico P., Princeton, NJ, US  
 PATENT ASSIGNEE(S): Schering Corporation  
 AGENT: SCHERING-PLOUGH CORPORATION PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530, US

	NUMBER	PK	DATE
PATENT INFORMATION:	US 2002147134	A1	20021010
APPLICATION INFORMATION:	US 2002-66680		20020125

NUMBER	DATE
US 2001-264275P20010126	(Provisional)
US 2001-264396P20010126	(Provisional)

US 2001-264600P20010126 -Provisional;  
 US 2001-324123P20010921 -Provisional;  
 FAMILY INFORMATION: US 2002147184 20021010  
 DOCUMENT TYPE: Utility  
 Patent Application - First Publication  
 FILE SEGMENT: CHEMICAL  
 APPLICATION  
 NUMBER OF CLAIMS: 48  
 AB The present invention provides compositions, therapeutic combinations and  
 methods including: (a) at least one sterol absorption inhibitor; and (b)  
 at least one blood modifier, which can be useful for treating vascular  
 conditions and lowering plasma levels of sterols.  
 CLMN 48

L139 ANSWER 20 OF 100 USPTAFULL  
 ACCESSION NUMBER: 2001:63240 USPTAFULL  
 TITLE: Pharmaceutical preparation for treating blood  
 coagulation disorders  
 INVENTOR(S): Turecek, Peter, Klosterneuburg/Weidling, Austria  
 Schwarz, Hans-Peter, Vienna, Austria  
 Eibl, Johann, Vienna, Austria  
 PATENT ASSIGNEE(S): Baxter Aktiengesellschaft, Vienna, Austria (non-U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6224862	B1	20010501
APPLICATION INFO.:	US 2000-521219		20000908 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-245339, filed on 5 Feb 1999 Division of Ser. No. US 1998-165745, filed on 6 Oct 1998, now patented, Pat. No. US 6039945 Division of Ser. No. US 1997-821763, filed on 20 Mar 1997, now patented, Pat. No. US 5866122, issued on 2 Feb 1999		

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1996-513	19960329
	AT 1996-1573	19960904
	AT 1996-1673	19960920
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Weddington, Kevin E.	
LEGAL REPRESENTATIVE:	Heller Ehrman White & McAuliffe	
NUMBER OF CLAIMS:	4	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	10 Drawing Figure(s); 8 Drawing Page(s)	
LINE COUNT:	1454	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 AB There is disclosed a pharmaceutical preparation for treating blood  
 coagulation disorders which comprises purified prothrombinase factors,  
 in particular purified prothrombin and optionally purified factor Xa as  
 active component.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 21 OF 100 PCTFULL COPYRIGHT 2001 Univentio  
 ACCESSION NUMBER: 2001063290 PCTFULL ED 20020822  
 TITLE (ENGLISH): BOMF-7 AS MARKER FOR DIAGNOSIS OF BREAST CANCER  
 TITLE (FRENCH): BOMF 7 EN TANT QUE MARQUEUR POUR LE DIAGNOSTIC DU  
 CANCER DU SEIN  
 INVENTOR(S): BOYD, Robert, Simon; STAMPS, Alasdair, Craig; TERRETT,  
 Jonathan, Alexander; TYSON, Kerry, Louise  
 PATENT ASSIGNEE(S): OXFORD GLYCOSCIENCES (UK) LTD.; BOYD, Robert, Simon;  
 STAMPS, Alasdair, Craig; TERRETT, Jonathan, Alexander;  
 TYSON, Kerry, Louise

DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2001063090 A1 20010830  
AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU  
CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN  
IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK  
MO MW MX MC NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM  
TR TT TZ UA UG US UZ VN YU ZA ZW ZH ZM ZN ZP ZR ZS  
ZT ZU ZV ZW ZY ZZ Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0  
Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0 Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0

APPLICATION INFO.: WO 2001-GB734 A 20010221

PRIORITY INFO.: GB 2000-004576.5 20000225

ABEN The present invention provides the use of a protein found in breast cancer cell membranes, known as BCMP 7, in the diagnosis, screening, treatment and prophylaxis of breast cancer, as well as compositions comprising BCMP 7, including vaccines and antibodies that are immunospecific for BCMP 7.

ABFR La presente invention concerne l'utilisation d'une proteine presente dans des membranes cellulaires de cancer du sein, connue en tant que BCMP 7, dans le diagnostic, le criblage, le traitement et la prophylaxie du cancer du sein. L'invention concerne egalement des compositions contenant BCMP 7 et des vaccins et anticorps immunospecifiques a BCMP 7.

L139 ANSWER 22 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001062085 PCTFULL ED 20020822

TITLE (ENGLISH): PROTECTED FORMS OF PHARMACOLOGICALLY ACTIVE AGENTS AND USES THEREFOR

TITLE (FRENCH): FORMES PROTEGEES D'AGENTS PHARMACOLOGIQUEMENT ACTIFS ET UTILISATIONS CORRESPONDANTES

INVENTOR(S): LAI, Ching-San; WANG, Tingmin; VASSILEV, Vassil, P.

PATENT ASSIGNEE(S): MEDINOX, INC.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2001062085 A1 20010830  
AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ  
DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS  
JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN  
MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR  
TT UA UG UZ VN YU ZA ZW ZH ZM ZN ZP ZR ZS ZT ZU ZV ZW ZY ZZ  
Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0 Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0  
Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0 Z1 Z2 Z3 Z4 Z5 Z6 Z7 Z8 Z9 Z0

APPLICATION INFO.: WO 2001-US5977 A 20010223

PRIORITY INFO.: US 2000-09/515,043 20000225

ABEN In accordance with the present invention, there are provided conjugates of dithiocarbamates ("DC") and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein.

ABFR La presente invention se rapporte a des conjugues de dithiocarbamates ("DC") et a des agents pharmacologiquement actifs (par exemple, des AINS). Lesdits conjugues fournissent une nouvelle classe d'agents pharmacologiquement actifs (par exemple, d'agents anti-inflammatoires) qui provoquent une apparition bien moindre d'effets secondaires en raison des effets protecteurs conferes par la modification des agents pharmacologiquement actifs decrits ci-dessus.

L139 ANSWER 23 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001057210 PCTFULL ED 20020827

TITLE (ENGLISH): USE OF DENDROASPIN AS A VEHICLE FOR NON-DENDROASPIN  
DOMAINS  
TITLE (FRENCH): UTILISATION DE DENDROASPINE EN TANT QU'EXCIPIENT POUR  
DOMAINES EXEMPTS DE DENDROASPINE  
INVENTOR(S): LU, Min-jie; HANNAH, Vijay, Vlr  
PATENT ASSIGNEE(S): TAIBEN LIMITED  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2001052110	A2	20010809
	AE AG AL AM AT AU AZ BA BB BG BE BY BJ CA CH CN CR CU		
	DE DK DM DO EE EF FI GG GD GE GH GM HR HU ID IL IN		
	IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK		
	ME MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM		
	TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL		
	SE TC UG CW AM AZ BY EG KZ MD RU TJ TM AT BE CH CY DE		
	DK ES FI FR GB GR IE IT LU MC NL PT SE TR BF BJ CF CG		
	CI CM GA GN GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2001-08439 A 20010205

PRIORITY INFO.: GB 2000-0902625.2 20000205

ABEN The use of dendroaspin as a scaffold for one or more non-wild-type dendroaspin domains, the dendroaspin scaffold being modified in that the native RGD motif has been deleted or has been replaced by (i) an amino acid sequence having no integrin-binding activity or (ii) an integrin-binding amino acid sequence other than RGD which contains aspartic acid (D) or glutamic acid (E).

ABFR L'invention concerne l'utilisation de dendroaspine en tant que structure pour au moins un domaine de dendroaspine qui n'est pas du type sauvage, la structure de dendroaspine etant modifiee du fait que le motif endogene RGD a ete elimine ou remplace (i) par une sequence d'acides amines ne possedant pas d'activite de liaison aux integrines ou (ii) par une sequence d'acides amines se liant aux integrines qui differe de RGD et renferme de l'acide aspartique (D) ou de l'acide glutamique (E).

L139 ANSWER 24 OF 100 PCTFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 2001049675 PCTFULL ED 20020827

TITLE (ENGLISH): DIHYDROBENZOPYRANS, DIHYDROBENZOTHIOPYRANS, AND  
TETRAHYDROQUINOLINES FOR THE TREATMENT OF  
COX-2-MEDIATED DISORDERS

TITLE (FRENCH): DIHYDROBENZOPYRANES, DIHYDROBENZOTHIOPYRANES ET  
TETRAHYDROQUINOLINES DESTINES AU TRAITEMENT DES  
TROUBLES INDUITS PAR COX-2

INVENTOR(S): ROGIER, Donald, J., Jr.; CARTER, Jeffrey, S.; TALLEY, John, J.

PATENT ASSIGNEE(S): PHARMACIA CORPORATION; ROGIER, Donald, J., Jr.; CARTER, Jeffrey, S.; TALLEY, John, J.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2001049675	A1	20010712
	AL AM AT AU AZ BA BB BG BE BY CA CH CN CU CL DE DK EE		
	ES FI GG GD GE GH GM HR HU ID IL IS JP KE KG KP KR KZ		
	LC LK LR LS LT LU LV MD MG ME MN MW MX NO NZ PL PT RO		
	RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW		
	GH GM KE LS MW MZ SD SL SE TC UG ZW AM AZ BY EG KZ MD		
	RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC		
	NL PT SE TR BF BJ CF CG CI CM GA GN GW ML MR NE SN TD		
	TG		

APPLICATION INFO.: WO 2001-084525 A 20010219

PRIORITY INFO.: US 2000-091174,281 20000103

ABEN A class of dihydrobenzopyrans, dihydrobenzothiopyrans, tetrahydroquinolines, tetrahydroquinthalenes, and analogs thereof, is described for use in treating cyclooxygenase-2 mediated disorders.



Compounds of particular interest are defined by Formula (I), wherein X, A1, A2, A3, A4, R, R', R1 and R2 are as described in the specification.

ABFR L'invention concerne une catégorie de dihydrobenzopyranes, dihydrobenzothienopyranes, tétrahydroquinolines, tétrahydronaphthalènes, et leurs analogues, destinés à être utilisés dans le traitement des troubles induits par la cyclooxygénase-2. Les composés présentant un intérêt particulier sont représentés par la formule (II), où X, A1, A2, A3, A4, R, R', R1 et R2 sont tels que définis dans le descriptif.

L139 ANSWER 25 OF 100 PCTFULL COPYRIGHT 2001 Univentio  
 ACCESSION NUMBER: 2001021661 PCTFULL ED 20020620  
 TITLE (ENGLISH): BIVALENT INHIBITOR OF FVIIa/TF/FXa COMPLEX  
 TITLE (FRENCH): INHIBITEUR BIVALENT DU COMPLEXE FVIIa/TF/FXa  
 INVENTOR(S): FRESKGAARD, Per-Dia; JAKOBSEN, Palle  
 PATENT ASSIGNEE(S): NOVO NORDISK A/S; FRESKGAARD, Per-Dia; JAKOBSEN, Palle  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2001021661	A1 20010329
	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU	
	DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN	
	IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK	
	MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM	
	TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW MZ SD	
	SL SE TZ UG ZW AM AC BY KG KZ MD FU TJ TM AT BE CH CY	
	DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG	
	CI CM GA GN GW ML MR NE SN TD TG	
APPLICATION INFO.:	WO 2000-DK516	A 20000919
PRIORITY INFO.:	DK 1999-PA 1999 01333	19990920
	US 1999-60/159,773	19991015

ABEN A novel bivalent serine protease inhibitor (I) of coagulation factor VIIa and factor Xa comprises: (i) a first serine protease inhibitor binding to factor VIIa; (ii) a linker moiety; and (iii) a second serine protease inhibitor binding to factor Xa. Also claimed are a method for inhibiting the two different serine proteases factor VIIa and factor Xa simultaneously and selectively when the two serine proteases becomes localised on the membrane protein tissue factor. The compounds and method are useful for prevention or treatment of FVIIa/TF-related diseases or disorders such as deep venous thrombosis, arterial thrombosis, post surgical thrombosis, coronary artery bypass graft (CABG), percutaneous transluminal coronary angioplasty (PTCA), stroke, tumour metastasis, inflammation, septic shock, hypotension, AFDS, pulmonary embolism, disseminated intravascular coagulation (DIC), vascular restenosis, platelet deposition, myocardial infarction, angiogenesis, or the prophylactic treatment of mammals with atherosclerotic vessels at risk for thrombosis.

ABFR

L139 ANSWER 26 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2001021259 PCTFULL ED 20020620  
 TITLE (ENGLISH): METHODS AND COMPOSITIONS FOR TREATING PLATELET-RELATED DISORDERS  
 TITLE (FRENCH): PROCÉDES ET COMPOSITIONS DE TRAITEMENT DES PATHOLOGIES APPARENTÉES AUX PLAQUETTES  
 INVENTOR(S): HANSON, Stephen, R.  
 PATENT ASSIGNEE(S): EMMERY UNIVERSITY  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2001021259	A2 20010329
	AU CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC	
	NL PT SE	
APPLICATION INFO.:	WO 2000-US25791	A 20000921

PRIORITY INFO.: US 1999-60/154,929 19990921

ABEN The invention relates to the prophylactic and therapeutic treatment of subjects for the purpose of inhibiting vaso-occlusive events, including embolism, by administering agents which reduce the number of circulating platelets to below normal levels. Methods and pharmaceutical preparations comprising such agents are provided.

ABFR

L139 ANSWER 27 OF 100 PTEFULL COPYRIGHT 2001 Univentio

ACCESSION NUMBER: 2001021163 PTEFULL ED 200102180

TITLE (ENGLISH): METHODS AND COMPOSITIONS FOR TREATING PLATELET-RELATED DISORDERS USING MPL PATHWAY INHIBITORY AGENTS

TITLE (FRENCH): PROCÉDES ET COMPOSITIONS POUR LE TRAITEMENT DE TROUBLES LIÉS AUX PLAQUETTES AU MOYEN D'AGENTS INHIBITEURS DE TRAJET DE MPL

INVENTOR(S): HANSON, Stephen, R.

PATENT ASSIGNEE(S): EMDRY UNIVERSITY

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

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WO 2001021163 A2 20010319

DESIGNATED STATES AU CA JP AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 2000-US26025 A 20000921

PRIORITY INFO.: US 1999-60/154,929 19990921

ABEN The invention relates to the treatment of subjects for the purpose inhibiting vaso-occlusive events, including thrombosis and embolism, by administering agents which reduce the number of circulating platelets to low or below normal levels. Methods and pharmaceutical preparations comprising such agents are provided.

ABFR

L139 ANSWER 28 OF 100 PTEFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2001010892 PTEFULL ED 20020928

TITLE (ENGLISH): FVIIa ANTAGONISTS

TITLE (FRENCH): ANTAGONISTE DU FVIIa

INVENTOR(S): DEINIS, Mark, S.

PATENT ASSIGNEE(S): GENENTECH, INC.

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

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WO 2001010892 A2 20010215

DESIGNATED STATES AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CC DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK ME MW MX MZ NO NZ PL PT PQ PU SD SE SG SI SK SL TJ TM TP TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL SE TZ UG SW AM AC BY KG KE MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MP NE SN TD TG

APPLICATION INFO.: WO 2000-US21096 A 20000804

PRIORITY INFO.: US 1999-60/147,627 19990806

US 1999-60/150,315 19990823

ABEN This invention provides novel compounds which prevent or block a FVIIa mediated or associated process or event such as the catalytic conversion of FX to FXa, FVII to FVIIa or FIX to FIXa. In particular aspects, the compounds of the invention bind Factor VIIa (FVIIa), its zymogen Factor VII (FVII) and/or block the association of FVII or FVIIa with a peptide compound of the present invention. The invention also provides pharmaceutical compositions comprising the novel compounds as well as their use in diagnostic, therapeutic, and prophylactic methods.

ABFR

L139 ANSWER 29 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2101009334 PCTFULL ED 20010808  
 TITLE (ENGLISH): BASB118 POLYPEPTIDE AND POLYNUCLEOTIDE FROM MORAXELLA  
 CATARRHALIS  
 TITLE (FRENCH): POLYPEPTIDE BASB118 ET POLYNUCLEOTIDE DE MORAXELLA  
 CATARRHALIS  
 INVENTOR(S): THOMARD, Joelle  
 PATENT ASSIGNER(S): SMITHKLINE BEECHAM BIOLOGICALS S.A.; THOMARD, Joelle  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001009334	A1	20010808
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HE HU ID IL IN IS JP KE KG KP KR KZ LB LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW MC SD SL SE TZ UG ZW AM AZ BY KG KE MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2000-EP7360	A	20000731
PRIORITY INFO.:	GB 1999-9918108.1		19990803

ABEN The invention provides BASB118 polypeptides and polynucleotides encoding  
 BASB118 polypeptides and methods for producing such polypeptides by  
 recombinant techniques. Also provided are diagnostic, prophylactic and  
 therapeutic uses.  
 ABFR

L139 ANSWER 30 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2001007918 PCTFULL ED 20000828  
 TITLE (ENGLISH): CATALYTIC ANTI-FACTOR VIII ALLO-ANTIBODIES  
 TITLE (FRENCH): ALLO-ANTICORPS CATALYTIQUES DU FACTEUR VIII  
 INVENTOR(S): KAVERI, Srinivas; LACROIX-DESMAZES, Sebastien;  
 KAZATCHKINE, Michel  
 PATENT ASSIGNEE(S): INSTITUT NATIONAL DE LA SANTE ET DE LA RECHERCHE  
 MEDICALE (INSERM); BAYER PHARMA; KAVERI, Srinivas;  
 LACROIX-DESMAZES, Sebastien; KAZATCHKINE, Michel  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2001007918	A1	20010201
DESIGNATED STATES	AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HE HU ID IL IN IS JP KE KG KP KR KZ LB LK LP LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW GH GM KE LS MW MC SD SL SE TZ UG ZW AM AZ BY KG KE MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 2000-EP6870	A	20000718
PRIORITY INFO.:	EP 1999-99401841.4		19990721

ABEN The present invention relates to a method of determining the presence of  
 catalytic anti-Factor VIII allo-antibodies capable of degrading Factor  
 VIII in a mammal, and of characterising the cleavage sites in said  
 Factor VIII molecule by said catalytic anti-Factor VIII allo-antibodies.  
 It also relates to an anti-Factor VIII allo-antibody-catalysed Factor  
 VIII degradation inhibitor; and to a pharmaceutical composition  
 comprising said catalytic anti-Factor VIII allo-antibodies which are  
 capable of degrading Factor VIII and which originate from said method of  
 determination; and further to a pharmaceutical composition comprising  
 said anti-Factor VIII allo-antibody-catalysed Factor VIII degradation  
 inhibitor. Finally, the present invention relates to the application in  
 therapeutics of said anti-Factor VIII allo-antibody-catalysed Factor

VIII degradation inhibitor, of a pharmaceutical composition comprising said catalytic anti-Factor VIII allo-antibodies which are capable of degrading Factor VIII and which originate from said method of determination, and of a pharmaceutical composition comprising said anti-Factor VIII allo-antibody-catalysed Factor VIII degradation inhibitor.

ABFR

L139 ANSWER 31 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2001001749 PCTFULL ED 20020928  
TITLE (ENGLISH): FVIIa ANTAGONISTS  
TITLE (FRENCH): ANTAGONISTES DE FVIIa  
INVENTOR(S): DENNIS, Mark, S.; EIGENBROT, Charles; LAJARUS, Robert, A.  
PATENT ASSIGNEE(S): GENENTECH, INC.  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES

WO 2001001749	A2	20010111
AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU		
DE DK DM DO EE ES FI GB GD GE GH GM HE HU ID IL IN		
IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK		
MT MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM		
TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SD SL		
SC TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE		
DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI		
CM GA GN GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2000-US18294 A 20000630  
PRIORITY INFO.: US 1999-60/142,211 19990702

ABEN This invention provides novel compounds which prevent or block a FVIIa mediated or associated process or event such as the catalytic conversion of FX to FXa, FVII to FVIIa or FIX to FIXa. In particular aspects, the compounds of the invention bind Factor VIIa (FVIIa), its zymogen Factor VII (FVII) and/or block the association of FVII or FVIIa with a peptide compound of the present invention. The invention also provides pharmaceutical compositions comprising the novel compounds as well as their use in diagnostic, therapeutic, and prophylactic methods.

ABFR

L139 ANSWER 32 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
ACCESSION NUMBER: 2001001150 PCTFULL ED 20020928  
TITLE (ENGLISH): DIAGNOSTIC TEST FOR THROMBOTIC OR THROMBOEMBOLIC DISEASE  
TITLE (FRENCH): EXAMEN DIAGNOSTIQUE POUR LA THROMBOSE OU LA THROMBOEMBOLIE  
INVENTOR(S): MORRIS, Timothy, A.  
PATENT ASSIGNEE(S): REGENTS OF THE UNIVERSITY OF CALIFORNIA; MORRIS, Timothy, A.  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES

WO 2001001150	A2	20010104
AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CU CZ		
DE DK DM DO EE ES FI GB GD GE GH GM HE HU ID IL IN IS JP		
KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX		
MT MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM		
TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW MZ SL SL SZ TZ UG		
ZW AM AZ BY EG EG MD RU TJ TM AT BE CH CY DE DK ES FI		
FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN		
GW ML MR NE SN TD TG		

APPLICATION INFO.: WO 2000-US17977 A 20000630  
PRIORITY INFO.: US 1999-60/141,734 19990630

ABEN Thrombotic or thromboembolic disease is detected or monitored by

determining the presence or amount B in a physiological sample.

ABFR

L139 ANSWER 33 OF 100 EUROPATEFULL COPYRIGHT 2000 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1074-42 EUROPATEFULL EW 200106 FS OS  
TITLE: Catalytic anti-factor VIII allo-antibodies.  
Katalytische gegen Faktor VIII spezifische  
Alloantikörper.  
Catalytic anti-factor VIII allo-antibodies.  
INVENTOR(S): Kaveri, Srinivas, 15, rue Lucien et Edouard Gerber,  
32140 Malakoff, FR;  
Lacroix-Desmazes, Sebastien, 33, rue de St-Cloud, 92410  
Ville D'Avray, FR;  
Kazatchkine, Michel, 1, rue Le Goff, 75005 Paris, FR  
PATENT ASSIGNEE(S): INSTITUT NATIONAL DE LA SANTE ET DE LA RECHERCHE  
MEDICALE (INSERM), 101, rue de Tolbiac, 75654 Paris  
Cedex 13, FR;  
Bayer Pharma, 13, rue Jean Jaures, 92807 Puteaux Cedex,  
FR  
PATENT ASSIGNEE NO: 248480; 1666151  
AGENT: Portal, Gerard et al., Cabinet Beau de Lomenie 153, rue  
de l'Universite, 75340 Paris Cedex 07, FR  
AGENT NUMBER: 48943  
OTHER SOURCE: BEPAL001012 EP 1074842 A1 0020  
SOURCE: Wila-EPZ-2001-H06-T2a  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R  
GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R  
SE; R AL; R LT; R LV; R MK; R RO; R SI  
PATENT INFO.PUB.TYPE: EPAL EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:  

PATENT NO	KIND DATE
EP 1074842	A1 20010207
'OFFENLEGUNGS' DATE:	20010207
APPLICATION INFO.:	EP 1399-401841 19990721

L139 ANSWER 34 OF 100 EUROPATEFULL COPYRIGHT 2000 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 833848 EUROPATEFULL EW 200137 FS PS STA R  
TITLE: FACTOR IX BINDING PEPTIDES, DERIVED FROM FACTOR VIII AND  
THEIR USE AS INHIBITORS OF BLOOD COAGULATION.  
FAKTOR IX BINDENDE PEPTIDE ABGELEITET VON FAKTOR VII UND  
IHRE VERWENDUNG ALS INHIBITOREN DER BLUTGERINNUNG.  
PEPTIDES LIANT LE FACTEUR IX DERIVES DU FACTEUR VIII ET  
LEUR UTILISATION COMME INHIBITEURS DE LA COAGULATION DU  
SANG.  
INVENTOR(S): MEERTENS, Koenraad, Domela Nieuwenhuislaan 14, 2314 ES  
Leiden, NL;  
LENTING, Petrus Johannes, Stoombootweg 8, 1035 TW  
Amsterdam, NL  
PATENT ASSIGNEE(S): Stichting Sanguin Bloedvoorziening, Plesmanlaan 125,  
1046 CK Amsterdam, NL  
PATENT ASSIGNEE NO: 1530 30  
AGENT: Smulders, Theodorus A.H.J., Ir. et al., Vereenigde  
Postbus 87930, 2508 LH Den Haag, NL  
AGENT NUMBER: 11191  
OTHER SOURCE: BEPE2001041 EP 0833848 B1 0017  
SOURCE: Wila-EPS-2001-H37-T1

DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: HIBL EUROPAISCHE PATENTSCHRIFT Internationale  
Anmeldung  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 34334-	B1 10010917
'OFFENLEGUNGS' DATE:		19980409
APPLICATION INFO.:	EP 1996-117217	19960612
PRIORITY APPLN. INFO.:	EP 1995-201534	19950612
RELATED DOC. INFO.:	WO 96-NL136	960612 INTAKK
	WO 9641816	961227 INTENK
REFERENCE PAT. INFO.:	WO 96-15615 A	WO 96-00572 A
REF. NON-PATENT-LIT.:	JOURNAL OF BIOLOGICAL CHEMISTRY, vol. 269, no. 10, 11 March 1994, MD US, pages 7150-7155, XP000017254 P. LENTING ET AL: "Identification of a binding site for blood coagulation factor IXa on the light chain of human factor VIII" cited in the application ANNUAL REPORT DR KARL LANDSTEINER FOUNDATION, AMSTERDAM NL, pages 1-2, XP000017255 J. VAN DE LOO ET AL: "Identification and characterization of the binding site of a murine monoclonal antibody that inhibits factor VIII function" cited in the application NATURE, vol. 312, pages 337-342, XP002017256 G. VEHAR ET AL: "Structure of human factor VIII" JOURNAL OF BIOLOGICAL CHEMISTRY, vol. 265, no. 3, 25 January 1990, MD US, pages 1484-1489, XP000017257 F. WALKER ET AL: "Identification of the binding site for activated protein C on the light chain of factors V and VIII" JOURNAL OF BIOLOGICAL CHEMISTRY 271 (4). 1996. 1935-1940. ISSN: 0021-9258, 26 January 1996, XP002017258 LENTING P J ET AL: "The sequence Glu-1811-Lys-1818 of human blood coagulation factor VIII comprises a binding site for activated factor IX."	

L139 ANSWER 35 OF 100 EUROPATEFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 692025 EUROPATEFULL EW 200142 FS PS STA R  
TITLE: YEAST CELLS ENGINEERED TO PRODUCE PHEROMONE SYSTEM  
PROTEIN SURROGATES, AND USES THEREFOR.  
HEFE ZELLEN SO KONSTRUIERT, DASS SIE PROTEINSURROGATE  
DES PHEROMONSYSTEMS PRODUZIEREN UND ANWENDUNGEN DAFUER.  
CELLULES DE LEVURE TRAITEES POUR PRODUIRE DES SUBSTITUTS  
DE PROTEINES DU SYSTEME DE PHEROMONES, ET LEURS EMPLOIS.  
INVENTOR(S): FOWLKES, Dana, Merriman 90 Green Street, Apartment 2,  
New York, NY 10012, US;  
BROACH, Jim 360 East 88th Street, Apartment 2A, New  
York, NY 10128, US;  
MANFREDI, John 666 Greenwich Street, Apartment 556, New  
York, NY 10014, US;  
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AGENT: Price, Vincent Andrew et al., FRY HEATH & SPENCE The Old

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 AGENT NUMBER: 74513  
 OTHER SOURCE: BHPB0001051 EP 0692025 B1 0068  
 SOURCE: Wila EPS-2001-H43-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT Internationale  
 Anmeldung;  
 PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 642025	B1 20011017
'OFFENLEGUNGS' DATE:		19960117
APPLICATION INFO.:	EP 1994-912292	19940323
PRIORITY APPLN. INFO.:	US 1993-41431	19930331
	US 1994-190328	19940131
RELATED DOC. INFO.:	WO 94-US3143	940323 INTAKE
	WO 9423025	941013 INTPNR
REFERENCE PAT. INFO.:	WO 92-05244 A	
REF. NON-PATENT-LIT.:	SCIENCE vol. 250, October 1990, LANCASTER, PA US pages 121 - 123 KLIM KING ET AL. 'Control of yeast mating signal transduction by a mammalian beta2-adrenergic receptor and Gs alpha subunit' CELL vol. 66, 20 September 1991, CAMBRIDGE, MA US pages 1127 - 1206 D. J. LEW ET AL. 'Isolation of three novel human cyclins by rescue of G1 cyclin (Cln) function in yeast' CELL vol. 65, 17 May 1991, CAMBRIDGE, MA US pages 691 - 699 YUE XIONG ET AL. 'Human D-type cyclin' PROCEEDINGS OF THE NATIONAL ACADEMY OF SCIENCES OF USA vol. 89, October 1992, WASHINGTON US pages 9410 - 9414 M. WITHEWAY ET AL. 'Dominant negative selection of heterologous genes: Isolation of Candida albicans genes that interfere with Saccharomyces cerevisiae mating factor-induced cell cycle arrest' JOURNAL OF CELLULAR BIOCHEMISTRY vol. 18B, February 1994 page 224 J. MANFREDI ET AL. 'Autocrine stimulation of yeast through human G-coupled receptors' A. KOFF ET AL.,: 'HUMAN CYCLIN E, A NEW CYCLIN THAT INTERACTS WITH TWO MEMBERS OF THE CDC2 GENE FAMILY' CELL vol. 66, 1991, pages 1217 - 1228 D.A. HUGHES ET AL.,: 'COMPLEMENTATION OF BYE1 IN FISSION YEAST BY MAMMALIAN MAP KINASE REQUIRES COEXPRESSION OF FAF KINASE' NATURE vol. 364, 1993, pages 344 - 352	

L139 ANSWER 36 OF 100 MEDLINE DUPLICATE 2  
 ACCESSION NUMBER: 2002040823 MEDLINE  
 DOCUMENT NUMBER: 21619700 PubMed ID: 11768093  
 TITLE: [Research on synthetic peptides of biological interest].  
 Szintetikus peptidek a gyogyyszerkutatasban.  
 AUTHOR: Bajusz S  
 CORPORATE SOURCE: Gyogyyszerkutato Intezet Kft., Budapest, Pf. 82.-1325.  
 SOURCE: ACTA PHARMACEUTICA HUNGARICA, (2001) 71 (1) 13-24.  
 Journal code: 0414322. ISSN: 0001-6659.  
 PUB. COUNTRY: Hungary  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 LANGUAGE: Hungarian  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 200201  
 ENTRY DATE: Entered STN: 20020124  
 Last Updated on STN: 20020128  
 Entered Medline: 20020125  
 AB Research on synthetic **peptides** at the Institute for Drug  
 Research (IDR) is exemplified by an overview of the projects that resulted  
 in significant results. The first synthesis of oxytocin, a pituitary

hormone, in 1953 launched the research on synthetic **peptides** all over the world. This synthesis was reproduced by Bodanszky at the IDR in 1954, then, after some improvements, the process was presented to Richter to produce synthetic oxytocin for therapeutic purposes. Significant result was the first synthesis of the 39-member whole molecule of human ACTH, another pituitary hormone. A short SAR study on luteinizing hormone-releasing hormone (LHRH) led to an interesting analog, Cit-8-LHRH, and somewhat later, to the D-Cit-6-LHRH **analogues**, of which SR-75 became marketed under the name Cetrorelix. Studies on the brain **peptides**, enkephalins, resulted in GYKI-14,238, the first analog that showed analgesic activity upon systemic administration and whose human efficacy could also be proven during clinical examination. Significant results were also achieved in the research on anticoagulant **peptides**. The first highly potent **peptide** aldehyde **inhibitor** of thrombin, GYKI-14,166, was identified at the IDR as well as its stable analog, GYKI-14,766. This compound was selected for detailed preclinical study, licensed to Eli Lilly Company, got the generic name efegatran, and entered clinical trials. The first non-covalent **peptide inhibitor** of thrombin, GYKI-14,525, was also identified at the IDR. Thus IDR really provided the prototype of original thrombin **inhibitors** in the mid 70's, and **analogues** were prepared in many laboratories through two decades. IDR's current research program's objective includes a quest for **peptide** originals that can inhibit both thrombin and **factor Xa** in solution and also within plasma clots in which these enzymes are entrapped. Structures with such inhibitory profile were identified among the efegatran-related alpha-hydroxy acid and ethoxycarbonyl-amino acid derivatives. The follow-up molecules are even more promising as antithrombotics, and may also be useful for treatment of disseminated intravascular coagulation, an often fatal syndrome, so we continue working on this project.

L139 ANSWER 37 OF 100 PROMT COPYRIGHT 2002 Gale Group

ACCESSION NUMBER: 2000:953223 PROMT  
 TITLE: Tick Anticoagulant Peptides Have Inhibitory Activity.  
 SOURCE: Blood Weekly, (9 Nov 2000) .  
 ISSN: 1065-6073.  
 PUBLISHER: Charles W. Henderson  
 DOCUMENT TYPE: Newsletter  
 LANGUAGE: English  
 WORD COUNT: 412

\*FULL TEXT IS AVAILABLE IN THE ALL FORMAT\*

AB 2000 NOV 9 - (NewsRx.com) --  
 THIS IS THE FULL TEXT: COPYRIGHT 2000 Charles W. Henderson

Subscription: \$995.00 per year. Published weekly. P.O. Box 930409,  
 Birmingham, AL 35283-0409.

L139 ANSWER 38 OF 100 USPATEFULL

ACCESSION NUMBER: 2000:174602 USPATEFULL  
 TITLE: Pharmaceutical preparation for treating blood  
 coagulation disorders  
 INVENTOR(S): Turecek, Peter, Klosterneuburg/Weidling, Austria  
 Schwarz, Hans-Peter, Vienna, Austria  
 Eibl, Johann, Vienna, Austria  
 PATENT ASSIGNEE(S): Baxter Aktiengesellschaft, Vienna, Austria (non-U.S.  
 corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6165974		20001126
APPLICATION INFO.:	US 1999-245339		19990205 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-165745, filed on 6 Oct 1998, now patented, Pat. No. US 6039945 which is a		



division of Ser. No. US 1997-821763, filed on 20 Mar 1997, now patented, Pat. No. US 5866122, issued on 2 Feb 1999

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1996-518	19960320
	AT 1996-1573	19960904
	AT 1996-1673	19960920
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Weddington, Kevin E.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	19	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	10 Drawing Figure(s); 8 Drawing Page(s)	
LINE COUNT:	1552	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a pharmaceutical preparation for treating blood coagulation disorders which comprises purified prothrombinase factors, in particular purified prothrombin and optionally purified factor Xa as active component.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 39 OF 100 USPATEFULL  
ACCESSION NUMBER: 2000:101870 USPATEFULL  
TITLE: Pharmaceutical preparation for treating blood coagulation disorders  
INVENTOR(S): Turecek, Peter, Klosterneuburg/Weidling, Austria  
Schwarz, Hans-Peter, Vienna, Austria  
Eibl, Johann, Vienna, Austria  
PATENT ASSIGNEE(S): Baxter Aktiengesellschaft, Vienna, Austria (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6099837		20000808
APPLICATION INFO.:	US 1998-244762		19990205 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-165745, filed on 6 Oct 1998 which is a division of Ser. No. US 1997-821763, filed on 20 Mar 1997, now patented, Pat. No. US 5866122		

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1996-518	19960320
	AT 1996-1573	19960904
	AT 1996-1673	19960920
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Weddington, Kevin E.	
LEGAL REPRESENTATIVE:	Foley & Lardner	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	8 Drawing Figure(s); 8 Drawing Page(s)	
LINE COUNT:	1533	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a pharmaceutical preparation for treating blood coagulation disorders which comprises purified prothrombinase factors, in particular purified prothrombin and optionally purified factor Xa as active component.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 40 OF 100 USPATEFULL

ACCESSION NUMBER: 2000:34192 USPATFULL  
 TITLE: Pharmaceutical preparation for treating blood coagulation disorders  
 INVENTOR(S): Turesek, Peter, Klosterneuburg/Weidling, Austria  
 Schwarz, Hans-Peter, Vienna, Austria  
 Eibl, Johann, Vienna, Austria  
 PATENT ASSIGNEE(S): Baxter Aktiengesellschaft, Vienna, Austria (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5339445		20000321
APPLICATION INFO.:	US 1996-165745		19981006 (9)
RELATED APPLN. INFO.:	Division of Ser. No. US 1997-321763, filed on 20 Mar 1997, now patented, Pat. No. US 5366112		

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1996-518	19960320
	AT 1996-1573	19960904
	AT 1996-1673	19960920

DOCUMENT TYPE: Utility  
 FILE SEGMENT: Granted  
 PRIMARY EXAMINER: Weddington, Kevin E.  
 LEGAL REPRESENTATIVE: Foley & Lardner  
 NUMBER OF CLAIMS: 13  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 9 Drawing Figure(s); 9 Drawing Page(s)  
 LINE COUNT: 1524

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a pharmaceutical preparation for treating blood coagulation disorders which comprises purified prothrombinase factors, in particular purified prothrombin and optionally purified factor Xa as active component.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 41 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2000052034 PCTFULL ED 20020515  
 TITLE (ENGLISH): INHIBITORS OF SERINE PROTEASE ACTIVITY, METHODS AND COMPOSITIONS FOR TREATMENT OF VIRAL INFECTIONS  
 TITLE (FRENCH): INHIBITEURS D'ACTIVITE DE SERINE PROTEASE, METHODES ET COMPOSITIONS DE TRAITEMENT D'INFECTIONS VIRALES  
 INVENTOR(S): SHAPIRO, Leland  
 PATENT ASSIGNEE(S): THE TRUSTEES OF UNIVERSITY TECHNOLOGY CORPORATION  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 2000052034	A2	20000908
	AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KC LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TC TM TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TC UG ZW AM AZ BY KZ HT MD RU TJ TM AT BE CH CY DE DK EE FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		

APPLICATION INFO.:	WO 1999-US5558	A	20000303
PRIORITY INFO.:	US 1999-61/123,167		19990305
	US 1999-60/137,795		19990603

ABEN A novel method of treating and preventing viral infection is provided. In particular a method of blocking viral infection facilitated by a serine proteolytic (SP)

activity is disclosed, which consists of administering to a subject suffering or about to suffer from viral infection a therapeutically effective amount of a compound having a serine protease inhibitory or serpin activity. Among compounds are  $\alpha$ 1-antitrypsin (AAT), peptide derivatives from the carboxyterminal end of AAT, and man-made, synthetic compounds mimicking the action of such compounds. The preferred viral infections include retroviral infection such as human immunodeficiency virus (HIV) infection.

ABFR L'invention concerne une nouvelle methode de traitement et de prevention d'une infection virale. L'invention concerne, en particulier, une methode destinee a combattre une infection virale favorisee par une activite de serine proteolytique (SP), consistant a administrer a un sujet souffrant ou susceptible de souffrir d'une infection virale une quantite therapeutiquement efficace d'un compose presentant une activite d'inhibition de serine protease ou serpin. Parmi les composees se trouvent l'antitrypsine  $\alpha$ 1 (AAT), des derives peptidiques de l'extremite carboxyterminale de l'AAT, et des composees synthetiques artificiels imitant l'action de ces composees. Parmi les infections virales preferrees se trouvent les infections retrovirales telles que l'infection du virus de l'immunodeficiency humaine (VIH).

L139 ANSWER 42 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 2000051625 PCTFULL ED 20020515

TITLE (ENGLISH): INHIBITORS OF SERINE PROTEASE ACTIVITY, METHODS AND COMPOSITIONS FOR TREATMENT OF HERPES VIRUSES

TITLE (FRENCH): INHIBITEURS D'ACTIVITE DE SERINE PROTEASE, METHODES ET COMPOSITIONS DE TRAITEMENT DE VIRUS DE L'HERPES

INVENTOR(S): SHAPIRO, Leland

PATENT ASSIGNEE(S): THE TRUSTEES OF UNIVERSITY TECHNOLOGY CORPORATION

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2000051625	A1	20000908

DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CP CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TE UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-US5557 A 20000303

PRIORITY INFO.: US 1993-60/123,167 19990305

US 1993-60/153,942 19990915

ABEN Novel compositions and methods of treating and preventing a viral infection are provided. A method of blocking a viral infection facilitated by a serine proteolytic (SP) activity is disclosed, which involves administering to a subject suffering or about to suffer from a viral infection a therapeutically effective amount of a substance having serine protease inhibitory activity or serpin activity. Among the substances found to be useful are  $\alpha$ 1-antitrypsin (AAT), peptide

derivatives from the carboxy terminal end of AAT and synthetic drugs mimicking the action of such substances. The invention is particularly well suited for checking a viral infection mediated by members of herpesviridae family.

ABFR L'invention concerne de nouvelles compositions et methodes de traitement et de prevention d'une infection virale. L'invention concerne une methode visant a bloquer une infection virale favorisee par une activite proteolytique de serine (SP), consistant a administrer a un sujet souffrant ou susceptible de souffrir d'une infection virale une quantite therapeutiquement efficace d'une substance presentant une activite d'inhibition de serine protease ou serpin. Parmi les substances utiles se trouvent l'antitrypsine  $\alpha_1$  (AAT), des derives peptidiques de l'extremite carboxyterminale de l'AAT et des medicaments synthetiques imitant l'action de ces substances. L'invention est particulierement appropriee dans le depistage d'une infection virale a mediation de membres de la famille des Herpesviridae.

L139 ANSWER 43 OF 130 PCTFULL COPYRIGHT 2000 Univentio

ACCESSION NUMBER: 2000051623 PCTFULL ED 20020515

TITLE (ENGLISH): INHIBITORS OF SERINE PROTEASE ACTIVITY, METHODS AND COMPOSITIONS FOR TREATMENT OF NITRIC OXIDE-INDUCED CLINICAL CONDITIONS

TITLE (FRENCH): INHIBITEURS D'ACTIVITE DE SERINE PROTEASE, METHODES ET COMPOSITIONS DE TRAITEMENT DES ETATS CLINIQUES DUS AU BIOXYDE D'AZOTE

INVENTOR(S): SHAPIRO, Leland

PATENT ASSIGNEE(S): THE TRUSTEES OF UNIVERSITY TECHNOLOGY CORPORATION

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 2000051623	A2	20000308

DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CZ DE DK DM EE ES FI GB GD GE GH GM HE HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 2000-035556 A 20000303

PRIORITY INFO.: US 1999-60/123,147 19990305

US 1999-60/156,523 19990329

ABEN A novel method of treating and preventing diseases is provided. In particular, compositions and methods of blocking diseases associated with aberrant levels of nitric oxide and facilitated by a serine proteolytic (SP) activity are disclosed, which consist of administering to a subject a therapeutically effective amount of a compound having a serine protease inhibitory activity. Among effective compounds are  $\alpha_1$ -antitrypsin and synthetic drugs mimicking some or all of the actions of  $\alpha_1$ -antitrypsin.

ABFR L'invention concerne une nouvelle methode de traitement et de prevention de maladies. L'invention concerne en particulier des compositions et des methodes destinees a bloquer des

maladies associees a des niveaux anormaux de monoxyde d'azote et favorisees par une activite proteolytique de serine (SP), consistant a administrer a un sujet une quantite therapeutiquement efficace d'un compose presentant une activite d'inhibition de serine protease. Parmi les compises efficaces se trouvent l'antitrypsine (alpha1) et des medicaments synthetiques imitant certaines ou toutes les actions de l'antitrypsine (alpha1).

LI39 ANSWER 44 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2000050450 PCTFULL ED 20020515  
 TITLE (ENGLISH): MITE PROTEIN  
 TITLE (FRENCH): PROTEINE D'ACARIEN  
 INVENTOR(S): MATTSSON, Jens  
 PATENT ASSIGNEE(S): STATENS VETERINAERMEDICINSKA ANSTALT; MATTSSON, Jens  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 2000050450	A1	20000331
DESIGNATED STATES	AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW		

APPLICATION INFO.: WO 2000-SE346 A 20000222  
 PRIORITY INFO.: SE 1999-9900674-4 19990225

ABEN The present invention relates to a novel major mite antigen, which according to the invention has been isolated and sequenced for the first time. More specifically, said antigen is a protein originating from the mite i(Sarcoptes scabiei). Thus, the invention relates to said antigen as well as to the encoding nucleic acid as defined in the claims. Further, the invention also relates to advantageous uses of the novel protein and/or functional fragments thereof, e.g. in immunological testing, such as in ELISA methods, as well as in the preparation of vaccines.

ABFR La presente invention concerne un nouvel antigene acarien majeur qui selon la presente invention a ete isole et sequence pour la premiere fois. De maniere plus specifique, ledit antigene est une proteine issue de l'acarien i(Sarcoptes scabiei.) L'invention concerne par consequent ledit antigene ainsi que l'acide nucleique de codage tel qu'il est defini dans les revendications. En outre, l'invention concerne egalement les utilisations interessantes de la nouvelle proteine et/ou de ses fragments fonctionnels, par exemple dans les analyses immunologiques telles que les procedes ELISA, ainsi que dans la preparation de vaccins.

LI39 ANSWER 45 OF 100 PCTFULL COPYRIGHT 2000 Univentio  
 ACCESSION NUMBER: 2000039310 PCTFULL ED 20020515  
 TITLE (ENGLISH): RUBREDOXIN FUSION PROTEINS, PROTEIN EXPRESSION SYSTEM AND METHODS  
 TITLE (FRENCH): PROTEINES HYBRIDES DE RUBREDOXINE, SYSTEME ET METHODES D'EXPRESSION DE PROTEINE  
 INVENTOR(S): PRZYBYLA, Alan; MENON, Nanda

PATENT ASSIGNEE(S): THE UNIVERSITY OF GEORGIA RESEARCH FOUNDATION, INC.;  
PRZYBYLA, Alan; MENON, Nanda  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

WD 2000039310 A1 20000706

DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE  
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX  
NI NZ PL PT RO RU SD SE SG SI SK SL TC TM TR TT UA UG  
US VZ VN YU ZA ZW ZH ZM ZE ZS ZW ZY ZZ ZT ZU ZV ZW ZY ZZ  
AZ BY EG KZ MD RU TC TM AT BE CH CY DE DK ES FI FR GE  
GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML  
MR NE SN TD TG

APPLICATION INFO.: WD 1999-US31176 A 19991229  
PRIORITY INFO.: US 1998-60/114,334 19981229

ABEN A recombination fusion protein is presented which comprises rubredoxin as the fusion partner. The fusion protein optionally includes an intervening spacer region between the rubredoxin constituent and the fused polypeptide of interest that can contain a proteolytic cleavage site for release of the polypeptide of interest. The fusion protein can contain one or more sites for affinity purification. The invention also includes methods and materials for making and using the rubredoxin fusion protein. Also provided are antigenic compounds and compositions, including vaccines, comprising a rubredoxin as a carrier molecule linked to an antigen or hapten.

ABFR Cette invention a trait a une nouvelle proteine hybride de recombinaison comprenant de la rubredoxine comme partenaire de fusion. Cette proteine hybride peut, eventuellement, comprendre une region d'espacement intervenante entre le constituant rubredoxine et le polypeptide fusionne etudie, pouvant comporter un site de clivage proteolytique pour la liberation dudit polypeptide. Cette proteine hybride peut contenir un ou plusieurs sites pour purification par affinite. L'invention concerne egalement des methodes et des substances permettant de produire et d'utiliser la proteine hybride rubredoxine. Elle porte, en outre, sur des composees et des compositions antigeniques, notamment des vaccins, renfermant la rubredoxine comme molecule porteuse liee a un antigene ou a un haptene.

L139 ANSWER 46 OF 100 PTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 2000030646 PTFULL ED 20020515  
TITLE (ENGLISH): HETEROCYCLIC COMPOUNDS REGULATING CLOTTING  
TITLE (FRENCH): COMPOSES HETEROCYCLIQUES REGULANT LA COAGULATION  
INVENTOR(S): JAKOBSEN, Palle; HORNEMANN, Anne, Marie; PERSSON, Egon  
PATENT ASSIGNEE(S): NOVO NORDISK A/S  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

WI 2000130646 A1 20000602

DESIGNATED STATES

AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE  
DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE  
KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX

NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA  
 US VZ VN YU ZA ZW GH GM KE LS MW SD SL SZ TG UG ZW AM  
 AE BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB  
 GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML  
 MR NE SN TD TG

APPLICATION INFO.: WO 1994-DE646 A 19991123  
 PRIORITY INFO.: DE 1994-PA 1999 11560 19991126

ABEN The invention relates to the use of heterocyclic compounds with formulas (I) and (II), and pharmaceutical acceptable salts thereof, for the manufacture of a pharmaceutical preparation for treatment of coagulation-related diseases. The compounds are inhibitors of TF-FVIIa activity and thus show anticoagulant activity. The invention also relates to methods of treatment. The invention furthermore relates to novel compounds with the formula (I) or (II).

ABFR La presente invention concerne l'utilisation de composés heterocycliques representés par les formules (I) et (II), et de leurs sels acceptables sur le plan pharmaceutique, dans la fabrication d'une préparation pharmaceutique destinée au traitement des maladies liées à la coagulation. Ces composés sont des inhibiteurs de l'activité de TF-FVIIa et ont par conséquent une activité anticoagulante. En outre, cette invention concerne des méthodes de traitement, ainsi que de nouveaux composés représentés par les formules (I) ou (II).

L139 ANSWER 47 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000015658 PCTFULL ED 20020515  
 TITLE (ENGLISH): FACTOR VIIa INHIBITORS  
 TITLE (FRENCH): INHIBITEURS DU FACTEUR VIIa  
 INVENTOR(S): SAFAR, Pavel; SAFAROVA, Alena; WILDGOOSE, Peter  
 PATENT ASSIGNEE(S): AVENTIS PHARMA DEUTSCHLAND GMBH  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
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DESIGNATED STATES	WO 2000015658	A1 20000323
	AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE	
	DK DM EE ES FI GB GD GE GH GM HK HU ID IL IN IS JP KE	
	KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO	
	NI PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ	
	VN YU ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY KG	
	KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT	
	LU MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN	
	TD TG	

APPLICATION INFO.: WO 1999-EP6449 A 19990903  
 PRIORITY INFO.: EP 1998-93117506.0 19980915

ABEN The present invention relates to novel compounds, their preparation, their use and pharmaceutical compositions containing the compounds which have a strong antithrombotic effect through reversible inhibition of activated blood coagulation factor VIIa (FVIIa).

ABFR L'invention porte sur de nouveaux composés, leur préparation, leurs utilisations, et sur des préparations pharmaceutiques les contenant présentant une forte activité antithrombotique en tant qu'inhibiteurs réversibles du facteur VIIa d'activation de la coagulation du sang (FVIIa).

L139 ANSWER 48 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 2000043992 PCTFULL ED 20020515

TITLE (ENGLISH): ARRAYS OF PROTEINS AND METHODS OF USE THEREOF  
 TITLE (FRENCH): GROUPEMENTS DE PROTEINES ET PROCEDES D'UTILISATION DE  
 CEUX-CI  
 INVENTOR(S): WASNER, Peter; AULT-RICHE, Dana; NOCK, Steffen; ITIN,  
 Christian  
 PATENT ASSIGNEE(S): CYEMMYX, INC.  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 2000004382 A1 20000127  
 AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
 EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
 KR KS LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
 PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG UZ VN YU  
 ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY KG KE MD  
 RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
 NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 1998-US15971 A 19990714  
 PRIORITY INFO.: US 1998-09/115,455 19990714

ABEN Protein arrays for the parallel, i(in vitro) screening of biomolecular  
 activity are provided.  
 Methods of using the protein arrays are also disclosed. On the arrays, a  
 plurality of different  
 proteins, such as different members of a single protein family, are  
 immobilized on one or more  
 organic thin films on the substrate surface. The protein arrays are  
 particularly useful in drug  
 development, proteomics, and clinical diagnostics.  
 ABFR L'invention concerne des groupements de proteines permettant de mettre  
 en oeuvre un criblage  
 i(in vitro) en parallele d'activite biomoleculaire. Des procedes  
 d'utilisation des groupements de  
 proteines sont egalement decrits. Dans les groupements, plusieurs  
 proteines differentes telles que  
 des membres differents d'une seule famille de proteines, sont  
 immobilisees sur un ou plusieurs films  
 minces organiques a la surface du substrat. Les groupements de proteines  
 sont particulierement  
 utiles dans le developpement de medicaments, la proteomique et le  
 diagnostic clinique.

L139 ANSWER 49 OF 100 EUROPATEFULL COPYRIGHT 2002 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 987274 EUROPATEFULL EW 200012 ES OS  
 TITLE: Factor VIIa Inhibitors.  
 Faktor VIIa Inhibitore.  
 Inhibitoren du facteur VIIa.  
 INVENTOR(S): Safar, Pavel, 12431 N. Forest Lake Way, Tucson AZ 85737,  
 US;  
 Safarova, Alena, 12431 N. Forest Lake Way, Tucson AZ  
 85737, US;  
 Wildgoose, Peter, Hintergasse 13, 61440 Oberursel, DE  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel Deutschland GmbH, Brueningstrasse  
 50, 65929 Frankfurt am Main, DE  
 PATENT ASSIGNEE NO: 2370400  
 OTHER SOURCE: BEPA 000020 EP 0987274 A1 2029  
 SOURCE: Wila-EPZ-2000-H12-T1a  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R  
 GE; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R



PATENT INFO.PUB.TYPE: SE; R AL; R LT; R LV; R MK; R RO; R SI  
EPAL EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 987274	A1 10000311
'OFFENLEGUNGS' DATE:		10000311
APPLICATION INFO.:	EP 1998-117506	19980411

L139 ANSWER 50 OF 100 EUROPATFULL COPYRIGHT 2000 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 863871 EUROPAFULL EW 200010 FS PS  
TITLE: ANTICOAGULANT PEPTIDE ALDEHYDE DERIVATIVES.  
PEPTID-ALDEHYDDEFIVATE ALS ANTIKOAGULIERENDE MITTEL.  
DERIVES D'ALDEHYDE PEPTIDIQUES ANTICOAGULANTS.  
INVENTOR(S): BACUSZ, Sandor, Derek u. 16/a, H-1016 Budapest, HU;  
JUHASZ, Attila, Fethehazy u. 23, H-1139 Budapest, HU;  
BARABAS, Eva, Pusztaszeri ut 6, H-1025 Budapest, HU;  
FERER, Andras, Tuzko u. 6, H-1118 Budapest, HU;  
SCABO, Gabriella, Vaci ut 8, H-1132 Budapest, HU;  
SZELL, Ersebet, Heves u. 64, H-1106 Budapest, HU;  
VEGHELYI, Iren, Labanc u. 6/b, H-1021 Budapest, HU;  
LAVICH, Emilia, Frankovics M. u. 33, H-1150 Budapest, HU;  
KASZAS, Eva, Nyar u. 69, H-1045 Budapest, HU;  
LANGO, Jozsef, Amfiteatrum u. 11, H-1031 Budapest, HU;  
MORAVCSIK, Imre, Mester u. 38, H-1095 Budapest, HU;  
SZEKER, Agnes, Deak F. u. 81, H-1041 Budapest, HU;  
TASCHLER, Zsuzsanna, Amfiteatrum u. 27, H-1031 Budapest, HU;  
TOTH, Gabor, Veres P. u. 74, H-1163 Budapest, HU;  
MOHAI, Zsuzsanna, Barotka u. 48, H-1173 Budapest, HU;  
SZALKAY, Anna, Maria, Szodliget u. 10, H-1151 Budapest, HU;  
PATENT ASSIGNEE(S): MAKK, Klara, Liget u. 26, H-2623 Kismaros, HU  
GYOGYSZERKUTATO INTEZET KFT., Berliu u. 47-49, H-1045 Budapest, HU  
PATENT ASSIGNEE NO: 1668100  
AGENT: Beszedes, Stephan G., Dr. et al., Patentanwalt Postfach 11 68, 85301 Dachau, DE  
AGENT NUMBER: 1932  
OTHER SOURCE: BEPB2000011 EP 0863871 B1 0019  
SOURCE: Wila-EPS-2000-H10-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 963871	B1 20000308
'OFFENLEGUNGS' DATE:		19980916
APPLICATION INFO.:	EP 1997-201209	19970605
PRIORITY APPLN. INFO.:	HU 1996-1527	19960605
RELATED DOC. INFO.:	WO 97-0037	970605 INTAP2
	WO 9746522	971211 INTNRE

REF. NON-PATENT-LIT.: JONES D.M. ET AL.: "Design and synthesis of thrombin inhibitors" LETT.PEPT.SCI., vol. 2, no. 3/4, 1995, pages 147-154, XP002041031 SHUMAN R.T. ET AL.: "Structure-Activity Study of Tripeptide Thrombin Inhibitors Using Alpha-Alkyl Amino Acids and other

Conformationally Constrained Amino Acids" J.MED.CHEM.,  
vol. 38, 1995, pages 4446-4453, XP002041032 BAJUSZ S. ET  
AL.: "Active Site-Directed Thrombin Inhibitors:  
Alpha-Hydroxyacyl-prolyl-arginals. New Crally active  
Stable Analogs of D-Phe-Pro-Arg-H" BIOORGANIC & MEDICAL  
CHEMISTRY, vol. 3, no. 8, 1995, pages 1079-1089,  
XP002041033 cited in the application

1139 ANSWER 51 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 830371 EUROPATFULL EW 200051 ES PS  
TITLE: IMIDAZO(1,5A)PYRIDINE DERIVED SERINE PROTEASE  
INHIBITORS.  
AUS IMIDAZO-(1,5A)-PYRIDIN STAMMENDE INHIBITOREN VON  
SERINPROTEASEN.  
INHIBITEURS DE SERINE PROTEASE DERIVES DE  
IMIDAZO(1,5A)PYRIDINE.  
INVENTOR(S): OTTENHEYM, Henricus Carl Joseph, Gagelveld 5, 6596 CC  
Milsbeek, NL;  
ADAMS, Anton Egbert Peter, De Sage ten Broeklaan 77,  
5615 CR Eindhoven, NL;  
PETERS, Jacobus Albertus Maria, Meerval 23, 5345 DB Oss,  
NL  
PATENT ASSIGNEE(S): Akzo Nobel N.V., Velperweg 76, 6824 BM Arnhem, NL  
PATENT ASSIGNEE NO: 200754  
AGENT: Hogenbirk, Marijke et al., P.O. Box 20, 5340 BH Oss, NL  
AGENT NUMBER: 96991  
OTHER SOURCE: BEP320000000 EP 0830371 B1 0019  
SOURCE: Wila-EPS-2000-H51-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
IE; R IT; R LI; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPASISCHE PATENTSCHRIFT (Internationale  
Anmeldung)  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 830371	B1 20001220
'OFFENLEGUNGS' DATE:		19980325
APPLICATION INFO.:	EP 1246-919638	19960529
PRIORITY APPLN. INFO.:	EP 1246-201448	19950602
RELATED DOC. INFO.:	WO 96-EP2298	960529 INTAK3
	WO 9633470	961205 INTPNR
REFERENCE PAT. INFO.:	EP 235483 A	
REF. NON-PATENT-LIT.:	LIEBIGS ANNALEN DER CHEMIE, no. 9, September 1983, WEINHEIM DE, pages 1623-1637, XP002014819 C KLEIN ET AL.: "Umwandlung von omega-Guanidino- und omega-Ureido-alpha-aminosaeuren in alpha-Ketosaeuren und deren heterocyclische Folgeprodukte " cited in the application TETRAHEDRON, vol. 48, no. 24, 12 June 1992, OXFORD GB, pages 5191-5198, XP002014820 R GONZALEZ-MUNIZ ET AL.: "Synthesis of 2-substituted 8-amino-3- oxoindolizidine-2-carboxylic acid derivatives as peptide conformation mimetics"	

1139 ANSWER 52 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 529631 EUROPATFULL EW 200021 ES PS  
TITLE: IMPROVED INHIBITORS OF THROMBIN.  
VERBESSERTER THROMBININHIBITOREN.

INVENTOR(S): INHIBITEURS AMELIORES DE THROMBINE.  
 MARAGANOIRE, John, M., 17 Highland Street, Concord, MA  
 01741, US;  
 CARLONSKI, Jo-Ann, M., 9 Summer Street, Middleborough,  
 MA 01346, US;  
 BOURDON, Paul, R., 17 1/2 Vinal Avenue, Somerville, MA  
 02143, US  
 PATENT ASSIGNEE(S): BIOGEN, INC., 14 Cambridge Center, Cambridge  
 Massachusetts 02142, US  
 PATENT ASSIGNEE NO: 1049451  
 AGENT: VOSSIUS & PARTNER, Postfach 86 07 67, 81634 Muenchen, DE  
 AGENT NUMBER: 100311  
 OTHER SOURCE: BEPBL001122 EP 0529031 B1 0026  
 SOURCE: Wila-EP3-2000-H21-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IT; R LI; R LU; R MC; R NL; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)

PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 529031	B1	20000524
'OFFENLEGUNGS' DATE:			19930303
APPLICATION INFO.:	EP 1992-905743		19920203
PRIORITY APPLN. INFO.:	US 1991-653929		19910203
RELATED DOC. INFO.:	WO 92-US836	920203	INTAKZ
	WO 9213952	920820	INTPNR
REFERENCE PAT. INFO.:	EP 19589 A	EP 118280	A
	WO 91-02750 A		
REF. NON-PATENT-LIT.:	Biochemistry, vol. 29, 1990, (Easton, PA, US), J.M. MARAGANOIRE et al.: "Design and characterization of Hirulogs: A novel class of Bivalent peptide inhibitors of thrombin" pages 7095-7101, see abstract, page 7099, left-hand column, lines 11-13 Scand. J. Haematol., vol. 31, 1983, (Copenhagen, DK), G.F. HANDELAND et al.: "Simplified assay for antithrombin III activity using chromogenic peptide substrate", pages 427-436, see abstract; page 435, left-hand column, paragraphs 2-3		

L139 ANSWER 53 OF 100 USPATEFULL DUPLICATE 3  
 ACCESSION NUMBER: 1999:33980 USPATEFULL  
 TITLE: Inhibitors of factor Xa  
 INVENTOR(S): Brunck, Terence Kevin, San Diego, CA, United States  
 Webb, Thomas Roy, Encinitas, CA, United States  
 Ripka, William Charles, San Diego, CA, United States  
 PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United  
 States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5983077		19990316
APPLICATION INFO.:	US 1993-163964		19931115 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-991204, filed on 15 Dec 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		
LEGAL REPRESENTATIVE:	Lyon & Lyon LLP		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)		
LINE COUNT:	1521		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds, their salts and compositions related thereto having activity against mammalian **factor Xa** are disclosed. The novel compounds include **peptide aldehyde analogues** having substantial potency and specificity as **inhibitors** of mammalian **factor Xa** are further disclosed. The compounds are thought useful as **inhibitors of factor xa** in vitro or as a therapeutic agent for the prevention and treatment of conditions characterized by abnormal thrombosis in mammals. Intermediates useful for the preparation of the novel compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 54 OF 100 USPATEFULL

ACCESSION NUMBER: 1999:15493 USPATEFULL  
TITLE: Pharmaceutical preparation for treating blood coagulation disorders  
INVENTOR(S): Turecek, Peter, Weidling, Austria  
Schwarz, Hans-Peter, Vienna, Austria  
Eibl, Johann, Vienna, Austria  
PATENT ASSIGNEE(S): Immuno Aktiengesellschaft, Vienna, Austria (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5866122		19990202
APPLICATION INFO.:	US 1997-821763		19970320 (3)

	NUMBER	DATE
PRIORITY INFORMATION:	AT 1996-518	19960320
	AT 1996-1573	19960904
	AT 1996-1673	19960920

DOCUMENT TYPE: Utility  
FILE SEGMENT: Granted  
PRIMARY EXAMINER: Weddington, Kevin E.  
LEGAL REPRESENTATIVE: Foley & Lardner  
NUMBER OF CLAIMS: 45  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 10 Drawing Figure(s); 3 Drawing Page(s)  
LINE COUNT: 1609

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is disclosed a pharmaceutical preparation for treating blood coagulation disorders which comprises purified prothrombinase factors, in particular purified prothrombin and optionally purified factor Xa as active component.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 55 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1999066913 PCTFULL ED 20020915  
TITLE (ENGLISH): THERAPEUTIC METHODS EMPLOYING DISULFIDE DERIVATIVES OF DITHIOCARBAMATES AND COMPOSITIONS USEFUL THEREFOR  
TITLE (FRENCH): METHODES THERAPEUTIQUES UTILISANT DES DERIVES DE BISULFURE DE DITHIOCARBAMATES ET COMPOSITIONS UTILISEES  
INVENTOR S : LAI, Ching-San; VASSILEV, Vassil  
PATENT ASSIGNEE(S): MEDINIX, INC.; LAI, Ching-San; VASSILEV, Vassil  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9966913		A1 19991229
DESIGNATED STATES	AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK		

EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
 KR KI LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
 PT RD RU SD SE SG SI SK SL TJ TM TR TT UA US UZ VN  
 YU ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY EG KZ  
 MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU  
 MC NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD  
 TH

APPLICATION INFO.: WO 1999-0914237 A 19990622  
 PRIORITY INFO.: US 1998-09/103,639 19980623

ABEN The present invention provides a novel dithiocarbamate disulfide dimer useful in various therapeutic treatments, either alone or in combination with other active agents. In one method, the disulfide derivative of a dithiocarbamate is coadministered with an agent that inactivates (or inhibits the production of) species that induce the expression of nitric oxide synthase to reduce the production of such species, while, at the same time reducing nitric oxide levels in the subject. In another embodiment, free iron ion levels are reduced in a subject by administration of a disulfide derivative of a dithiocarbamate(s) to scavenge free iron ions, for example, in subjects undergoing anthracycline chemotherapy. In another embodiment, cyanide levels are reduced in a subject by administration of a disulfide derivative of a dithiocarbamate so as to bind cyanide in the subject. In a further aspect, the present invention relates to compositions and formulations useful in such therapeutic methods.

ABFR La présente invention porte sur un nouveau dithiocarbamate bisulfure dimère utilise dans divers traitements thérapeutiques, soit seul, soit en combinaison avec d'autres agents actifs. Selon un procédé, le dérivé de bisulfure d'un dithiocarbamate est administré conjointement avec un agent qui désactive (ou inhibe la production) d'espèces induisant l'expression de la synthase de l'oxyde nitrique de façon à réduire la production de ces espèces tout en réduisant, en même temps, les taux d'oxyde nitrique chez le sujet. Selon une autre réalisation, on réduit chez un sujet les taux d'ions ferriques libres en administrant un dérivé de bisulfure d'un dithiocarbamate de façon à piéger des ions ferriques libres, par exemple, chez des sujets soumis à une chimiothérapie à l'anthracycline. Selon une autre réalisation, on réduit les taux de cyanure chez un sujet en administrant un dérivé de bisulfure de dithiocarbamate de façon à fixer le cyanure chez le sujet. La présente invention porte également sur des compositions et des formulations utilisées dans ces procédés thérapeutiques.

L139 ANSWER 56 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1299348878 PCTFULL ED 20020515  
 TITLE (ENGLISH): HETEROCYCLIC COMPOUNDS REGULATING CLOTTING  
 TITLE (FRENCH): COMPOSES HETEROCYCLIQUES REGULATEURS DE COAGULATION  
 INVENTOR(S): PERSSON, Egon; JAKOBSEN, Palle; WORSAAE, Helle  
 PATENT ASSIGNEE(S): NOVO NORDISK A/S  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9948878	A1	19990930

DESIGNATED STATES AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK  
EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP  
KR KZ LC LR LS LT LU LV MD MG MK MN MW MX NO NZ PL  
PT RO RU SI SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU  
ZA ZW GH GM KE LS MW SD SL SZ UG ZW AM AZ BY EG KZ MD  
RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC  
NL PT SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WI 1999-DK139 A 19990317  
PRIORITY INFO.: DE 1998-0413-98 19980324  
DE 1998-0464-98 19980402  
DK 1998-PA 1998 0159 19981126

ABEN The use of compounds of general formula (I) as factor VII-tissue factor inhibitors as well as novel benzoxazin derivatives are disclosed. The compounds of general formula (I) and pharmaceutical acceptable salts thereof have been shown to be inhibitors of factor VIIa-tissue factor activity. The compounds show anticoagulant properties. The compounds are useful for the treatment of deficiencies of blood clotting factors or the effects of inhibitors to blood clotting factors. Methods for inhibiting clotting activity are disclosed.

ABFR L'invention se rapporte a l'utilisation de composés representés par la formule (I) en tant qu'inhibiteurs du complexe facteur VII-facteur tissulaire ainsi qu'a de nouveaux dérivés benzoxazines. On a montré que les composés représentés par la formule (I) et leurs sels pharmaceutiquement acceptables sont des inhibiteurs de l'activité du facteur VIIa-facteur tissulaire. Ces composés possèdent des propriétés anticoagulantes. Lesdits composés s'avèrent utiles au traitement des anomalies des facteurs de coagulation sanguine ou des effets d'inhibiteurs sur les facteurs de coagulation sanguine. L'invention se rapporte également a des procédés d'inhibition de l'activité de coagulation.

L139 ANSWER 57 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1999040907 PCTFULL ED 20020515  
TITLE (ENGLISH): METHODS FOR THE CONTROLLED DELIVERY OF CARBON DISULFIDE FOR THE TREATMENT OF INFLAMMATORY CONDITIONS  
TITLE (FRENCH): PROCÉDES D'APPORT RÉGULÉ DE DISULFURE DE CARBONE DANS LE TRAITEMENT D'ÉTATS INFLAMMATOIRES  
INVENTOR(S): LAI, Ching-San  
PATENT ASSIGNEE(S): MEDINOX, INC.; LAI, Ching-San  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9940907	A1	19990819

DESIGNATED STATES AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE  
ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR  
KZ LC LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT  
RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU  
ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY EG KZ MD RU TJ  
TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT  
SE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG

APPLICATION INFO.: WO 1999-US2679 A 19990208  
PRIORITY INFO.: US 1998-61/074,741 19980213

ABEN In accordance with the present invention, it is described for the first time that CS2 is capable of directly inhibiting the activity of NF $\kappa$ B, without the need for any other active

agents to be present. It is assumed, therefore, that the inhibitory effect of, for example, pyrrolidine dithiocarbamate and other dithiocarbamates on NF $\kappa$ B may simply be attributed to CS<sub>2</sub> released upon *in vivo* hydrolysis of dithiocarbamates rather than as a result of the action of the parental compound per se. Dithiocarbamates may therefore be considered as pro-drugs for CS<sub>2</sub> for the treatment of inflammatory conditions mediated via NF $\kappa$ B pathways. Thus, in accordance with the present invention, there are provided methods for the treatment of inflammatory conditions mediated by NF $\kappa$ B pathways, as well as novel compositions useful for such methods.

ABFR Selon la presente invention, on decrit pour la premiere fois que du disulfure de carbone CS<sub>2</sub> peut inhiber directement l'activite de NF $\kappa$ B (facteur nucleaire kappa B) sans que la presence d'autres agents ne soit necessaire. On en a deduit, par consequent, que l'on pouvait attribuer l'effet inhibiteur sur le facteur NF $\kappa$ B, par exemple du dithiocarbamate de pyrrolidine et d'autres dithiocarbamates, plutot au CS<sub>2</sub>, libere lors d'une hydrolyse *in vivo* de dithiocarbamates, qu'au resultat de l'action du compose parenteral en lui-meme. On peut donc considerer des dithiocarbamates comme des promedicaments de CS<sub>2</sub>, dans le traitement d'etats inflammatoires induits par des mecanismes d'action du facteur NF $\kappa$ B. Ainsi, selon l'invention, on decrit des procedes de traitement d'etats inflammatoires induits par des mecanismes d'action du facteur NF $\kappa$ B, de meme que des nouvelles compositions utiles dans de tels procedes.

L139 ANSWER 58 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1999040797 PCTFULL ED 20020515  
 TITLE (ENGLISH): MODIFIED PHARMACOLOGICALLY ACTIVE AGENTS AND IMPROVED THERAPEUTIC METHODS EMPLOYING SAME  
 TITLE (FRENCH): AGENTS MODIFIES, ACTIFS SUR LE PLAN PHARMACOLOGIQUE, ET PROCEDES THERAPEUTIQUES AMELIORES ET METTANT EN OEUVRE CES AGENTS  
 INVENTOR(S): LAI, Ching-San  
 PATENT ASSIGNEE(S): MEDINOX, INC.; LAI, Ching-San  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9940797	A1	19990819
DESIGNATED STATES	AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LE LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US VZ VN YU ZW GH GM KE LS MW SD SE UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT AE BF BJ CF CG CI CM GA GN GW ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1999-052678	A	19990209
PRIORITY INFO.:	US 1998-01/074,694		19990213

ABEN In accordance with the present invention, there are provided modified forms of pharmacologically active agents (e.g., anti-inflammatory agents) which provide increased/prolonged circulating levels of the active agent, thereby allowing administration of reduced levels of the

agent to the recipient. This not only reduces the cost of drug, it also reduces the level to which the recipient is exposed to potentially harmful agents. Invention compounds provide a new class of pharmacologically active agents which cause a much lower incidence of side effects due to the benefits obtained by modifying the pharmacologically active agents as described herein.

ABFR Selon la presente invention, des formes modifiees d'agents actifs sur le plan pharmacologique (par exemple des agents anti-inflammatoires) apportent des concentrations circulatoires accrues/a effet prolonge de l'agent actif, permettant ainsi d'administrer des concentrations reduites de cet agent a un receveur, ce qui diminue non seulement le cout du medicament mais egalement la concentration en agents potentiellement nocifs, a laquelle le receveur est expose. Les composés de l'invention constituent une nouvelle classe d'agents actifs, sur le plan pharmacologique, provoquant une fréquence moins grande d'effets secondaires, par suite de l'effet benefique obtenu par modification pharmacologique de ces agents actifs.

L139 ANSWER 59 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1999027962 PCTFULL ED 20020515  
 TITLE (ENGLISH): USE OF A FIBRINOGEN RECEPTOR-ANTAGONIST FOR PREVENTING DISSEMINATED INTRAVASCULAR COAGULATION  
 TITLE (FRENCH): UTILISATION D'UN ANTAGONISTE DU RECEPTEUR DU FIBRINOGENE POUR LA PREVENTION DE LA COAGULATION INTRAVASCULAIRE DISSEMINEE  
 INVENTOR(S): WIEMANN, Gundula  
 PATENT ASSIGNEE(S): WIEMANN, Gundula  
 LANGUAGE OF PUBL.: German  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9927962	A1	19990610
DESIGNATED STATES	CA JP US AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE		
APPLICATION INFO.:	WO 1998-EP7833	A	19981202
PRIORITY INFO.:	DE 1997-197 53 393.3		19971202
ABEN	The present invention relates to the use of fibrinogen receptor-antagonists for preventing disseminated intravascular coagulation (DIC) related to sepsis or systemic inflammatory response syndrome (SIRS) in humans.		
ABFR	La presente invention concerne l'utilisation d'antagoniste du recepteur du fibrinogene pour la prevention de la coagulation intravasculaire disseminee (DIC) en relation avec la septicemie ou le syndrome de reaction inflammatoire systemique (SIRS) chez l'homme.		

L139 ANSWER 60 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1999017734 PCTFULL ED 20020515  
 TITLE (ENGLISH): TREATING OCCLUSIVE PERIPHERAL VASCULAR DISEASE AND CORONARY DISEASE WITH COMBINATIONS OF HEPARIN AND AN ADENOSIDE A2 AGONIST, OR WITH ADENOSINE  
 TITLE (FRENCH): TRAITEMENT DE LA VASCULOPATHIE PERIPHERIQUE OBLITERANTE ET DE LA CORONAIRE AU MOYEN DE COMBINAISONS D'HEPARINE ET D'UN AGONISTE A2 ADENOSINE, OU AU MOYEN D'ADENOSINE  
 INVENTOR(S): BARRON, Hal, V.; BOTVINICK, Elias  
 PATENT ASSIGNEE(S): REGENTS OF THE UNIVERSITY OF CALIFORNIA CORPORATION  
 LANGUAGE OF PUBL.: English



DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES

WI 9917784 A1 19990415  
AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE  
EG FI GB GD GE GH GM HR HU ID IL IS JP KE KG KP KR KZ  
LA LK LR LS LT LU LV MD ME MG MW MX NC NZ PL PT RO  
RU SD SE SG SI SK SL TJ TM TR TT UA UG UD VN YU ZW GH  
GM KE LS MW SD SZ US ZW AM AF BY KG KZ MD RU TJ TM AT  
BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF  
BT CF CG CI CM GA GN GW ML MR NE SN TD TS

APPLICATION INFO.:

WO 1998-US81153 A 19981007

PRIORITY INFO.:

US 1997-09/046,196 19971007

US 1998-09/167,816 19981007

ABEN Compositions and methods for treatment of occlusive peripheral vascular disease and coronary disease are disclosed. The compositions and methods allow treatment of diseases associated with occlusion of coronary vessels, for example, by promoting growth of new blood vessels, i(i.e.), angiogenesis and/or by recruitment of collaterals. The methods involve the co-administration of an adenosine A2 receptor agonist, i(e.g.) adenosine, and heparin and/or a heparin-like substance over a period of several days. In particular, this invention is applicable to improving collateral coronary circulation in patients suffering from myocardial infarction.

ABFR Cette invention concerne des compositions et des procedes permettant de traiter la vasculopathie peripherique oblitterante et la coronarite. Les compositions et les procedes permettent de traiter des maladies associees a l'occlusion des vaisseaux coronaires, par exemple, au moyen de l'activation de la croissance de nouveaux vaisseaux sanguins (c'est-a-dire par angiogenese et/ou par recrutement d'arteres collaterales). Le procede consiste a coadministrer un agoniste du recepteur A2 adenosine, par exemple de l'adenosine et de l'heparine et/ou une substance similaire a l'heparine sur une periode de plusieurs jours. D'une maniere plus specifique, cette invention peut s'appliquer pour ameliorer la circulation des arteres coronaires collaterales chez des patients atteints d'infarctus du myocarde.

L139 ANSWER 61 OF 100 EUROPATEFULL COPYRIGHT 2002 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER:

915154 EUROPATEFULL EW 199919 FS OS

TITLE:

Yeast cells engineered to produce pheromone system protein surrogates, and uses therefor.  
Hefe Zellen so konstruiert, dass sie Proteinsurrogate des Pheromon.systems produzieren und Anwendungen dafuer.  
Cellules de levure traitees pour produire des substituts de proteines du systeme de pheromones, et leurs emplois.

INVENTOR(S):

Fowlkes, Dana Merriman, 90 Green Street, Apartment 2, New York, NY 10012, US;  
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07043, US;  
Paul, Jeremy, 197 Route 9W, Palisades, NY 10964, US;  
Truheart, Joshua, 212 South Broadway, South Nyack, NY  
1096, US

PATENT ASSIGNEE(S): Cadus Pharmaceuticals, Inc., 7th floor, 180 Varick  
Street, New York, NY 10014, US  
PATENT ASSIGNEE NO: 1360561  
AGENT: Price, Vincent Andrew et al, FRY HEATH & SPENCE The Old  
College 63 High Street, Horley Surrey RH6 7BN, GB  
AGENT NUMBER: 79513  
OTHER SOURCE: ESP1499034 EP 0915154 A1 990512  
SOURCE: Wila-EP2-1999-H19-T1a  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPAL EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 915154	A1	19990512

'OFFENLEGUNGS' DATE: 19990512  
APPLICATION INFO.: EP 1998-202997 19940323  
PRIORITY APPLN. INFO.: US 1993-41431 19930331  
US 1994-190328 19940131  
RELATED DOC. INFO.: EP 692025 DIV

L139 ANSWER 62 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 694830 EUROPATFULL EW 199924 FS FS  
TITLE: INHIBITORS OF THROMBOSIS.  
INHIBITOREN GEGEN THROMBOSE.  
INHIBITEURS DE LA THROMBOSE.  
INVENTOR(S): VLASUK, George Phillip, 3024 Garboso Street, Carlsbad,  
CA 92009, US;  
WEBB, Thomas Roy, 2250 Colony Terrace, Encinitas, CA  
92024, US;  
PEARSON, Daniel Andrew, 149 Beals Road, Bedford, NH  
03110, US;  
ABELMAN, Matthew Mark, 873 Stevens Avenue, 3312, Solana  
Beach, CA 92075, US  
PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San  
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PATENT ASSIGNEE NO: 1501290  
AGENT: Irvine, Jonquil Claire et al, J.A. KEMP & CO. 14 South  
Square Gray's Inn, London WC1R 5LX, GB  
AGENT NUMBER: 74182  
OTHER SOURCE: EPB1999035 EP 0694830 B1 990616  
SOURCE: Wila-EPS-1999-H24-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHEIFT (Internationale  
Anmeldung)

PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 694830	B1	19990616

'OFFENLEGUNGS' DATE: 19991206  
APPLICATION INFO.: EP 1994-909613 19940214  
PRIORITY APPLN. INFO.: US 1993-17125 19930212

US 1994-195995 19940011  
 RELATED DOC. INFO.: WO 94-US1612 940214 INTAKZ  
 WO 9417817 940918 INTPNR  
 REFERENCE PAT. INFO.: WO 93-1477-A WO 93-15756 A  
 US 4394065 A

L139 ANSWER 63 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 6647-6 EUROPATFULL EW 199312 FS PS  
 TITLE: ARGININE KETO-AMIDE ENZYME INHIBITORS.  
 INHIBITOREN DES ARGININ-KETOAMID-ENZYMEN.  
 CETO-AMIDE D'ARGININE COMME INHIBITEURS ENZYMATIQUES.  
 INVENTOR(S): WEBB, Thomas, Roy, 2250 Colony Terrace, Encinitas, CA  
 92024, US;  
 MILLER, Todd, Anthony, 1710 South El Camino Real, E-208,  
 Encinitas, CA 92024, US;  
 VLASUK, George, Phillip, 3024 Garboso Street, Carlsbad,  
 CA 92009, US;  
 ABELMAN, Matthew, Mark, 373 Stevens Avenue, 3312, Solana  
 Beach, CA 92075, US  
 PATENT ASSIGNEE(S): CORVAS INTERNATIONAL, INC., 3030 Science Park Road, San  
 Diego CA 92121-1102, US  
 PATENT ASSIGNEE NO: 1501290  
 AGENT: Viering, Jentschura & Partner, Postfach 22 14 43, 80504  
 Muenchen, DE  
 AGENT NUMBER: 100645  
 OTHER SOURCE: EPB1999017 EP 0664786 B1 990324  
 SOURCE: Wila-EPS 1999-H12-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
 DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
 IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
 PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale  
 Anmeldung)  
 PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 664786	B1	19990324
'OFFENLEGUNGS' DATE:		19950802
APPLICATION INFO.:	EP 1993-924369	19931018
PRIORITY APPLN. INFO.:	US 1992-962301	19921016
RELATED DOC. INFO.:	WO 93-US10015	931018 INTAKZ
	WO 9408941	940428 INTPNR
REFERENCE PAT. INFO.:	EP 145212 A	WO 92-11850 A
	WO 92-12140 A	US 3966701 A
	US 4161522 A	US 4171299 A
	US 4473745 A	US 5231752 A
REF. NON-PATENT-LIT.:	J. AM. CHEM. SOC., vol.112, 1990 pages 7053 - 7054 N.	
	FUSETANI, S. MATSUNAGA 'Cyclotheoramide, Potent Thrombin Inhibitors from a Marine Sponge'	

L139 ANSWER 64 OF 100 MEDLINE DUPLICATE 4

ACCESSION NUMBER: 2000014045 MEDLINE  
 DOCUMENT NUMBER: 20014045 PubMed ID: 10543068  
 TITLE: Incorporation of noncoded amino acids into the N-terminal  
 domain 1-47 of hirudin yields a highly potent and selective  
 thrombin inhibitor.  
 AUTHOR: De Filippis V; Russo I; Vindigni A; Di Cera E; Salmaso S;  
 Fontana A  
 CORPORATE SOURCE: CRISI Biotechnology Center and Department of Pharmaceutical  
 Sciences, University of Padua, Italy.  
 CONTRACT NUMBER: HL49413 (NHLBI)  
 HL59141 (NHLBI)

SOURCE: PROTEIN SCIENCE, 1999 Oct, 8 (10): 2213-7.  
Journal code: 9211750. ISSN: 0961-8368.  
PUB. COUNTRY: United States  
DOCUMENT TYPE: Journal; Article; JOURNAL ARTICLE  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 200002  
ENTRY DATE: Entered STN: 20000229  
Last Updated on STN: 20000229  
Entered Medline: 20000211

AB Hirudin is an anticoagulant polypeptide isolated from a medicinal leech that inhibits thrombin with extraordinary potency ( $K_d = 0.2\text{--}1.0\text{ }\mu\text{M}$ ) and selectivity. Hirudin is composed of a compact N-terminal region (residues 1-47, cross-linked by three disulfide bridges) that binds to the active site of thrombin, and a flexible C-terminal tail (residues 48-64) that interacts with the exosite I of the enzyme. To minimize the sequence of hirudin able to bind thrombin and also to improve its therapeutic profile, several N-terminal fragments have been prepared as potential anticoagulants. However, the practical use of these fragments has been impaired by their relatively poor affinity for the enzyme, as given by the increased value of the dissociation constant ( $K_d$ ) of the corresponding thrombin complexes ( $K_d = 30\text{--}400\text{ nM}$ ). The aim of the present study is to obtain a derivative of the N-terminal domain 1-47 of hirudin displaying enhanced inhibitory potency for thrombin compared to the natural product. In this view, we have synthesized an **analogue** of fragment 1-47 of hirudin HM2 in which Val1 has been replaced by tert-butylglycine, Ser2 by Arg, and Tyr3 by beta-naphthylalanine, to give the BugArgNal **analogue**. The results of chemical and conformational characterization indicate that the synthetic **peptide** is able to fold efficiently with the correct disulfide topology (Cys6-Cys14, Cys16-Cys28, Cys22-Cys37), while retaining the conformational properties of the natural fragment. Thrombin **inhibition** data indicate that the effects of amino acid replacements are perfectly additive if compared to the singly substituted **analogues** (De Filippis V, Quarzago D, Vindigni A, Di Cera E, Fontana A, 1998, Biochemistry 37:13507-13515), yielding a molecule that inhibits the fast or slow form of thrombin by 2,670- and 6,813-fold more effectively than the natural fragment, and that binds exclusively at the active site of the enzyme with an affinity ( $K_d, \text{fast} = 15.4\text{ }\mu\text{M}$ ,  $K_d, \text{slow} = 220\text{ }\mu\text{M}$ ) comparable to that of full-length hirudin ( $K_d, \text{fast} = 0.2\text{ }\mu\text{M}$ ,  $K_d, \text{slow} = 5.5\text{ }\mu\text{M}$ ). Moreover, BugArgNal displays absolute selectivity for thrombin over the other physiologically important serine proteases trypsin, plasmin, **factor Xa**, and tissue plasminogen activator, up to the highest concentration of **inhibitor** tested (10 microM).

L139 ANSWER 65 OF 100 USPATEFULL DUPLICATE 5  
ACCESSION NUMBER: 1999:39504 USPATEFULL  
TITLE: Inhibitors of factor Xa  
INVENTOR(S): Brunck, Terence Kevin, San Diego, CA, United States  
Webb, Thomas Roy, Encinitas, CA, United States  
Ripka, William Charles, San Diego, CA, United States  
PATENT ASSIGNEE(S): Corvas International, Inc., San Diego, CA, United States (U.S. corporation)  

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5739112		19980414
APPLICATION INFO.:	US 1995-465115		19950605 (8)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1993-168964, filed on 15 Dec 1993 which is a continuation-in-part of Ser. No. US 1992-091204, filed on 15 Dec 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Tsang, Cecilia J.		
ASSISTANT EXAMINER:	Lukton, David		

LEGAL REPRESENTATIVE: Lyon & Lyon LLP  
NUMBER OF CLAIMS: 10  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)  
LINE COUNT: 14+6

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel compounds, their salts and compositions related thereto having activity against mammalian **factor Xa** are disclosed. The novel compounds include **peptide aldehyde analogues** having substantial potency and specificity as **inhibitors** of mammalian **factor Xa** are further disclosed. The compounds are thought useful as **inhibitors** of **factor Xa** in vitro or as a therapeutic agent for the prevention and treatment of conditions characterized by abnormal thrombosis in mammals. Intermediates useful for the preparation of the novel compounds are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L139 ANSWER 66 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1998055453 PCTFULL ED 20020514  
TITLE (ENGLISH): CONJUGATES OF DITHIOCARBAMATES WITH PHARMACOLOGICALLY ACTIVE AGENTS AND USES THEREFOR  
TITLE (FRENCH): CONJUGUES DE DITHIOCARBAMATES COMPRENANT DES AGENTS PHARMACOLOGIQUEMENT ACTIFS ET UTILISATIONS DESDITS CONJUGUES  
INVENTOR(S): LAI, Ching-San  
PATENT ASSIGNEE(S): MEDINOX, INC.; LAI, Ching-San  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9855453	A1	19981210
DESIGNATED STATES	AL AM AT AU AZ BA BB BG BF BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TF TT UA UG US UZ VN YU ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MF NE SN TD TG		

APPLICATION INFO.: WO 1998-US10095 A 19980519  
PRIORITY INFO.: US 1997-8/869,158 19970604

ABEN In accordance with the present invention, there are provided conjugates of nitric oxide scavengers (e.g., dithiocarbamates, or DC) and pharmacologically active agents (e.g., NSAIDs). Invention conjugates provide a new class of pharmacologically active agents (e.g., anti-inflammatory agents) which cause a much lower incidence of side-effects due to the protective effects imparted by modifying the pharmacologically active agents as described herein. In addition, invention conjugates are more effective than unmodified pharmacologically active agents because cells and tissues contacted by the pharmacologically active agent(s) are protected from the potentially damaging effects of nitric oxide overproduction induced thereby as a result of the co-production of nitric oxide scavenger (e.g., dithiocarbamate), in addition to free pharmacologically active agent, when invention conjugate is cleaved.

ABFR L'invention concerne des conjugués d'accepteurs de monoxyde d'azote dithiocarbamates ou DC, par exemple et des agents pharmacologiquement actifs (AINS, par

exemple. Les conjugués de l'invention donnent une nouvelle catégorie d'agents pharmacologiquement actifs (agents anti-inflammatoires, par exemple, qui ont une incidence d'effets secondaires beaucoup moindre en raison de l'effet de protection produit par la modification de ces agents pharmacologiquement actifs. En outre, les conjugués de l'invention sont plus efficaces que les agents pharmacologiquement actifs pures que des cellules ou des tissus placés au contact desdits agents sont protégés contre les effets potentiellement détériorants de la surproduction de monoxyde d'azote ainsi induite par la production d'accepteurs de monoxyde d'azote (des dithiocarbamates, par exemple), à laquelle s'ajoute celle d'un agent libre pharmacologiquement actif lorsque le conjugué est olivé.

LI39 ANSWER 67 OF 100 PCTFULL COPYRIGHT 2002 Univentis  
 ACCESSION NUMBER: 1998011066 PCTFULL ED 20020514  
 TITLE (ENGLISH): POLYDITHIOCARBAMATE-CONTAINING MACROMOLECULES AND THE USE THEREOF FOR THERAPEUTIC AND DIAGNOSTIC APPLICATIONS  
 TITLE (FRENCH): MACROMOLECULES CONTENANT DU POLYDITHIOCARBAMATE, ET LEUR UTILISATION DANS DES APPLICATIONS THERAPEUTIQUES ET DIAGNOSTIQUES  
 INVENTOR(S): LAI, Ching-San  
 PATENT ASSIGNEE(S): MEDINCOX, INC.; LAI, Ching-San  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9811066	A1	19980319
DESIGNATED STATES	AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GR GE GH GU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW		GH KE LS MW SD SE UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH DE DK ES FI FR GE GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MF NE SN TD TG
APPLICATION INFO.:	WO 1997-US15324	A	19970823
PRIORITY INFO.:	US 1996-60/025,867		19960910
	US 1997-8/999,087		19970723

ABEN In accordance with the present invention, there is provided a new class of drugs for therapeutic treatment of such indications as cerebral stroke and other ischemia/reperfusion injury. Thus, in accordance with the present invention, dithiocarbamates are linked to the surface of a macromolecule (e.g., albumin protein) either by using cross-linking reagents or by non-specific binding to produce polydithiocarbamate-macromolecule-containing compositions, which represent a new class of drugs for therapeutic treatment of such indications as cerebral stroke and other ischemia/reperfusion injury. In accordance with another aspect of the present invention, combinational therapeutic methods have been developed for the in vivo inactivation or inhibition of formation (either directly or indirectly) of species which induce the expression of inducible nitric oxide synthase, as well as reducing nitric oxide levels produced as a result of .NO synthase expression. In accordance with yet another aspect of the present

invention, magnetic resonance imaging methods have been developed for the measurement of cerebral and cardiac blood flow and infarct volume in ischemic stroke or heart attack situations. Such methods employ iron-containing complexes of a composition comprising a dithiocarbamate and a macromolecule as contrast agents.

ABFR L'invention concerne une nouvelle classe de médicaments destinés au traitement thérapeutique de certains troubles, tels qu'une apoplexie ou d'autres accidents causés par une ischémie ou une reperfusion. Des dithiocarbamates sont liés à la surface d'une macromolécule (par exemple, une protéine d'albumine), soit au moyen de réactifs réticules, soit par liaison non spécifique, de façon à produire des compositions contenant des macromolécules de polydithiocarbamate, qui représentent une nouvelle classe de médicaments servant au traitement thérapeutique de certains troubles, tels qu'une apoplexie ou d'autres accidents causés par une ischémie ou une reperfusion. Dans un autre mode de réalisation, des procédés thérapeutiques combinatoires ont été élaborés pour inactiver ou inhiber in vivo (soit directement soit indirectement) la formation d'espèces induisant l'expression de synthase d'oxyde nitrique inductible et pour réduire le niveau d'oxyde nitrique produit en conséquence de l'expression de synthase .NO. Dans un autre mode de réalisation, des procédés d'imagerie par résonance magnétique ont été mis au point pour mesurer le débit sanguin du cerveau et du cœur et le volume de l'infarctus lors de troubles ischémiques ou de crise cardiaque. De tels procédés ont recours à des complexes contenant du fer d'une composition renfermant un dithiocarbamate et une macromolécule comme agents de contraste.

L139 ANSWER 68 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1998005333 PCTFULL ED 20020514

TITLE (ENGLISH): USE OF BETA-SHEET MIMETICS AS PROTEASE AND KINASE INHIBITORS AND AS INHIBITORS OF TRANSCRIPTION FACTORS

TITLE (FRENCH): UTILISATION DE MIMETIQUES DE FEUILLETS BETA COMME INHIBITEURS DE PROTEASE ET DE KINASE OU COMME INHIBITEURS DE FACTEURS DE TRANSCRIPTION

INVENTOR(S): KAHN, Michael; QABAR, Maher, Nicola; McMILLAN, Michael, Kim; OGBU, Cyprian, Okwara; EGUCHI, Masakatsu; KIM, Hwa-Ok; BOATMAN, Patrick, Douglas, Jr.; URBAN, Jan; MEARA, Joseph, Patrick; BABU, Suresh; FERGUSON, Mark, D.; LUM, Christopher, Todd

PATENT ASSIGNEE(S): MOLECUMETICS LTD.; KAHN, Michael; QABAR, Maher, Nicola; McMILLAN, Michael, Kim; OGBU, Cyprian, Okwara; EGUCHI, Masakatsu; KIM, Hwa-Ok; BOATMAN, Patrick, Douglas, Jr.; URBAN, Jan; MEARA, Joseph, Patrick; BABU, Suresh; FERGUSON, Mark, D.; LUM, Christopher, Todd

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
WO 9805333	A1	19980212

WO 9805333 A1 19980212

DESIGNATED STATES AL AM AT AU BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW NX ND NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN YU ZW AM AZ BY BG BZ CA CH CN CU CZ DE DK EE ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW NX ND NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN YU ZW AM AZ BY BG BZ CA CH CN CU CZ DE DK EE ES FI FR

GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA SN ML  
MR NE SN TD TG

APPLICATION INFO.: WO 1997-US13612 A 19970805  
PRIORITY INFO.: US 1996-8/692,420 19960805  
US 1996-8/725,073 19961002  
US 1997-8/797,915 19970210  
US 1997-80/047,067 19970519

ABEN 'beta'-sheet mimetics and methods relating to the same are disclosed. The 'beta'-sheet mimetics have utility as protease and kinase inhibitors, as well as inhibitors of transcription factors. Methods of the invention include administration of a 'beta'-sheet mimetic, or use of the same for the manufacture of a medicament for treatment of a variety of conditions associated with the targeted protease, kinase and/or transcription factor.

ABFR L'invention concerne des mimétiques de feuilletés beta et des procédés les concernant. Les mimétiques de feuilletés beta sont utiles comme inhibiteurs de protéase et de kinase ainsi que comme inhibiteurs de facteurs de transcription. Des procédés de l'invention comprennent l'administration d'un mimétique de feuilletés beta ou l'utilisation dudit mimétique pour fabriquer un médicament destiné au traitement d'une variété d'états pathologiques associés à la protéase, à la kinase et/ou au facteur de transcription cibles.

L139 ANSWER 69 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1998001429 PCTFULL ED 20020514

TITLE (ENGLISH): AMIDINOINDOLES, AMIDINOAZOLES, AND ANALOGS THEREOF AS INHIBITORS OF FACTOR Xa AND OF THROMBIN

TITLE (FRENCH): AMIDINOINDOLES, AMIDINOAZOLES ET LEURS ANALOGUES AGISSANT EN TANT QU'INHIBITEURS DU FACTEUR Xa ET DE LA THROMBINE

INVENTOR(S): DOMINGUEZ, Celia; HAN, Qi; DUFFY, Daniel, Emmett; PARK, Jeongsook, Maria; QUAN, Mimi, Lifen; ROSSI, Karen, Anita; WEXLER, Ruth, Richmond

PATENT ASSIGNEE(S): THE DU PONT MERCK PHARMACEUTICAL COMPANY

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
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WO 9801429	A1	19980115
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DESIGNATED STATES AM AU AZ BR BY CA CN CZ EE HU IL JP KG KR KZ LT LV MD MX NO NZ PL RO RU SG SI SK TJ TM UA VN AM AZ BY KG KZ MD RU TJ TM AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 1997-US11325 A 19970630

PRIORITY INFO.: US 1996-8/676,766 19960708

US 1997-60/049,519 19970613

ABEN The present application describes amidinoindoles, amidinoazoles, and analogs thereof of formula (I): wherein W, W1, W2, and W3 are selected from CH and N, provided that one of W1 and W2 is C(=O)(NH)(NH2) and at most two of W, W1, W2, and W3 are N and one of Ca and Cb is substituted by -[CH2]n-3-A-B, which are useful as inhibitors of factor Xa or thrombin.

ABFR La présente demande concerne des amidinoindoles, des amidinoazoles et leurs analogues répondant à la formule (I), où W, W1, W2 et W3 sont choisis parmi CH et N, à condition que l'un des éléments W1 et W2 soit C(=O)(NH)(NH2), que deux au plus des éléments W, W1, W2 et W3 soient N, et que l'un des



elements Ja et Jb soit substitue par -CH2)n-Z-A-B, et pouvant etre utiles en tant qu'inhibiteurs du facteur Xa ou de la thrombine.

L139 ANSWER 70 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 199800442 PCTFULL ED 20020514

TITLE (ENGLISH): SERINE PROTEASE INHIBITORS

TITLE (FRENCH): INHIBITEURS DE LA SERINE PROTEASE

INVENTOR(S): DEADMAN, John, Joseph; ELSENDY, Said; GREEN, Donovan; SKORDALAKES, Emmanuel; SCULLY, Michael, Finbarr; GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir  
PATENT ASSIGNEE(S): THROMBOSIS RESEARCH INSTITUTE; DEADMAN, John, Joseph; ELSENDY, Said; GREEN, Donovan; SKORDALAKES, Emmanuel; SCULLY, Michael, Finbarr; GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WO 9800442 A1 19980108

DESIGNATED STATES

AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE  
ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS  
LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG  
SI SK TJ TM TR TT UA UG US UZ VN YU ZW GH KE LS MW SD  
SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH DE DK ES  
FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA  
GN ML MR NE SN TD TG

APPLICATION INFO.: WO 1997-GB1574 A 19970611

PRIORITY INFO.: GB 1996-9613719.5 19960629

ABEN Bifunctional serine protease inhibitors and methods of preparing boron-containing peptides are provided. The serine protease inhibitors comprise a catalytic site-directed moiety, which binds to and inhibits the active site of a serine protease, and an exosite associating moiety, which are joined by a connector moiety. The catalytic site directed moiety and the exosite associating moiety are capable of binding simultaneously to a molecule of the serine protease.

ABFR L'invention concerne des inhibiteurs bifonctionnels de la serine protease et des procedes de preparation de peptides contenant du bore. Ces inhibiteurs comprennent une fraction catalytique dirigee qui se lie au site actif d'une serine protease et l'inhibe, et une fraction se liant a un exosite, ces deux fractions etant reunies par une fraction de couplage. La fraction dirigee catalytique et la fraction se liant a un exosite sont capables de se lier simultanement a une molecule de la serine protease.

L139 ANSWER 71 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

PATENT APLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 918744 EUROPATFULL EW 199603 ES OS

TITLE: Process for selecting candidate drug compounds.  
Verfahren zur Auswahl von Kandidat-Drogenverbindungen.  
Procede de selection des compositions medicamenteuses potentielles.

INVENTOR(S): Young, Stephen Clinton, 9 Cranbourne Road, Heaton Moor, Stockport, Cheshire SK4 4DL, GB;  
Murray, Christopher, 1 Wheatfield Close, Titchington, Macclesfield, Cheshire SK10 2TT, GB

PATENT ASSIGNEE S.: Proteus Molecular Design Limited, Beechfield House, Lyme Green Business Park, Macclesfield, Cheshire SK11 0UL, GB  
PATENT ASSIGNEE NO: 906234  
AGENT: Conkain, Julian, Dr., Frank B. Dehn & Co., European Patent Attorneys, 179 Queen Victoria Street, London EC4V 4EL, GB  
AGENT NUMBER: 32641  
OTHER SOURCE: ESP1998004 EP 0818744 A2 980114  
SOURCE: Wila-EP2-1998-H03-T2a  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:

PATENT NO	KIND DATE
EP 818744	A2 19980114
	19980114
EP 1997-304412	19970624
EP 1996-14301	19960708
GB 1996-16562	19960807

L139 ANSWER 72 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 703923 EUROPATFULL EW 199841 ES PS  
TITLE: FACTOR VII-DERIVED PEPTIDES.  
FAKTOR VII-PEPTIDE.  
PEPTIDES DERIVES DU FACTEUR VII.  
INVENTOR(S): STEPHENS, Ross Wentworth, Silurveien 19, N-0380 Oslo, NO;  
ORNING, Lars, Thomas Heftyes gate 47B, N-0267 Oslo, NO;  
SAKAPIASSEN, Kjell Steina:, Kygd Alle 33E, N-0262 Oslo, NO  
PATENT ASSIGNEE(S): NYCOMED IMAGING AS, Nycoveien 1-2, 0401 Oslo 4, NO  
PATENT ASSIGNEE NO: 1564564  
AGENT: Matthews, Derek Peter et al, Frank B. Dehn & Co., European Patent Attorneys, 179 Queen Victoria Street, London EC4V 4EL, GB  
AGENT NUMBER: 60131  
OTHER SOURCE: EPB1998055 EP 0703923 B1 981007  
SOURCE: Wila-EPS-1998-H41-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHEFT (Internationale Anmeldung)  
PATENT INFORMATION:

PATENT NO	KIND DATE
EP 703923	B1 19981007
	19980403
EP 1994-918437	19940617
EP 1993-12601	19930618
GB 1994-9335	19940510
WD 94-GB1315	940617 INTAKZ
WD 9500541	950105 INTPNR
EP 446797 A	WD 96-93390 A
WD 92-98804 A	

L139 ANSWER 73 OF 100 WPIDS (C) 2002 THOMSON DERWENT  
ACCESSION NUMBER: 1998-594555 [50] WPIDS

DOC. NO. CPI: C1998-178350  
 TITLE: New di-aza cyclic **peptide** mimetic analogues are selective inhibitors of **factor Xa** or **factor Xa**/prothrombinase complex, useful for treating e.g. angina and as diagnostic agents.  
 DERWENT CLASS: B01 B13 B04  
 INVENTOR(S): MCARBEROUGH, R M; SU, T; ZHU, B  
 PATENT ASSIGNEE(S): COAST-NORTH THERAPEUTICS INC  
 COUNTRY COUNT: 13  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9846627	A1	19981012 (199850)*	EN	72	
RW: AT BE CH CY DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SG UG ZW					
W: AL AM AN AP AR BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG VE VN YU ZW					
AU 9869963	A	19991111 (199912)			
EP 977773	A1	20000229 (200012)	EN		
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					
MX 9909143	A1	20000201 (200123)			
NZ 500352	A	20011026 (200176)			
US 6369963	B1	20020409 (200227)			
JP 2002514214 W		20020514 (200236)			82

#### APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9846627	A1	WO 1998-US7160	19980413
AU 9869963	A	AU 1998-69963	19980413
EP 977773	A1	EP 1998-914658	19980413
		WO 1998-US7160	19980413
MX 9909143	A1	MX 1999-9143	19991006
NZ 500352	A	NZ 1998-500352	19980413
		WO 1998-US7160	19980413
US 6369963	B1 Provisional	US 1997-72094P	19970414
		US 1998-58821	19980413
JP 2002514214 W		JP 1998-544068	19980413
		WO 1998-US7160	19980413

#### FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9869963	A based on	WO 9846627
EP 977773	A1 based on	WO 9846627
NZ 500352	A based on	WO 9846627
JP 2002514214 W	Based on	WO 9846627

PRIORITY APPLN. INFO: US 1997-72094P 19970414; US 1998-58821 19980413

AN 1498-584855 [50] WPID:

AB WO 9846627 A UPAB: 19981217

Diana cyclic **peptide** mimetic analogues of formula (I), their salts and optical isomers are new. R<sub>1</sub> = H, alkyl, cycloalkyl, 1-3C alkylaryl, 1-3C alkyl-cycloalkyl or aryl; R<sub>2</sub> = H; or R<sub>1</sub>+R<sub>2</sub> = carbocyclic ring; n = 0-2; m = 0-6; k, p, s = -1; q = 0-3; r, t = 0-4; A = R<sub>3</sub>, NR<sub>3</sub>R<sub>4</sub>, NR<sub>5</sub>C = NR<sub>6</sub>/NR<sub>3</sub>R<sub>7</sub>, C = NR<sub>6</sub>/NR<sub>3</sub>R<sub>8</sub>, N(R<sub>5</sub>)C = NR<sub>6</sub>/R<sub>7</sub>, C = NR<sub>6</sub>/R<sub>8</sub> or SC = NR<sub>6</sub>/NR<sub>3</sub>R<sub>8</sub>; R<sub>3</sub>-R<sub>6</sub> = H, CH, alkyl, aryl or 1-4C alkylaryl; R<sub>7</sub> = H, alkyl, aryl or 1-4C alkylaryl; or R<sub>7</sub>+R<sub>8</sub> or R<sub>7</sub>+R<sub>6</sub> = 5-6 membered ring; R<sub>8</sub> =

USE - (I) are potent and highly selective inhibitors of factor Xa or factor Xa

Low: 0/0

ACCESSION NUMBER: 1998-594554 1501 WPIDS

DOC. NO. CFI: C1938-178343

TITLE: New dipeptide cyclic peptide mimetic analogues - are selective inhibitors of factor Xa or factor

**Xa**/prothrombinase complex, useful for treating  
e.g. angina and as diagnostic agents.

DERWENT CLASS: 302 303 304

INVENTOR(S) : SCARBOROUGH, F M; SU, T; ZHU, B

PATENT ASSIGNEE S.: CORT NO COR THERAPEUTICS INC

COUNTRY COUNT: 53

PATENT INFORMATION:

PATENT NO.	KIND	DATE	WEEK	LA	PG
1000000	A	1990-01-01	1	1	1
1000001	A	1990-01-01	1	1	1
1000002	A	1990-01-01	1	1	1
1000003	A	1990-01-01	1	1	1
1000004	A	1990-01-01	1	1	1
1000005	A	1990-01-01	1	1	1
1000006	A	1990-01-01	1	1	1
1000007	A	1990-01-01	1	1	1
1000008	A	1990-01-01	1	1	1
1000009	A	1990-01-01	1	1	1
1000010	A	1990-01-01	1	1	1
1000011	A	1990-01-01	1	1	1
1000012	A	1990-01-01	1	1	1
1000013	A	1990-01-01	1	1	1
1000014	A	1990-01-01	1	1	1
1000015	A	1990-01-01	1	1	1
1000016	A	1990-01-01	1	1	1
1000017	A	1990-01-01	1	1	1
1000018	A	1990-01-01	1	1	1
1000019	A	1990-01-01	1	1	1
1000020	A	1990-01-01	1	1	1
1000021	A	1990-01-01	1	1	1
1000022	A	1990-01-01	1	1	1
1000023	A	1990-01-01	1	1	1
1000024	A	1990-01-01	1	1	1
1000025	A	1990-01-01	1	1	1
1000026	A	1990-01-01	1	1	1
1000027	A	1990-01-01	1	1	1
1000028	A	1990-01-01	1	1	1
1000029	A	1990-01-01	1	1	1
1000030	A	1990-01-01	1	1	1
1000031	A	1990-01-01	1	1	1
1000032	A	1990-01-01	1	1	1
1000033	A	1990-01-01	1	1	1
1000034	A	1990-01-01	1	1	1
1000035	A	1990-01-01	1	1	1
1000036	A	1990-01-01	1	1	1
1000037	A	1990-01-01	1	1	1
1000038	A	1990-01-01	1	1	1
1000039	A	1990-01-01	1	1	1
1000040	A	1990-01-01	1	1	1
1000041	A	1990-01-01	1	1	1
1000042	A	1990-01-01	1	1	1
1000043	A	1990-01-01	1	1	1
1000044	A	1990-01-01	1	1	1
1000045	A	1990-01-01	1	1	1
1000046	A	1990-01-01	1	1	1
1000047	A	1990-01-01	1	1	1
1000048	A	1990-01-01	1	1	1
1000049	A	1990-01-01	1	1	1
1000050	A	1990-01-01	1	1	1
1000051	A	1990-01-01	1	1	1
1000052	A	1990-01-01	1	1	1
1000053	A	1990-01-01	1	1	1
1000054	A	1990-01-01	1	1	1
1000055	A	1990-01-01	1	1	1
1000056	A	1990-01-01	1	1	1
1000057	A	1990-01-01	1	1	1
1000058	A	1990-01-01	1	1	1
1000059	A	1990-01-01	1	1	1
1000060	A	1990-01-01	1	1	1
1000061	A	1990-01-01	1	1	1
1000062	A	1990-01-01	1	1	1
1000063	A	1990-01-01	1	1	1

WD 9846726 A1 19981 02 (199850)\* EN 70

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL  
QA PT SD SE SZ TN ZW

W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
 BH BM BW BU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG  
 MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG  
 VE VN YU ZW

AU 9868962 A 19981111 (199912)  
 EP 977772 A1 20000209 (200012) EN  
 R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE  
 US 6204168 B1 20010320 200118  
 MX 9809138 A1 20000201 200123  
 JP 2001523226 W 20011120 200204 80  
 NZ 500353 A 20020201 200214

# APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9846626	A1	WO 1998-US7159	19980413
AU 9868962	A	AU 1998-68962	19980413
EP 977772	A1	EP 1998-914657	19980413
		WO 1998-US7159	19980413
US 6204168	B1 Provisional	US 1997-69322P	19970414
		US 1998-58565	19980413
MX 9809138	A1	MX 1998-9138	19991006
JP 2001523226 W		JP 1998-544067	19980413
		WO 1998-US7159	19980413
NZ 500353	A	NZ 1998-500353	19980413
		WO 1998-US7159	19980413

# FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9868962	A Based on	WO 9846626
EP 977772	A1 Based on	WO 9846626
JP 2001523226 W	Based on	WO 9846626
NZ 500353	A Based on	WO 9846626

PRIORITY APPLN. INFO: US 1997-69322P 19970414; US 1998-58565  
 19980413

AN 1998-594554 [50] WPIDS  
 AB WO 9846626 A UPAB: 19981217

Diacyclic **peptide** mimetic **analogues** of formula (I)  
 and their salts and optical isomers are new. R1 = H, alkyl, cycloalkyl,  
 1-3C alkylaryl, 1-3C alkyl-cycloalkyl or aryl; R2 = H; or R1+R2 =  
 carbocyclic ring; m = 0-2; n = 0-6; k, p, s = 0-1; q = 0-3; r, t = 0-4; A  
 = R3, NR3R4, N(R5)C(=NR6)NR3R7, C(=NR6)NR3R8, N(R5)C(=NR6)R7, C(=NR6)R8 or  
 SC(=NR6)NR3R8; R3-R6 = H, OH, alkyl, aryl or 1-4C alkylaryl; R7 = H,  
 alkyl, aryl or 1-4C alkylaryl; or R7+R8 or R7+R6 = 5-6 membered ring; R8 =  
 H, alkyl, aryl or 1-4C alkylaryl; or R8+R6 = 5-6 membered ring; Q = bond,  
 alkyl, cycloalkyl, 2-6C alkenyl, 2-6C alkenylaryl, aryl or a 5-10 membered  
 heterocyclic system containing 1-4 of N, O or S; D = bond, CO, SO2, OCO,  
 NR3R9 or NR3CO; R9 = H, OH, alkyl, aryl or 1-4C alkylaryl; X, Y = O or  
 R2; K = OR23 or NR23R30; R23-R30 = H, alkyl, 0-3C alkylaryl, 0-3C  
 alkyl-cycloalkyl, 0-3C alkyl heterocycle; or R29+R30 = 5-10 membered  
 heterocyclic ring system containing 1-4 of N, O or S; E = bond, 3-3C  
 cycloalkyl, aryl or a 5-10 membered heterocyclic ring system containing  
 1-4 of N, O or S; G = as for A, provided that if G is R3, then E must  
 contain at least one N; W = H, B(OR16)OR17, CO2 or a cyclic boronyl group  
 of formula (a) or (b); R17, R16 = H, 1-3C alkyl or aryl; Z = H, COOR18,  
 OR18R19, CF3, CF2CF3 or a heterocyclic group of formula (c) or (d); R18,  
 R19 = H, alkyl, aryl or 1-4C alkylaryl; U, V = O, S, N or NH, provided  
 that at least one is NH; R20 = H, alkyl, 2-6C alkenyl, 0-6C alkylaryl,  
 2-6C alkenylaryl, 0-6C alkylheterocycle, 2-6C alkenylheterocycle, CF3 or  
 CF2CF3; I = S, SO, SO2, O or NR21; R21 = H, alkyl or benzyl; L =  
 CH(R22)CH2CH(R23); C(R24)=C(R25); c-phenylene substituted by R24 and

R25; or 6-10C heterocycle substituted by R24 and R25 and containing 1-4 of N, S or O; d = 0-2; R22, R23 = H, alkyl, aryl, alkylaryl, COOR26, CONR26R27, CN or CF3; R24, R25 = H, alkyl, aryl, alkylaryl, 1-4C alkoxy, halo, NR2, NR26R27, NR26COFR27, OR26, COOR26, COOR26, CONR26R27, CN, CF3, SO2NR16R27 or alkyl-OR26; R26, R27 = H, alkyl, 1-3C alkylaryl or aryl; unless specified otherwise alkyl moieties have 1-6C and cycloalkyl moieties 3-10.

USE - (I) are potent and highly selective **inhibitors of factor Xa or factor Xa** (prothrombinase complex and are potent and specific **inhibitors** of blood coagulation in mammals. (I) are useful for treating unstable or refractory angina, myocardial infarction, transient ischaemic attacks, thrombotic or embolic stroke, disseminated intravascular coagulation including the treatment of septic shock, deep venous thrombosis in the prevention of pulmonary embolism or the treatment of recocclusion or restenosis or reperfused coronary arteries, deep venous thrombosis, pulmonary embolism, myocardial infarction, stroke, thromboembolic complications of surgery and peripheral arterial occlusion, occlusive coronary thrombus formation resulting from either thrombolytic therapy or percutaneous transluminal coronary angioplasty, thrombus formation in the venous vasculature and disseminated intravascular coagulopathy (all claimed). (I) are also useful for inhibiting the coagulation of biological samples (claimed) and as diagnostic agents.  
Dwg.0/0

L139 ANSWER 75 OF 100 MEDLINE DUPLICATE 6  
 ACCESSION NUMBER: 1998128583 MEDLINE  
 DOCUMENT NUMBER: 98128583 PubMed ID: 9454596  
 TITLE: Discovery of a novel, potent, and specific family of factor Xa inhibitors via combinatorial chemistry.  
 AUTHOR: Ostrem J A; al-Obeidi F; Safar P; Safarova A; Stringer S K; Patek M; Cross M T; Spoonamore J; LoCascio J C; Kasireddy P; Thorpe D S; Sepetov N; Lebl M; Wildgoose P; Strop P  
 CORPORATE SOURCE: Selectide Corporation, Tucson, Arizona 85737, USA.. jim.ostrem@hmrag.com  
 SOURCE: BIOCHEMISTRY, (1998 Jan 27) 37 (4) 1053-9. Journal code: 0370623. ISBN: 0006-2960.  
 PUB. COUNTRY: United States  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199803  
 ENTRY DATE: Entered STN: 19980319  
 Last Updated on STN: 20000303  
 Entered Medline: 19980306

AB A series of low molecular weight **peptide inhibitors** of **factor Xa**, unrelated to any previously described, was identified by screening a combinatorial **peptide** library composed of L-amino acids. The minimal inhibitory sequence is a tripeptide, L-tyrosinyl-L-isoleucyl-L-arginyl, which competitively inhibits the hydrolysis of small chromogenic substrates by **factor Xa** but binds in an orientation which prevents a productive nucleophilic attack by serine 195 of the catalytic triad on the carbonyl carbon of the carboxyterminal arginine. The initial leads identified in an octamer combinatorial **peptide** library ranged in potency from 4 to 15 microM. These **peptides** were modified into **peptide** mimetics with a greater than 1000-fold increase in potency while retaining unusual selectivity for **factor Xa** over the related serine proteases thrombin, factor VIIa/tissue factor, plasmin, activated protein C, kallikrein, and trypsin. One of the most potent **analogues**, SEL 3711, with a Ki of 0.003 microM for **factor Xa** and 40 microM for thrombin, is active in in vitro and ex vivo coagulation assays, suggesting the potential application of these **inhibitors** in anticoagulant therapy.

ACCESSION NUMBER: 1997046576 PCTFULL ED 20020514  
 TITLE (ENGLISH): ANTICOAGULANT PEPTIDYL-ARGININE ALDEHYDE DERIVATIVES  
 TITLE (FRENCH): DERIVES DE PEPTIDYL-ARGININE ALDEHYDE ANTICOAGULANTS  
 INVENTOR(S): BAJUSZ, Sándor; JUHASZ, Attila; BARABAS, Eva; FEHER, András; SZABO, Gabriella; SZELL, Erzsebet; VEGHELYI, Iren; LAVICH, Emilia; KASZAS, Eva; LANGO, János; ZSEF; MORAVCSIK, Imre; SZEKER, Agnes; TASCHLER, Zsuzsanna; TOTH, Gábor; MOHAI, Zsuzsanna; SCALKAY, Anna Mária; MARK, KISSRA  
 PATENT ASSIGNEE(S): GYOGYSZERKUTATO INTEZET KFT.; BAJUSZ, Sándor; JUHASZ, Attila; BARABAS, Eva; FEHER, András; SZABO, Gabriella; SZELL, Erzsebet; VEGHELYI, Iren; LAVICH, Emilia; KASZAS, Eva; LANGO, János; ZSEF; MORAVCSIK, Imre; SZEKER, Agnes; TASCHLER, Zsuzsanna; TOTH, Gábor; MOHAI, Zsuzsanna; SCALKAY, Anna Mária; MARK, KISSRA  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 9746576	A1	19971211
	AL AM AT AU AZ BE BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU IL IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US VZ VN GH KE LS MW SD SZ UG AM AZ BY KG KZ MD RU TJ TM AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1997-HU28	A	19970605
PRIORITY INFO.:	HU 1996-P 96 01526		19960605

ABEN The invention relates to new peptidyl-arginine aldehyde derivatives of general formula (I):  
 Q-D-Xaa-Pro-Arg-H, wherein Q represents an acyl group of formula Q'-O-CO-, where Q' represents an alkyl group with 1-3 carbon atoms, D-Xaa represents 3-cyclobutyl-D-alanyl- or 3-cyclopentyl-D-alanyl- group, Pro stands for L-prolyl- group, and Arg stands for L-arginyl- group, and acid-addition salts thereof formed with an organic or inorganic acid and pharmaceutical compositions containing the same. The compounds of formula (I) have valuable therapeutic, particularly anticoagulant, properties together with inhibiting platelet functions and thrombosis development.

ABFR L'invention concerne des nouveaux derives de peptidyl-arginine aldehyde de formule generale (I)  
 Q-D-Xaa-pro-Arg-H, et leurs sels d'addition d'acide formes avec un acide organique ou inorganique.  
 Dans ladite formule (I), Q represente un groupe acyle de formule Q'-O-CO-, ou Q' represente un groupe alkyle a 1 a 3 atomes de carbone, D-Xaa represente un groupe 3-cyclobutyl-D-alanyl- ou 3-cyclopentyl-D-alanyl-, Pro represente un groupe L-propyl-, et Arg un groupe L-arginyl-. Elle porte aussi sur des compositions pharmaceutiques les contenant. Les composés de formule (I) presentent des propriétés thérapeutiques précieuses, en particulier anticoagulantes, inhibent les fonctions des plaquettes et le développement de la thrombose.

ACCESSION NUMBER: 1997046523 PCTFULL ED 20020514  
 TITLE (ENGLISH): ANTICOAGULANT PEPTIDE ALDEHYDE DERIVATIVES  
 TITLE (FRENCH): DERIVES D'ALDEHYDE PEPTIDIQUES ANTICOAGULANTS

INVENTOR(S): BAJUSZ, Sándor; JUHASZ, Attila; BARABAS, Eva; FEHER, András; SZABO, Gabriella; SZELL, Erőseket; VEGHELYI, Iren; LAVICH, Emilia; KASZAS, Eva; LANGO, János; Zsef; MORAVCSIK, Imre; SZEKER, Agnes; TASCHLER, Zsuzsanna; TOTH, Gábor; MOHAI, Zsuzsanna; SZALKAY, Anna, Mária; MAKK, KISSRA

PATENT ASSIGNEE(S): HYDROLYZERTARTÓ INJEKCIÓ KFT.; BAJUSZ, Sándor; JUHASZ, Attila; BARABAS, Eva; FEHER, András; SZABO, Gabriella; SZELL, Erőseket; VEGHELYI, Iren; LAVICH, Emilia; KASZAS, Eva; LANGO, János; Zsef; MORAVCSIK, Imre; SZEKER, Agnes; TASCHLER, Zsuzsanna; TOTH, Gábor; MOHAI, Zsuzsanna; SZALKAY, Anna, Mária; MAKK, KISSRA

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9746523	A1	19971211
DESIGNATED STATES	AL AM AT AU AZ BE BG BR BY CA CH CN CZ DE DK EE ES FI GE GE HU IL IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN GH KE LS MW SD SZ UG AM AC BY KG KZ MD RU TJ TM AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1997-HU27	A	19970605
PRIORITY INFO.:	HU 1996-P 96 01527		19960605

ABEN This invention relates to new peptide aldehyde derivatives of general formula (I):  
 D-Xaa-Pro-Arg-H, wherein Xaa represents a 2-cycloheptyl-2-hydroxyacetyl or 2-cyclopentyl-2-hydroxyacetyl group, Pro represents an L-prolyl residue and Arg represents an L-arginyl residue, their acid-addition salts formed with an organic or inorganic acid and pharmaceutical compositions containing the same. The compounds of general formula (I) of the invention have valuable therapeutic, particularly anticoagulant, antiplatelet and antithrombotic, properties.

ABFR L'invention concerne des nouveaux derives d'aldehyde peptidiques de formule generale (I)  
 D-Xaa-Pro-Arg-H, et leurs sels d'addition d'acide formes avec un acide organique ou inorganique.  
 Dans ladite formule (I), Xaa represente un groupe 2-cycloheptyl-2-hydroxyacetyl ou 2-cyclopentyl-2-hydroxyacetyl, Pro represente un reste L-prolyl et Arg represente un reste L-arginyl. L'invention porte aussi sur des compositions pharmaceutiques contenant ces derniers. Les composés de formule generale (I) presentent des propriétés thérapeutiques précieuses, notamment anticoagulantes, antiplaquettaires et antithrombotiques.

LI39 ANSWER 78 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1997043436 PCTFULL ED 20020514

TITLE (ENGLISH): A PROCESS FOR PRODUCING A RECOMBINANT POLYPEPTIDE INVOLVING THE ADDITION OF AN INHIBITOR OF METAL-DEPENDENT PROTEASES OR CHYMOTRYPSINS TO THE CELL CULTURE MEDIUM

TITLE (FRENCH): PROCÉDE POUR PRODUIRE UN POLYPEPTIDE RECOMBINÉ COMPRENANT L'ADDITION D'UN INHIBITEUR DE PROTEASES METAL-DEPENDANTES OU DE CHYMOTRYPSINES AU MILIEU DE CULTURE CELLULAIRE

INVENTOR(S): ADAMSON, Lars; WALUM, Erik; DIXELIUS, Johan; LIMA LIE, Kristina



PATENT ASSIGNEE(S): PHARMACIA & UPJOHN AB; ADAMSON, Lars; WALUM, Erik;  
 LANGUAGE OF PUBL.: DIXELIUS, Johan; LIMA LIE, Kristina  
 DOCUMENT TYPE: English  
 PATENT INFORMATION: Patent

	NUMBER	KIND	DATE
	WO 9743436	A1	19971120
DESIGNATED STATES	AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE		
	ES FI GB GE HU IL IS JP KE KG KP KR KZ LC LK LR LS LT		
	LV MD MG ME MN MW MX NO NZ PL PT RO RU SD SE SG SI		
	SK TJ TM TR TT UA US UZ VN YU ZH ZL ZM ZN ZZ		
	AM AZ BY BG BZ MD RU TJ TM AT BE CH DE DK ES FI FR GB		
	GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR		
	NE SN TD TG		
APPLICATION INFO.:	WO 1997-SE783	A	19970513
PRIORITY INFO.:	SE 1996-9601855-1		19960514
	US 1996-60/018,874		19960529

ABEN The present invention relates to a process for reducing the detrimental influence of certain proteases on recombinant human protein and polypeptide molecules, by adding an inhibitor of metal-dependent proteases or chymotrypsins to the cell culture medium. The invention also relates to a cell culture medium for cultivating cells expressing and secreting a biologically active recombinant human polypeptide containing an inhibitor of metal-dependent proteases or chymotrypsins, or a combination thereof. The invention further relates to use of recombinant factor VIII which has been produced in a cell culture medium according to the present process for the manufacture of a medicament for administration to a patient having the symptoms of hemophilia A. Also, the invention relates to a method for treatment of hemophilia A by administration of a therapeutically effective amount of recombinant factor VIII which has been produced in a cell culture medium according to the present process.

ABFR Procédé pour réduire l'influence nocive de certaines protéases sur les molécules de protéines et de polypeptides humains recombinés, par l'adjonction d'un inhibiteur de protéases métal-dépendantes ou de chymotrypsines au milieu de culture cellulaire. L'invention concerne également un milieu de culture cellulaire pour cultiver des cellules exprimant et sécrétant un polypeptide humain recombiné, biologiquement actif et contenant un inhibiteur de protéases métal-dépendantes ou de chymotrypsines, ou une combinaison des deux. L'invention porte en outre sur l'utilisation du facteur recombiné VIII produit dans un milieu de culture conforme au procédé décrit, pour la fabrication d'un médicament pouvant être administré à un patient présentant les symptômes de l'hémophilie A. Une méthode de traitement de l'hémophilie A comprenant l'administration d'une quantité thérapeutiquement active de facteur recombiné VIII produit dans un milieu de culture conforme au procédé décrit est également proposée.

1139 ANSWER 79 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 199701880 PCTFULL ED 20020514  
 TITLE (ENGLISH): COMBINATIONAL THERAPEUTIC METHODS EMPLOYING NITRIC  
 OXIDE SCAVENGERS

TITLE FRENCH : METHODES THERAPEUTIQUES COMBINEES EMPLOYANT DES  
ENTRAINEURS DE MONOXYDE D'AZOTE  
INVENTOR(S) : LAI, Ching-San  
PATENT ASSIGNEE(S) : MEDIMOX, INC.; LAI, Ching-San  
LANGUAGE OF PUBL.: English  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

DESIGNATED STATES WO 9718875 A1 19970529  
AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE  
ES FI GB GE HU IL IS JP KE KG KP KR KZ LC LK LR LS LT  
LU LV MD MG MK MN MW MX NA NZ PL PT RO RU SD SE SG SI  
SK TJ TM TR TT UA UG US VZ VN KE LS MW SD SZ UG AM AZ  
BY KG KZ MD RU TJ TM AT BE CH DE DK ES FI FR GB GR IE  
IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN  
TD TG

APPLICATION INFO.: WO 1996-US18124 A 19961112

PRIORITY INFO.: US 1995-9/561,594 19951121

ABEN In accordance with the present invention, there are provided  
combinational therapeutic methods  
for the in vivo inactivation or inhibition of formation (either directly  
or indirectly) of species  
which induce the expression of nitric oxide synthase, as well as  
reducing nitric oxide levels  
produced as a result of .No synthase expression. In contrast to the  
inhibitory approach described in  
the prior art (i.e., wherein the function of the enzymes responsible for  
nitric oxide production is  
inhibited), the present invention employs a combination of inactivation  
(or inhibition) and  
scavenging approach whereby the stimulus of nitric oxide synthase  
expression is inactivated, or the  
production thereof is inhibited, and overproduced nitric oxide is bound  
in vivo to a suitable nitric  
oxide scavenger. The resulting complexes render the stimulus of nitric  
oxide synthase expression  
inactive (or inhibit the production thereof), and nitric oxide harmless.  
The resulting complexes are  
eventually excreted in the urine of the host. Further in accordance with  
the present invention,  
there are provided compositions and formulations useful for carrying out  
the above-described  
methods.

ABFR Methodes therapeutiques combinees d'inactivation ou d'inhibition de  
formation (soit directe  
soit indirecte) d'especes encourageant l'expression de la monoxyde  
d'azote synthase, et la reduction  
des niveaux de monoxyde d'azote produits du fait de l'expression de la  
monoxyde d'azote synthase.  
Contrairement a la methode par inhibition decrite dans les procedes  
existants precedemment  
(c'est-a-dire, lorsque la fonction des enzymes responsables pour la  
production de monoxyde d'azote  
est inhibee), la presente invention emploie une combinaison  
d'inactivation (ou d'inhibition) et  
d'entrainement par laquelle le stimulus de l'expression de monoxyde  
d'azote synthase est inactive,  
ou sa production est inhibee, et le surplus de monoxyde d'azote est lie  
in vivo a un entraineur de  
monoxyde d'azote adapte. Les complexes ainsi produits rendent le  
stimulus d'expression du monoxyde  
d'azote synthase inactif (ou inhibent sa production), et rendent le  
monoxyde d'azote inoffensif. Les  
complexes ainsi produits sont finalement excretes dans l'urine de

l'hôte. Sont décrites également  
des compositions et préparations utiles à la mise en oeuvre des procédés  
décrits plus haut.

1139 ANSWER 90 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 5024.- EUROPATFULL EW 199730 FS PS  
TITLE: ACTIVATABLE FIBRINOLYTIC AND ANTI-THROMBOTIC PROTEINS.  
AKTIVIERBARE FIBRINOLYTISCHE UND ANTITHROMBOTISCHE  
PROTEINE.  
PROTEINES FIBRINOLYTIQUES ET ANTITHROMBOTIQUES  
ACTIVABLES.  
INVENTOR(S): DAWSON, Keith Martyn, 30 Barnards Hill, Marlow, Bucks  
SL7 2NZ, GB;  
EDWARDS, Richard Mark, 7 Ludlow Drive, Thame, Oxon OX9  
3XS, GB;  
FORMAN, Joan Mabel, 6 Margaret Road, Oxford OX3 8NG, GB  
PATENT ASSIGNEE(S): BRITISH BIOTECH PHARMACEUTICALS LIMITED, Watlington  
Road, Cowley Oxford, OX4 5LY, GB  
PATENT ASSIGNEE NO: 970611  
AGENT: Walls, Alan James et al, British Biotech Pharmaceuticals  
Ltd., Watlington Road, Oxford OX4 5LY, GB  
AGENT NUMBER: 37214  
OTHER SOURCE: EPB1997047 EP 0502968 B1 970723  
SOURCE: Wila-EPS-1997-H30-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veröffentlichung in Englisch  
DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R GR; R  
IT; R LI; R LU; R NL; R SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPÄISCHE PATENTSCHRIFT (Internationale  
Anmeldung)  
PATENT INFORMATION:  
PATENT NO KIND DATE  
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EP 502968 B1 19970723  
'OFFENLEGUNGS' DATE: 19970916  
APPLICATION INFO.: EP 1991-900851 19901207  
PRIORITY APPLN. INFO.: GB 1989-27712 19891207  
RELATED DOC. INFO.: WO 90-GB1912 901207 INTAKZ  
WO 9109113 910627 INTPNR  
REFERENCE PAT. INFO.: EP 211299 A EP 227938 A  
EP 292009 A EP 297892 A  
EP 304013 A EP 319444 A  
EP 323149 A EP 330700 A  
EP 338541 A WO 89-01036 A  
WO 89-06239 A WO 90-10081 A  
WO 90-13640 A WO 91-09297 A  
REF. NON-PATENT-LIT.: Biochemistry, vol. 29, 1990, American Chemical Society,  
D.C. Davidson et al.: "plasminogen activator activities  
of equimolar complexes of streptokinase with variant  
recombinant plasminogens", pages 3585-3590 Chemical  
Abstracts, vol. 103, 1985, Columbus, Ohio, US); J.Y.  
Chang: "Thrombin specificity. Requirement for apolar  
amino acids adjacent to the thrombin cleavage site of  
polypeptide substrate", see page 412 Archives of  
Biochemistry and Biophysics, vol. 271, no. 2, June 1989,  
Academic Press, Inc.; L. Whitefilet-Smith et al.:  
"Expression of human plasminogen cDNA in a baculovirus  
vector-infected insect cell system", pages 390-399 Proc.  
Natl. Acad. Sci. USA, vol. 79, October 1982; T. Miyata  
et al.: "Plasminogen Techign: inactive plasmin resulting  
from replacement of alanine 600 by threonine in the  
active site", pages 6132-6136

L139 ANSWER 91 OF 100 WPIDS (C) 2002 THOMSON DERWENT  
 ACCESSION NUMBER: 1997-340537 [31] WPIDS  
 DOC. NO. CRI: C1997-109336  
 TITLE: New peptide analogues derived from imidazolyl-boronic acid - useful as trypsin-like serine protease inhibitors, especially for treatment of thrombosis or as anticoagulants.  
 DERWENT CLASS: B33  
 INVENTOR(S): SACCIGLIA, J; DOMINQUEZ, C; FEVIG, J M  
 PATENT ASSIGNEE(S): (DUPO) DUPONT MERCK PHARM CO  
 COUNTRY COUNT: 1  
 PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
US 5639739	A	19970617	(199731)*		12

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
US 5639739	A	US 1995-409573	19950324

PRIORITY APPLN. INFO: US 1995-409573 19950324

AN 1997-340537 [31] WPIDS

AB US 5639739 A UPAB: 19970731

Imidazolyl-substituted boronic acid derivative **peptide analogues** of formula (I) and their salts are new. R1 = CO-CH((CH2)nR4)-NR5R6, CO-CR8R9-(CH2)p-R4 or CO-CR8R9-W-(CH2)r-R4; R2 = CH2-C(R12)2-aryl or (1-aryl-(3-6C) cycloalkyl)-methyl; R3 = H; or R1REN CHR3-CO = 1-(R1)-pyrrolidin-2-ylcarbonyl; R4 = aryl or 3-8C cycloalkyl; R5 = H or -(alkyl)-aryl; R6 = COR7, COGR7, CONR5R7, SO2R7 or SO2NR5R7; R7 = alkyl or (alkyl)-aryl; R8, R9 = H, alkyl, aryl or (alkyl)-aryl, or R8 + R9 = 3-7C cycloalkyl; R12 = 1-5C alkyl or 1-5C perfluoroalkyl; aryl = phenyl (optionally substituted by 1-3 of F, Cl, Br, I, alkyl, methylenedioxy, NO2, CF3, S(O)r-alkyl, CN, OH, NH2, mono- or di-alkylamino, NHCO-alkyl, (CH2)p-COO-alkyl and phenyl); T = NH2; Y1, Y2 = OH, or B1LY2 = a cyclic ester derived from pinanediol, pinacol, 1,2-ethanediol, 1,2- or 1,3-propanediol, 2,3-butanediol, 1,2-diisopropylethanediol, 5,6-decanediol or 1,2-dicyclohexylethanediol; n = 0 or 1; p = 0-3; r = 0-2; s = 1-4; t = 1-3; alkyl moieties have 1-4C unless specified otherwise.

USE - (I) inhibit trypsin-like serine proteases e.g. Factors II, X, VII, XII, kallikrein, tissue plasminogen activators, urokinase-like plasminogen activator, plasmin, complement system enzymes, acrosin (required for fertilisation) or pancreatic trypsin, especially thrombin, factor X and factor VII. They are useful for treatment of aberrant proteolysis e.g. consumptive coagulopathy, inflammation, pancreatitis, hereditary angioedema or especially thrombosis (including arterial thrombosis associated with myocardial infarction and other clotting disorders); and as anticoagulants in the processing of blood for therapeutic or diagnostic purposes or for the production of blood products or fragments. (I) are especially used for treatment of thrombosis by inhibiting trypsin-like serine proteases of the coagulation cascade. The dosage of (I) is 0.12-15 mg/kg, orally, parenterally or intravenously.

ADVANTAGE - (I) have potent trypsin-like protease inhibiting activity i.e. Ki values of < 20 nM for **inhibition** of the human blood coagulation proteases thrombin, **Factor Xa** and Factor VIIa; and may be effective against clotting disorders against which conventional anticoagulants (e.g. heparin) are ineffective.  
 Dwg. 0/0

ACCESSION NUMBER: 199902688 MEDLINE  
 DOCUMENT NUMBER: 98002688 PubMed ID: 9341205  
 TITLE: New inhibitors of thrombin and other trypsin-like proteases: hydrogen bonding of an aromatic cyano group with a backbone amide of the P1 binding site replaces binding of a basic side chain.  
 AUTHOR: Lee S L; Alexander R S; Smallwood A; Triebel R; Mersinger L; Weber P C; Kettner C  
 CORPORATE SOURCE: Chemical and Physical Sciences, DuPont Merck Pharmaceutical Company, P. O. Box 4600, Wilmington, Delaware 19880-0500, USA.  
 SOURCE: BIOCHEMISTRY, (1997 Oct 28) 36 (43) 13130-6.  
 Journal code: 03706033. ISSN: 0006-2960.  
 PUB. COUNTRY: United States  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 OTHER SOURCE: PDB-1AUJ  
 ENTRY MONTH: 199711  
 ENTRY DATE: Entered STN: 19971224  
 Last Updated on STN: 20000303  
 Entered Medline: 19971117

AB Highly effective thrombin **inhibitors** have been obtained by preparing boronic acid **analogues** of m-cyano-substituted phenylalanine and its incorporation into **peptides**. The cyano group enhances binding by several orders of magnitude. For example, Ac-(D)Phe-Pro-boroPheOH binds to thrombin with a  $K_i$  of 320 nM and the  $K_i$  of Ac-(D)Phe-Pro-boroPhe(m-CN)-OH is 0.79 nM. Protein crystal structure determination of trypsin complexed to H-(D)Phe-Pro-boroPhe(m-CN)-OH indicates that the aromatic side chain is bound in the P1 binding site and that the cyano group can act as a H-bond acceptor for the amide proton of Gly219. Enhanced binding for **inhibitors** containing the m-cyano group was observed for coagulation **factor Xa** and for the factor VIIa.tissue factor complex [ $K_i$  values of Ac-(D)Phe-Pro-boroPhe(mCN)-OH are 760 and 2.3 nM, respectively]. This result is consistent with the sequence homology of these two enzymes in the P1 binding site. Two enzymes lacking the strict homology in the P1 binding site, pancreatic kallikrein and chymotrypsin, did not exhibit significantly enhanced binding.

L139 ANSWER 83 OF 100 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.DUPLICATE 8

ACCESSION NUMBER: 97147964 EMBASE  
 DOCUMENT NUMBER: 1997147964  
 TITLE: Peptide argininol 'inverse substrates' of anisic acid: Novel inhibitors of the trypsin-like serine proteinases.  
 AUTHOR: Lynas J.F.; Walker B.  
 CORPORATE SOURCE: B. Walker, Centre Peptide/Protein Engineering, School of Biology/Biochemistry, Queen's University of Belfast, 97 Lisburn Road, Belfast BT9 7BL, Northern Ireland, United Kingdom. brian.walker@qub.ac.uk  
 SOURCE: Bioorganic and Medicinal Chemistry Letters, (1997) 7/9 (1133-1138).  
 Refs: 14  
 ISSN: 0960-894X CODEN: BMCLE8  
 PUBLISHER IDENT.: S 0960-894X(97)00174-1  
 COUNTRY: United Kingdom  
 DOCUMENT TYPE: Journal; Article  
 FILE SEGMENT: 029 Clinical Biochemistry  
 037 Drug Literature Index  
 LANGUAGE: English  
 SUMMARY LANGUAGE: English

AB **Peptides** containing a C-terminal argininol residue linked, via an ester bond, to anisic acid have been synthesized as putative **inhibitors** of trypsin-like serine proteinases. The most potent **analogue**, Boc-Ile-Glu-Gly-Arg-.PSI.-CH<sub>2</sub>-O-CO-C<sub>6</sub>H<sub>4</sub>-OMe, that was

modelled on a known recognition sequence for the clotting enzyme  
**factor Xa**, was found to inactivate the protease with a  
second-order rate constant of approx.  $4.5 \times 10^5 \text{ M}^{-1}\text{min}^{-1}$ .

LI39 ANSWER 84 OF 100 MEDLINE  
ACCESSION NUMBER: 97404080 MEDLINE  
DOCUMENT NUMBER: 97404080 PubMed ID: 9242116  
TITLE: Current status on new anticoagulant and antithrombotic  
drugs and devices.  
COMMENT: Comment in: Curr Opin Pulm Med. 1997 Jul;3(4):265-7  
AUTHOR: Walenga J M; Fareed J  
CORPORATE SOURCE: Loyola University Medical Center, Department of Pathology,  
Maywood, IL 60153, USA.  
SOURCE: CURRENT OPINION IN PULMONARY MEDICINE, (1997 Jul) 3 (4)  
291-302. Ref: 96  
Journal code: 9503765. ISSN: 1070-5287.  
PUB. COUNTRY: United States  
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
General Review; (REVIEW)  
(REVIEW, TUTORIAL)  
LANGUAGE: English  
FILE SEGMENT: Priority Journals  
ENTRY MONTH: 199709  
ENTRY DATE: Entered STN: 19971013  
Last Updated on STN: 19971013  
Entered Medline: 19970926

AB Several new drugs for the management of thromboembolic disorders have recently become available. Low-molecular-weight heparins are being evaluated for the prophylaxis of medical and surgical deep venous thrombosis and pulmonary embolism; for the treatment of pre-existing thrombosis; and for cases of coronary syndrome (unstable angina, myocardial infarction), thrombotic and ischemic stroke, interventional cardiology, pregnancy, cancer, and transplantation-associated thrombosis. A chemically synthesized heparin pentasaccharide, which has purely anti-**factor Xa** activity and does not induce thrombocytopenia, is also in clinical trial. Thrombin **inhibitors**, such as hirudin and argatroban, are a practical anticoagulant substitute where heparin cannot be used. They are also useful for the management of coronary syndrome and as adjunct therapy. The antiplatelet agent ticlopidine and its **analogue**, clopidogrel, which does not produce blood dyscrasia, are effective for the secondary prevention of thrombotic stroke and the management of combined arterial thrombotic syndromes. Glycoprotein-targeting antibodies, synthetic derivatives, and **peptides** (some of which are orally bioavailable) have added a new dimension to the management of arterial thrombosis and high-risk patients having angioplasty. Plasma-derived agents, such as antithrombin III, are available for the management of thrombophilia and disseminated intravascular coagulation. Compression devices and the foot pump, alone and in combination with pharmacologic agents, have been used successfully. Combination therapy using various agents in different proportions have also been found useful. Although there is much enthusiasm in this quickly developing area and clinical trials are demonstrating the antithrombotic efficacy of the new drugs, safety considerations require additional clinical validation. Long-term outcomes and costs also need to be addressed objectively.

LI39 ANSWER 85 OF 100 PCTFULL COPYRIGHT 2002 Univentio  
ACCESSION NUMBER: 1996038473 PCTFULL ED 21020514  
TITLE (ENGLISH): IMIDAZO[1,5a]PYRIDINE DERIVED SERINE PROTEASE  
INHIBITORS  
TITLE (FRENCH): INHIBITEURS DE SERINE PROTEASE DERIVES DE  
IMIDAZO[1,5a]PYRIDINE  
INVENTOR(S): OTTENHEYM, Henricus, Carl, Joseph; ADAMS, Anton,  
Expert, Peter; PETERS, Jacobus, Albertus, Maria  
PATENT ASSIGNEE(S): AKZO NOBEL N.V.; OTTENHEYM, Henricus, Carl, Joseph;

ADAMS, Anton, Egbert, Peter; PETERS, Jacobus, Albertus, Maria

LANGUAGE OF PUBL.: German  
DOCUMENT TYPE: Patent  
PATENT INFORMATION:

NUMBER KIND DATE

WD 9638470 A1 19961205  
DESIGNATED STATES AU BR CA CN CZ HU JP KR MX NO NZ PL RU SG TR US AT BE  
CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WD 1996-EP2293 A 19960529  
PRIORITY INFO.: AT 1995-95201448.4 19950602

ABEN The invention relates to an imidazo[1,5a]pyridine derived serine protease inhibitor comprising a unit having general formula (I) wherein R1 is hydrogen, lower alkyl or an acyl group; R2 is hydrogen or lower alkyl; R3 and R4 are independently hydrogen, lower alkyl or together form =CH-NR5R6, R5 and R6 being lower alkyl. The compounds are serine protease inhibitors and can be used for the treatment and prophylaxis of thrombosis and thrombin-associated diseases.

ABFR Cette invention concerne un inhibiteur de serine protease derive de imidazo[1,5a]pyridine, lequel inhibiteur comprend une unite correspondant a la formule generale (I) ou R1 represente hydrogene, alkyle inferieur ou un groupe acyle; R2 represente hydrogene ou alkyle inferieur; R3 et R4 representent independamment hydrogene, alkyle inferieur ou forment ensemble =CH-NR5R6, R5 et R6 representant un alkyle inferieur. Ces composés sont des inhibiteurs de serine protease et peuvent etre utilises dans le traitement et la prevention de thromboses et de maladies associees a la thrombine.

L139 ANSWER 86 OF 100 PCTFULL COPYRIGHT 2002 Univentis

ACCESSION NUMBER: 1996030035 PCTFULL ED 20020514

TITLE (ENGLISH): 'beta'-SHEET MIMETICS AND USE THEREOF AS INHIBITORS OF BIOLOGICALLY ACTIVE PEPTIDES OR PROTEINS

TITLE (FRENCH): IMITATEURS DE FEUILLETS 'beta' ET LEUR EMPLOI COMME INHIBITEURS DE PEPTIDES OU DE PROTEINES BIOLOGIQUEMENT ACTIFS

INVENTOR(S): KAHN, Michael

PATENT ASSIGNEE(S): MOLECUMETICS LTD.; KAHN, Michael

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER KIND DATE

WD 9630035 A1 19961003  
DESIGNATED STATES AL AM AT AU AZ BB BG BF BY CA CH CN CZ DE DK EE ES FI  
GE GR HU IE JP KE KG KP KR KZ LK LR LS LT LU LV MD MG  
MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR  
TT UA UG US UZ VI EE LS MW SD SZ UG AM AZ BY KG KZ MD  
RU TJ TM AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL  
PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG

APPLICATION INFO.: WD 1996-US4044 A 19960325

PRIORITY INFO.: US 1995-8/411,513 19950324

US 1995-8/549,096 19951027

ABEN There are disclosed 'beta'-sheet mimetics and methods relating to the same for imparting or stabilizing the 'beta'-sheet structure of a peptide, protein or molecule. In one aspect, the 'beta'-sheet mimetics are covalently attached at the end or within the

length of the peptide or protein. The 'beta'-sheet mimetics have utility as inhibitors of one or more of proteases, kinases, CAAX, peptides binding to SH2 domains and MHC-I and/or MHC-II presentation of peptides to T cell receptors in warm-blooded animals.

ABFR la presente invention concerne des mimetiques de feuillets 'beta' et des procedes s'y rapportant permettant de communiquer ou stabiliser la structure en feuillets 'beta' d'un peptide, d'une proteine ou d'une molecule. Dans l'une des variantes, les mimetiques de feuillets 'beta' sont fixes par covalence a l'extremite du peptide ou de la proteine, ou entre ses extremités. Ces imitateurs de feuillets 'beta' conviennent comme inhibiteurs d'une ou plusieurs proteases, kinases, CAAX, de peptides se liant a des domaines SH2 et de la presentation MHC-I et/ou MHC-II de peptides a des recepteurs de cellules T chez les animaux a sang chaud.

LI39 ANSWER 87 OF 100 PCTFULL COPYRIGHT 2002 Univentio

ACCESSION NUMBER: 1996025427 PCTFULL ED 20020514

TITLE (ENGLISH): SERINE PROTEASE INHIBITORS

TITLE (FRENCH): INHIBITEURS DE SERINES PROTEASES

INVENTOR(S): GREEN, Donovan, St. Clair; ELGENDY, Said, Mohammed, Anwr, Ahmed; PATEL, Geeta; SCULLY, Michael, Finbarr; GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir; DEADMAN, John, Joseph

PATENT ASSIGNEE(S): ELLERMAN PHARMACEUTICALS LIMITED; GREEN, Donovan, St. Clair; ELGENDY, Said, Mohammed, Anwr, Ahmed; PATEL, Geeta; SCULLY, Michael, Finbarr; GOODWIN, Christopher, Andrew; KAKKAR, Vijay, Vir; DEADMAN, John, Joseph

LANGUAGE OF PUBL.: English

DOCUMENT TYPE: Patent

PATENT INFORMATION:

NUMBER	KIND	DATE
-----		
WO 9625427	A1	19960822

DESIGNATED STATES AU CA JP NZ US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE

APPLICATION INFO.: WO 1996-GB352 A 19960215

PRIORITY INFO.: GB 1995-9502985.6 19950216

ABEN Peptide inhibitors of serine proteases, especially thrombin, in which the P1-P2 natural amide linkage is replaced by another bond. Exemplary thrombin inhibitors are of the formula:  
 $X-(aa3)-(aa2)-\text{'psi'}-(aa1)-Z$  wherein X is H or a substituent on the N-terminal amino group, aa3 is a hydrophobic amino acid such as Phe, aa2 is Pro, aa1 is Arg or an Arg analogue such as methoxypropylglycyl, Z is  $-COOH$  or a heteroatom acid group, such as boronate, or a derivative of either, and 'PSI' is a non-amide linkage, typically containing up to 5 in-chain atoms, such as  $-COO-$ ,  $-CH_2O-$ ,  $NHCO-$  or  $-CH_2-CH_2-$ .

ABFR On decrit des inhibiteurs peptidiques de serines proteases, notamment la thrombine, dans lesquels la liaison amide naturelle P1-P2 est remplacee par une autre liaison. A titre d'exemple, on decrit des inhibiteurs de thrombine possedant la formule  
 $X-(aa3)-(aa2)-\text{'PSI'}-(aa1)-Z$  dans laquelle X represente H ou un substituant sur le groupe amino N-terminal, aa3 represente un acide amine hydrophobe tel que Phe, aa2 represente Pro, aa1 represente Arg ou un analogue de Arg tel que



methoxypropylglycyle, Z represente -COOH ou un groupe acide d'heteroatomes, tel qu'un boronate, ou un derive de l'un ou de l'autre, et 'PSI' represente une liaison non amide, contenant typiquement jusqu'a 5 atomes en chaine, tels que -COO-, -CH2O-, NHCO- ou -CH2-CH2 .

LI39 ANSWER 66 OF 100 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1996010639 POTFULL ED 21020514  
 TITLE (ENGLISH): ALPHA-1-ANTITRYPSIN AND ANTITHROMBIN-III VARIANTS  
 TITLE (FRENCH): VARIANTES DE L'alpha'-1-ANTITRYPSINE ET DE L'ANTITHROMBINE III  
 INVENTOR(S): HOPKINS, Paul, C., R.; CARRELL, Robin; CROWTHER, Damian; STONE, Stuart  
 PATENT ASSIGNEE(S): PPL THERAPEUTICS (SCOTLAND) LTD.; HOPKINS, Paul, C., R.; CARRELL, Robin; CROWTHER, Damian; STONE, Stuart  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 9610639	A1	19960411
	AM AT AU BE BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU IS JP KE KG KP KR KZ LK LR LT LU LV MD MG MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TT UA UG US UZ VN KE MW SD SZ UG AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		
APPLICATION INFO.:	WO 1995-GB2155	A	19950912
PRIORITY INFO.:	GB 1994-2419804.1		19940930
	GB 1995-2502133.2		19950203

ABEN Serine protease inhibitors (serpins) are provided which: (a) are substantially incapable of inhibiting activated protein C; (b) do not require activation by heparin; and (c) comprise a target sequence capable of interacting with the proteolytic active site of thrombin thereby to inhibit the proteolytic activity of thrombin. Preferred serpins are mutants or variants of 'alpha'-1-antitrypsin, modified by inclusion of a thrombin-specific target sequence derived from antithrombin-III (AT-III). Such serpins have the specificity and irreversibility of action of AT-III, but do not have to be co-administered with heparin.

ABFR On decrit des inhibiteurs de serine protease (serpines) qui: a) sont en pratique incapables d'inhiber la proteine C activee, b) n'exigent pas d'activation par l'heparine, et c) comprennent une sequence cible pouvant interagir avec le site actif proteolytique de la thrombine pour inhiber l'activite proteolytique de cette derniere. Les serpines preferees sont des muteines ou des variantes de l'alpha'-1-antitrypsine, modifiees par l'inclusion d'une sequence cible, specifique de la thrombine, derivee de l'antithrombine-III (AT-III). Ces serpines presentent la specificite et l'irreversibilite propres a l'action de l'AT-III, mais elles n'exigent pas d'etre co-administrees avec de l'heparine.

LI39 ANSWER 69 OF 100 POTFULL COPYRIGHT 2002 Univentio  
 ACCESSION NUMBER: 1996014373 POTFULL ED 20020814  
 TITLE (ENGLISH): RECOMBINANT PRODUCTION OF BIOLOGICALLY ACTIVE PEPTIDES AND PROTEINS  
 TITLE (FRENCH): PRODUCTION PAR RECOMBINAISON DE PEPTIDES ET PROTEINES BIOLOGIQUEMENT ACTIFS  
 INVENTOR(S): WILLIAMS, Jon, I.; PIERCE, James, C.; ANDERSON, G.,

PATENT ASSIGNEE S.: Mark; KARI, Prasad  
 LANGUAGE OF PUBL.: MAGAININ PHARMACEUTICALS, INC.  
 DOCUMENT TYPE: English  
 PATENT INFORMATION: Patent

	NUMBER	KIND	DATE
DESIGNATED STATES	WO 9604373	A2	19960215
	AU CA JP KR AT BE CH DE DK ES FR GB GR IE IT LU MC NL		
	PT SE		

APPLICATION INFO.: WO 1995-US10219 A 19950726  
 PRIORITY INFO.: US 1994-4/282,010 19940729

ABEN The present invention relates to the recombinant production of amphiphilic peptides with biologically and therapeutically significant activities. In one embodiment, this invention relates to recombinantly producing an amphiphilic peptide by providing a protease-deficient microbial host transformed with an expression vector containing DNA that encodes the amphiphilic peptide under the control of a regulatory sequence operable in the microbial host and expressing the amphiphilic peptide in the transformed microbial host. In another embodiment, this invention relates to providing an E. coli protease-deficient K-12 cell transformed with a vector that expresses a cleavable fusion protein comprising at least part of a carbohydrate binding protein and the amphiphilic peptide in the cell, expressing the fusion protein in the cell, and cleaving the fusion protein to obtain the amphiphilic peptide substantially free of carbohydrate binding protein residues. The biologically active amphiphilic peptide so produced can be further treated chemically or enzymatically to obtain a chemically distinct amphiphilic peptide with improved biological and therapeutic properties.

ABFR L'invention concerne la production par recombinaison de peptides amphiphiles qui presentent des activites biologiques et therapeutiques significatives. Une variante de cette invention concerne la production par recombinaison d'un peptide amphiphile, qui consiste a produire un hote microbien presentant une deficienne en protease, transforme a l'aide d'un vecteur d'expression contenant un ADN codant ce peptide amphiphile sur commande d'une sequence regulatrice qu'on peut activer dans l'hote microbien, et a exprimer ce peptide amphiphile dans l'hote microbien transforme. Une autre variante de l'invention consiste a prendre une cellule K-12 d'E. coli, presentant une deficienne en protease, transformee a l'aide d'un vecteur qui exprime une proteine de fusion qu'on peut couper et qui comprend au moins une partie d'une proteine se liant a un glucide et le peptide amphiphile present dans la cellule, a exprimer cette proteine de fusion dans la cellule et a la couper pour donner un peptide amphiphile pratiquement depourvu de residu de la proteine se liant a un glucide. Le peptide amphiphile biologiquement actif ainsi obtenu peut alors etre traite par voie chimique ou enzymatique, ce qui permet d'obtenir un peptide amphiphile chimiquement different avec de proprietes biologiques et therapeutiques ameliorees.

ACCESSION NUMBER: 1996000541 PCTFULL ED 20020514  
 TITLE (ENGLISH): AN EXTERNAL URINARY CATHETER AND A HOSE CONNECTOR FOR CONNECTION THEREWITH  
 TITLE (FRENCH): CATHETER EXTERNE POUR LES URINES ET RACCORD DE CONDUITE DESTINE A CE CATHETER  
 INVENTOR(S): JENSEN, Thomas, Dam  
 PATENT ASSIGNEE(S): SOLIPLAST A/S; JENSEN, Thomas, Dam  
 LANGUAGE OF PUBL.: English  
 DOCUMENT TYPE: Patent  
 PATENT INFORMATION:

	NUMBER	KIND	DATE
	WO 9600541	A1	19960111
DESIGNATED STATES	AM AT AU BB BG BR BY CA CH CN CZ DE DK EE ES FI FR GB GE HU IS JP KE KG KP KR KZ LK LR LT LU LV MD MG MN MW MX ND NZ PL PT RO RU SD SE SG SI SK TJ TM TT UA US UZ VN KE MW SD SZ UG AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG		

APPLICATION INFO.: WO 1995-DK234 A 19950612  
 PRIORITY INFO.: DK 1994-774/94 19940629

ABEN An external catheter comprises a sheath essentially formed as a shaft and a constricted drainage tube part (1) integrated therewith for connection with a hose connector (5) which is connected to a draining hose. In order to facilitate the mounting of the drainage tube part (1) on the hose connector (5), an end portion of the drainage tube part at the orifice thereof is divided into at least two sections (2-3).

ABFR Un catheter externe pour les urines comprend une gaine venue d'une piece avec une partie resserree (1) formant un tube de drainage, ce systeme etant raccorde par un raccord (5) a une conduite de drainage. Pour faciliter le montage de la partie resserree (1) formant un tube de drainage sur le raccord (5), une portion terminale du tube de drainage au niveau de son orifice est divisee en deux sections (2-3) au moins.

L139 ANSWER 91 OF 100 EUROPATFULL COPYRIGHT 2002 WILA

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 489070 EUROPATFULL EW 199617 FS PS  
 TITLE: NOVEL INHIBITORS OF THROMBIN.  
 NEUE THROMBININHIBITOREN.  
 NOUVEAUX INHIBITEURS DE THROMBINE.  
 INVENTOR(S): MARAGANOE, John, M., 34 Patrick Road, Tewksbury, MA 01876, US;  
 FENTON, John, W., II, P.O. Box 37 Route 66, Maiden Bridge, NY 12116, US;  
 KLINE, Toni, 47 Hayes Street, Cambridge, MA 02139, US  
 PATENT ASSIGNEE(S): BIOGEN, INC., 14 Cambridge Center, Cambridge Massachusetts 02142, US;  
 HEALTH RESEARCH INCORPORATED, 66 Hackett Boulevard, 3rd Floor, Albany NY 12219, US  
 PATENT ASSIGNEE NO: 1049451; 522424  
 AGENT: VOSSIUS & PARTNER, Postfach 96 07 67, D-81634 Muenchen, DE  
 AGENT NUMBER: 101311  
 OTHER SOURCE: EPI1996026 EP 0489070 B1 960424  
 SOURCE: Wila-EPS-1996-H17-T1  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch

DESIGNATED STATES: R AT; R BE; R CH; R DE; R DK; R ES; R FR; R GB; R IT; R LI; R LU; R NL; R SE

PATENT INFO.PUB.TYPE: EPBI EUROPAEISCHE PATENTSCHRIFT Internationale Anmeldung

PATENT INFORMATION:

	PATENT NO	KIND	DATE
	EP 489370	B1	19960424
'OFFENLEGUNGS' DATE:			19920610
APPLICATION INFO.:	EP 1990-912754		19900917
PRIORITY APPLN. INFO.:	US 1989-395482		19890818
	US 1990-549388		19900706
RELATED DOC. INFO.:	WO 90-US4642	900817	INTAKE
	WO 9102750	910307	INTPNE
REFERENCE PAT. INFO.:	EP 276014 A	EP 291931	A
	EP 291932 A	EP 333356	A
	EP 341607 A	EP 357242	A
	WO 79-00638 A		
REF. NON-PATENT-LIT.:	Chemical Abstracts, volume 107, no. 11, 14 September 1987, (Columbus, Ohio, US), Krstenansky, John L. et al.: "Anticoagulant peptides. Nature of the interaction of the C-terminal region of hirudin with a noncatalytic binding site on thrombin", see page 733, abstract 97113c, & J. Med. Chem. 1987, 30( 9), 1688-169 Chemical Abstracts, volume 108, no. 19, 9 May 1988, (Columbus, Ohio, US), Owen, Thomas J. et al.: "N-Terminal requirements of small peptide anti-coagulants based on hirudin", see page 701, abstract 167961z, & J. Med. Chem. 1988, 31( 9), 1909-101 The Journal of Biological Chemistry, Vol. 264, No. 15, May 1989 John M. Maraganore et al.: "Anticoagulant Activity of Synthetic Hirudin Peptides" Thrombosis and Haemostasis, Vol. 63, No. 2, 1990 John L. Krstenansky et al.: "Development of MDL 28,050, a Small Stable Antithrombin AgentBased on a Functional Domain of the Leech Protein, Hirudin" Blood, Vol. 75, No. 2, January 1990 Joseph A. Jakubowski et al.: "Inhibition of Coagulation and Thrombin-Induced Platelet Activities by a Synthetic Dodecapeptide Modeled on the Carboxy-Terminus of Hirudin"		

LI39 ANSWER 92 OF 100 ADISALERTS COPYRIGHT 2002 (ADIS)

ACCESSION NUMBER: 1996:39637 ADISALERTS

DOCUMENT NUMBER: 300416693

TITLE: Comparison of sustained antithrombotic effects of inhibitors of thrombin and factor Xa in experimental thrombosis

ADIS TITLE: Bivalirudin, CVS 995, desirudin: pharmacodynamics.; Antithrombotic effects, comparison with tick anticoagulant peptide and nadroparin calcium; Animal study

AUTHOR: Biemond B J; Friederich P W; Levi M; Vlasuk G P; Buller H R; et al

CORPORATE SOURCE: University of Amsterdam, Amsterdam, The Netherlands; Corvas International, San Diego, California, USA

SOURCE: Circulation (Jan 1, 1996), Vol. 93, pp. 153-160

DOCUMENT TYPE: (Animal)

REFERENCE: Antithrombotics (Summary): Alert no. 2, 1996

FILE SEGMENT: Summary

LANGUAGE: English

WORD COUNT: 655

LI39 ANSWER 93 OF 100

MEDLINE

DUPLICATE 9

ACCESSION NUMBER: 96049146 MEDLINE

DOCUMENT NUMBER: 96049146 PubMed ID: 7592953

TITLE: Peptide-derived transition state analogue inhibitors of

thrombin; synthesis, activity and selectivity.  
 AUTHOR: Jettien M; Peters C A; Visser A; Grootenhuys P D; van Nispen J W; Ottenheijm H C  
 CORPORATE SOURCE: N.V. Organon, Oss, The Netherlands.  
 SOURCE: BIOORGANIC AND MEDICINAL CHEMISTRY, 1995 Aug 3; 9: 1093-114.  
 Journal code: 0413299. ISSN: 1069-0896.  
 PUB. COUNTRY: ENGLAND: United Kingdom  
 DOCUMENT TYPE: Journal; Article; JOURNAL ARTICLE  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199511  
 ENTRY DATE: Entered STN: 19960124  
 Last Updated on STN: 19960124  
 Entered Medline: 19951218

AB In a study to combine the transition state **analogue** concept with the principle of catalytic site spanning, a series of **peptide**-derived transition state **analogue** (TSA) **inhibitors** of thrombin has been synthesized and tested. In the sequence H-D-Phe-Pro-Arg-Gly-OH (2) the Arg-Gly amide bond has been replaced by three classes of transition state **analogues**, being the ketomethylene, the hydroxyethylene and the hydroxymethylene amide bond replacements. Compound 11a, in which the amide bond has been replaced by the ketomethylene group, was found to be the most potent thrombin **inhibitor** of the series studied. Subsequently, penta- and hexapeptide sequences with good affinity for thrombin were developed, i.e. H-D-Phe-Pro-Arg-Gly-Phe-OH (16) and H-D-Phe-Pro-Arg-Gly-Phe-Lys-OH (26). In these sequences the Arg-Gly amide bond was then replaced by the ketomethylene group. The resulting compounds 43a and 47a, respectively, were evaluated in vitro as **inhibitors** of thrombin and **factor Xa**. Compound 47a was found to be the most potent thrombin **inhibitor** of the series studied ( $K_i = 29$  nM). The combination of the transition state **analogue** concept and the principle of **peptide** elongation (tetrapeptide--hexapeptide) yields thrombin **inhibitors** of high potency and selectivity. The effects of these two alterations reinforce each other indicating a synergistic effect. This might be rationalized by entropy factors.

L139 ANSWER 94 OF 100 MEDLINE DUPLICATE 10  
 ACCESSION NUMBER: 95369143 MEDLINE  
 DOCUMENT NUMBER: 95369143 PubMed ID: 7641602  
 TITLE: Novel antithrombotic drugs in development.  
 AUTHOR: Verstraete M; Zoldhelyi P  
 CORPORATE SOURCE: Center for Molecular and Vascular Biology, University of Leuven, Belgium.  
 SOURCE: DRUGS, (1995 Jun) 49 (6) 856-84. Ref: 229  
 Journal code: 7600076. ISSN: 0913-6667.  
 PUB. COUNTRY: New Zealand  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 General Review; (REVIEW)  
 (REVIEW, ACADEMIC)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199509  
 ENTRY DATE: Entered STN: 19950930  
 Last Updated on STN: 19950930  
 Entered Medline: 19950920

AB Platelet activation plays a critical role in thromboembolic disorders, and aspirin remains a keystone in preventive strategies. This remarkable efficacy is rather unexpected, as aspirin selectively inhibits platelet aggregation mediated through activation of the arachidonic-thromboxane pathway, but not platelet aggregation induced by adenosine diphosphate (ADP), collagen and low levels of thrombin. This apparent paradox has stimulated investigations on the effect of aspirin on eicosanoid-independent effects of aspirin on cellular signalling. It has also

fostered the search for antiplatelet drugs inhibiting platelet aggregation at other levels than the acetylation of platelet cyclo-oxygenase, such as thromboxane synthase **inhibitors** and thromboxane receptor antagonists. The final step of all platelet agonists is the functional expression of glycoprotein (GP) IIb/IIIa on the platelet surface, which ligates fibrinogen to link platelets together as part of the aggregation process. Agents that interact between GPIIb/IIIa and fibrinogen have been developed, which block GPIIb/IIIa, such as monoclonal antibodies to GPIIb/IIIa, and natural and synthetic **peptides** disintegrins containing the Arg-Gly-Asp (RGD) recognition sequence in fibrinogen and other adhesion macromolecules. Also, some non-**peptide** RGD mimetics have been developed which are orally active prodrugs. Stable **analogues** of pristatypilin, some of which are orally active, are also available. Thrombin has a pivotal role in both platelet activation and fibrin generation. In addition to natural and recombinant human antithrombin III, direct antithrombin III-independent thrombin **inhibitors** have been developed as recombinant hirudin, hirulog, argatroban, boroarginine derivatives and single stranded DNA oligonucleotides (aptanes). Direct thrombin **inhibitors** do not affect thrombin generation and may leave some 'escaping' thrombin molecules unaffected. **Inhibition of factor Xa** can prevent thrombin generation and disrupt the thrombin feedback loop that amplifies thrombin production.

L139 ANSWER 95 OF 100 MEDLINE DUPLICATE 11  
 ACCESSION NUMBER: 97022654 MEDLINE  
 DOCUMENT NUMBER: 97022654 PubMed ID: 8369014  
 TITLE: New developments in antiplatelet and antithrombotic therapy.  
 AUTHOR: Verstraete M  
 CORPORATE SOURCE: Center for Molecular and Vascular Research, University of Leuven, Belgium.  
 SOURCE: EUROPEAN HEART JOURNAL, (1995 Nov) 16 Suppl L 16-23. Ref: 76  
 Journal code: 8006263. ISSN: 0195-668X.  
 PUB. COUNTRY: ENGLAND: United Kingdom  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 General Review; (REVIEW)  
 (REVIEW, TUTORIAL)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199701  
 ENTRY DATE: Entered STN: 19970128  
 Last Updated on STN: 19970128  
 Entered Medline: 19970103

AB Several agents which inhibit platelet aggregation (aspirin, ticlopidine, dipyridamole), and anticoagulants (vitamin K antagonists, unfractionated heparin, low molecular weight heparins and heparinoids) are in clinical use. The search for more effective antiaggregating agents has resulted in the development of clopidogrel, a chemical **analogue** of ticlopidine with minimal bone-marrow suppressing effects, thromboxane synthase **inhibitors** and receptor blockers, and antagonists of platelet receptor glycoproteins Ib and IIb/IIIa. In addition there is increasing therapeutic experience with chimeric monoclonal antibodies against the platelet receptors, glycoprotein IIb/IIIa, and, to a minor extent, with synthetic **peptides** or non-**peptide inhibitors** against the same receptors. Although new anticoagulants have become available, their efficacy has only been tested in animal models of thrombosis: tissue factor pathway **inhibitor**, **factor Xa inhibitors** (recombinant tick anticoagulant **peptide**, antistasin, natural pentasaccharide and DX-9065), recombinant thrombomodulin and recombinant protein C have been tested in this manner. Considerable clinical progress has been made with direct thrombin **inhibitors**, such as recombinant hirudin and hirulog which appear to be effective antithrombotic agents in patients.

There is also clinical experience with argatroban, an arginine derivative which is a competitive antagonist to thrombin. However, PPACK, a tripeptide synthetic compound which irreversibly blocks the active catalytic site of thrombin, has not been investigated in the clinical setting.

1139 ANSWER 96 OF 100 EUROPATEFULL COPYRIGHT 1992 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 339942 EUROPATEFULL EW 19944 FS DS STA B  
TITLE: Aprotinin analogues and process for the production thereof.  
Aprotinin-Analoge und Verfahren zu ihrer Herstellung.  
Analogues d'aprotinin et procede pour leur production.  
INVENTOR(S): Norris, Kjeld, Ahlmanns Alle 34, DK-2900 Hellerup, DK;  
Petersen, Lars Christian, Havrevej 4, DK-2960 Horsholm, DK  
PATENT ASSIGNEE(S): NOVO-NORDISK A/S, Novo Alle, DK-2860 Bagsvaerd, DK  
PATENT ASSIGNEE NO: 1699510  
AGENT: Brown, John David et al, FORRESTER & BOEHMERT  
Widenmayerstrasse 4/I, D-8000 Muenchen 22, DE  
AGENT NUMBER: 08811  
OTHER SOURCE: ESP1989046 EP 0339942 A2 891102  
SOURCE: Wila-EP2-1989-H44-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: E AT; E BE; E CH; E DE; E ES; E FR; E GB; E GR; E IT; E LI; E LU; E NL; E SE  
PATENT INFO.PUB.TYPE: EPA2 EUROPAEISCHE PATENTANMELDUNG  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 339942	A2 19891102
'OFFENLEGUNGS' DATE:		19891102
APPLICATION INFO.:	EP 1989-304122	19890425
PRIORITY APPLN. INFO.:	DE 1989-0254	19890426

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 339942 EUROPATEFULL EW 199412 FS PS STA B  
TITLE: Aprotinin analogues and process for the production thereof.  
Aprotinin-Analoge und Verfahren zu ihrer Herstellung.  
Analogues d'aprotinin et procede pour leur production.  
INVENTOR(S): Norris, Kjeld, Ahlmanns Alle 34, DK-2900 Hellerup, DK;  
Petersen, Lars Christian, Havrevej 4, DK-2960 Horsholm, DK  
PATENT ASSIGNEE(S): NOVO-NORDISK A/S, Novo Alle, DK-2860 Bagsvaerd, DK  
PATENT ASSIGNEE NO: 231781  
AGENT: Thalspe-Madsen, Kine Birgit et al, c/o Novo Nordisk A/S  
Novo Alle, DK-2860 Bagsvaerd, DK  
AGENT NUMBER: 61421  
OTHER SOURCE: EPB1994021 EP 0339942 B1 940323  
SOURCE: Wila-EP2-1994-H12-T1  
DOCUMENT TYPE: Patent  
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch  
DESIGNATED STATES: E AT; E BE; E CH; E DE; E ES; E FR; E GB; E GR; E IT; E LI; E LU; E NL; E SE  
PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT  
PATENT INFORMATION:

	PATENT NO	KIND DATE
	EP 339942	B1 19940323
'OFFENLEGUNGS' DATE:		19891102

APPLICATION INFO.: EP 1989-304122 19890425  
 PRIORITY APPLN. INFO.: DK 1988-2254 19880426  
 REFERENCE PAT. INFO.: EP 132732 A EP 238993 A  
 EP 244627 A EP 307591 A  
 REF. NON-PATENT-LIT.: SCIENCE, vol. 235, 13th March 1987, pages 1370-1373;  
 C.B. MARKS et al.: "Mutants of bovine pancreatic trypsin  
 inhibitor lacking cysteines 14 and 38 can fold properly"  
 BIOCHEMISTRY, vol. 16, no. 3, 19th April 1977, pages  
 1531-1541, The American Chemical Society; N.H.Tan et  
 al.: "Synthesis and characterization of a pancreatic  
 Trypsin inhibitor homologue and a model inhibitor"

L139 ANSWER 97 OF 100 MEDLINE DUPLICATE 12  
 ACCESSION NUMBER: 94355559 MEDLINE  
 DOCUMENT NUMBER: 94355559 PubMed ID: 8075212  
 TITLE: Synthetic peptides and peptidomimetics as substrates and  
 inhibitors of thrombin and other proteases in the blood  
 coagulation system.  
 AUTHOR: Claesson G  
 CORPORATE SOURCE: Thrombosis Research Institute, London, UK.  
 SOURCE: BLOOD COAGULATION AND FIBRINOLYSIS, (1994 Jun) 5 (3)  
 411-36. Ref: 214  
 Journal code: 9102551. ISSN: 0957-5235.  
 PUB. COUNTRY: ENGLAND: United Kingdom  
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)  
 General Review; (REVIEW)  
 (REVIEW, TUTORIAL)  
 LANGUAGE: English  
 FILE SEGMENT: Priority Journals  
 ENTRY MONTH: 199410  
 ENTRY DATE: Entered STN: 19941013  
 Last Updated on STN: 20000303  
 Entered Medline: 19941006

AB The synthesis of **peptides** as imitations of the thrombin cleavage  
 site of fibrinogen has led to sequences with affinity for the enzyme.  
 These **peptides** were first developed as chromogenic and  
 fluorogenic substrates for thrombin. The same idea was also used to  
 generate **peptide** substrates for other serine proteases in blood  
 coagulation and fibrinolysis. Amidolytic methods based upon the substrates  
 have revolutionized assays of proenzymes, enzymes, cofactors and  
**inhibitors** in research as well as in clinical laboratories. Like  
 peptidomimetics based only on Arg (P1 of the natural substrate) or Arg  
**analogues**, these amino acid sequences also have been developed as  
 active site directed **inhibitors** of thrombin and of  
**factor Xa**. A further interesting development is the  
 synthesis of bivalent thrombin **inhibitors** which, like hirudin,  
 bind to the thrombin active centre as well as to its anionic exosite.  
 Recently, also, it has been shown that the positively charged side chain  
 of P1 Arg is not an absolute necessity for binding a **peptide** to  
 the active site of thrombin. Several of the new thrombin  
**inhibitors** show interesting properties for pharmaceutical  
 development and some of them are on clinical trials.

L139 ANSWER 98 OF 100 WPIDS (C) 2002 THOMSON DERWENT  
 ACCESSION NUMBER: 1993-320447 [40] WPIDS  
 DOC. NO. CPI: C1993-142581  
 TITLE: Human factor X to human factor Xa conversion inhibition  
 for treating thrombosis - by contacting with reagent  
 binding sialic acid alpha-2 linked to galactose or  
 galactosamine residues, or cleaving glycosylation  
 moieties e.g. neuraminidase.  
 DERWENT CLASS: B04 D16  
 INVENTOR(S): SINHA, U; WOLF, D L  
 PATENT ASSIGNEE(S): SCOTT-NI COR THERAPEUTICS INC  
 COUNTRY COUNT: 41



## PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9318782	A1	19930930	(199340)	EN	34
RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL CA PT SE					
W: AT AU BB BG BR CA CH DE DK ES FI GB HU JP KP KR LK LU MG MN NW NL					
NO NZ PL PT RO RU SD SE US VN					
AU 9339177	A	19931011	(199407)		
EP 631501	A1	19930104	(199506)	EN	
R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE					
JP 07507769	W	19950831	(199543)		8
EP 631501	A4	19951227	(199627)		
IL 105064	A	19970415	(199726)		
AU 681074	B	19970821	(199742)		
AU 9745309	A	19980212	(199814)		
US 5798332	A	19980825	(199841)		
AU 717123	B	20000316	(200024)		
EP 631501	B1	20000830	(200042)	EN	
R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE					
US 6117836	A	20000912	(200046)		
DE 69329333	E	20001005	(200057)		

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9318782	A1	WO 1993-US2203	19930311
AU 9339177	A	AU 1993-39177	19930311
EP 631501	A1	EP 1993-908307	19930311
		WO 1993-US2203	19930311
JP 07507769	W	JP 1993-516612	19930311
		WO 1993-US2203	19930311
EP 631501	A4	EP 1993-908307	
IL 105064	A	IL 1993-105064	19930316
AU 681074	B	AU 1993-39177	19930311
AU 9745309	A Div ex	AU 1993-39177	19930311
		AU 1997-45309	19971119
US 5798332	A Cont of	US 1992-854109	19920320
		US 1994-300026	19940906
AU 717123	B Div ex	AU 1993-39177	19930311
		AU 1997-45309	19971119
EP 631501	B1	EP 1993-908307	19930311
		WO 1993-US2203	19930311
US 6117836	A Cont of	US 1992-854109	19920320
		WO 1993-US2203	19930311
	Div ex	US 1994-300026	19940906
		US 1994-307609	19941202
DE 69329333	E	DE 1993-624333	19930311
		EP 1993-908307	19930311
		WO 1993-US2203	19930311

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9339177	A Based on	WO 9318782
EP 631501	A1 Based on	WO 9318782
JP 07507769	W Based on	WO 9318782
AU 681074	B Previous Publ. Based on	AU 9339177
		WO 9318782
AU 717123	B Div ex Previous Publ.	AU 681074
		AU 9745309
EP 631501	B1 Based on	WO 9318782
US 6117836	A Div ex	US 5798332

DE 69329333 E Based on WO 9318782  
Based on EP 631511  
Based on WO 9318782

PRIORITY APPLN. INFO: US 1992-854129 19930320; US 1994-302226  
19940916; US 1994-307639 19941232

AN 1993-120447 [41] WPIDS

AB WO 9318782 A UPAB: 19931129

The inhibition comprises contacting human Factor X with a reagent capable of specifically binding sialic acid residues that are alpha2-6 linked to galactose or galactosamine residues (SA/Gal/GalNAc binding reagent) in an amt. and for a time sufficient to complex to Factor X.

The SA/Gal/GalNAc binding reagent may be pref. a lectin, e.g. Sambucus nigra agglutinin (SNA), an antibody or a peptide derived from Factor IXa/VIIIa or tissue factor/Factor VIIa.

Pref. a complex comprises a SA/Gal/GalNAc binding reagent and human Factor X.

Pref. preventing or treating (i) thrombosis, (ii) inflammation, (iii) restenosis or (iv) complications of transplantation in a human subject comprises administering a SA/Gal/GalNAc binding reagent.

Pref. **inhibition** comprises contacting human Factor X with (i) a glycosylation moieties cleavable reagent from the Factor X, or (ii) a reagent capable of binding to the glycosylation moieties of the activation **peptide** of Factor X, or (iii) soluble **analogue(s)** of SA/Gal/GalNAc, and the contact is under conditions which may normally, in the absence of the soluble **analogue**, effect the conversion of Factor X to **Factor Xa**.

Pref. inhibition in a living organism comprises administering as inhibitor of glycosylation.

USE - The inhibition may be used for the prevention and treatment of thrombus formation and other pathological processes in the vasculature induced by thrombin e.g. restenosis and inflammation. They may also be used for inhibition of Factor X activation in cardiopulmonary by-pass, in harvesting organs, in prepn. of blood prods. or samples, in transport and implantation of organs and in treatment of adult respiratory distress syndrome. The reagents may also be used for producing antibodies which may be used to monitor therapy.

Dwg.9/1

LI39 ANSWER 99 OF 100 MEDLINE DUPLICATE 13

ACCESSION NUMBER: 90036406 MEDLINE

DOCUMENT NUMBER: 90036406 PubMed ID: 2509406

TITLE: The inhibition of the enzymic activity of blood coagulation and fibrinolytic serine proteases by a new leupeptin-like inhibitor, and its structural analogues, isolated from Streptomyces griseus.

AUTHOR: Chi C W; Liu H Z; Liu C Y; Chikber B A; Castellino F J

CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Notre Dame, Indiana 46556.

CONTRACT NUMBER: HL-13423 (NHLBI)  
HL-19982 (NHLBI)

SOURCE: JOURNAL OF ANTIBIOTICS, (1989 Oct) 42 (10) 1506-12.  
Journal code: 01511119. ISSN: 0021-9320.

PUB. COUNTRY: Japan

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 198912

ENTRY DATE: Entered STN: 19900323

Last Updated on STN: 2000303

Entered Medline: 19891101

AB A group of leupeptin **analogues** was found in Streptomyces griseus strain 254, isolated from a soil sample from Fujian Province, China. The **inhibitors** excreted in the culture filtrate were purified by adsorption on macroporous resin, followed by sequential ion exchange

chromatography on DEAE-52 cellulose, CM-32 cellulose and affinity chromatography with immobilized trypsin. The preparation thus obtained was further purified by preparative HPLC. Several major components were found and characterized, which possessed different inhibitory properties toward trypsin. Based upon amino acid and mass spectrophotometric analysis, these **peptides** were placed in four major structural categories, viz., R-Val-Val-argininal, R-Leu-Leu-argininal, R-Ile-Ile-argininal and R-Thr-Thr-argininal, this latter component representing a newly identified leupeptin **analogue**. The structural variability of the R-group was partly responsible for the multiplicity of the peaks obtained with HPLC. All **peptides** displayed varying degrees of inhibitory activity toward proteases involved in blood coagulation and fibrinolysis, including plasmin, **factor Xa**, activated protein C and thrombin. Among these **peptide inhibitors**, the molecule containing threonine showed the strongest inhibitory activity.

L139 ANSWER 100 OF 100 BABS COPYRIGHT 2002 BEILSTEIN CDS MDLI

ACCESSION NUMBER: 6056113 BABS

TITLE: Peptide Argininol "Inverse Substrates" of Anisic Acid:  
Novel Inhibitors of the Trypsin-like Serine  
Proteinases

AUTHOR(S): Lynas, John F.; Walker, Brian

SOURCE: Bioorg.Med.Chem.Lett. (1997), 7(9), 1133-1138  
CODEN: BMCLE8

DOCUMENT TYPE: Journal

LANGUAGE: English

SUMMARY LANGUAGE: English

AN 6056113 BABS

AB **Peptides** containing a C-terminal argininol residue linked, via an ester bond, to anisic acid have been synthesized as putative **inhibitors** of trypsin-like serine proteinases. The most potent **analogue**, Boc-Ile-Glu-Gly-Arg-SQ-(CH<sub>2</sub>-O)-CO-C<sub>6</sub>H<sub>4</sub>OMe, that was modelled on a known recognition sequence for the clotting enzyme **factor Xa**, was found to inactivate the protease with a second-order rate constant of ca.  $4.5 \times 10^{-5} \text{ M}^{-1} \text{ min}^{-1}$ .

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